STIC-EIC1600/2900

339259

| | From: | STIC-EIC1600/2900@uspto.gov | 4 | | 300 |
|---|----------|--|---|-----|-----|
| | Sent: | Thursday, August 05, 2010 8:53 PM | 1 | (SI | 1 |
| | To: | Jaisle, Cecilia M. | 1 | 57 | 100 |
| | Cc: | STIC-EIC1600/2900 | | 517 | 25 |
| 1 | Subject: | Confirmation Receipt: 1600 Search Request - 10595734 | | 1 | ~) |

Additional Comments:

Search compounds of formula (I) where R2, R3, R4 can be in any position of the pyrimidine ring.

STIC ACCESSION No: 339259

Structures uploaded into STN REGISTRY

```
Uploading L1.str
```

```
1 2 3 4 5 6 7 8 9 10 11 12 ring/chain nodes: 15 16 chain bonds: 1-2 1-6 1-10 3-16 5-15 ring bonds: 1-2 1-6 1-10 2-3 3-4 3-16 4-5 5-6 5-15 7-8 7-12 8-9 9-10 10-11 11-12 exact/norm bonds: 1-2 1-6 1-10 2-3 3-4 3-16 4-5 5-6 5-15 7-8 7-12 8-9 9-10 10-11 11-12
```

isolated ring systems : containing 1 : 7 :

ring nodes :

Connectivity:
2:2 E exact RC ring/chain
Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 15:CLASS 16:CLASS

Uploading L17.str

```
CN-G× 6
                                                      51-2× 6
             CN 5" ?
                                                      52·2" <sup>7</sup>
                                   AK* Éu
                                                      53.28
                                                                            13*19
             CH'Se" 8
                                   3 Cg
                                                                            3328
                                                                  ,
                                                     31 38 2 ° 9
                                                        3× 10
               , 18
                                                                            A 18
                                   g
                                                                            18
                                                        32
                                   , 5. Ak
                                                                            .,53.49
                                                                            N.7
             0
: N× 12
                                                      44 44 12
chain nodes :
7 10 11 12 13 14 15 16 17 18 19 20 26 27 28 29 30 31 32 33 39
40 42 43 44 46 47 49 51 52 53
ring nodes :
1 2 3 4 5 6
chain bonds :
1-7 3-11 5-10 13-14 15-17 15-20 16-18 16-19 26-51 27-52 28-53 29-30 30-
32-33 39-40 42-43 43-44 46-47 46-49
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 1-7 2-3 3-4 3-11 4-5 5-6 5-10 13-14 15-17 15-20 16-18 16-19
26-51 27-52 28-53 29-30 30-31 32-33 39-40 42-43 43-44 46-47 46-49
isolated ring systems :
containing 1 :
G1:0,S,N,[*1],[*2],[*3],[*4],[*5]
G2:S,OH,SH,CN,NO2,Cy,Ak,[*6],[*7],[*8],[*9],[*10],[*11],[*12]
Connectivity :
2:2 E exact RC ring/chain 6:2 E exact RC ring/chain 7:2 E exact RC ring/chain
17:1 E exact RC ring/chain 18:1 E exact RC ring/chain 33:1 E exact RC ring/chain
44:1 E exact RC
ring/chain 47:1 E exact RC ring/chain
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 10:CLASS 11:CLASS 12:Atom
13:CLASS 14:Atom 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:Atom
26:CLASS 27:CLASS
28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS 33:CLASS 39:CLASS 40:CLASS
42:CLASS 43:CLASS
44:CLASS 46:CLASS 47:CLASS 49:CLASS 51:CLASS 52:CLASS 53:CLASS
Generic attributes :
                      : Unsaturated
Number of Carbon Atoms : less than 7
Type of Ring System : Monocyclic
                     : Unsaturated
```

Saturation

14: Saturation Uploading L27.str

7:

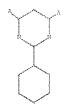
```
sb<sup>≈</sup> 1
                                                                                12×1
             CH-0^ 6
                                                         51 2× 6
              CN S× 7
                                                         52 2× 7
             EN Se<sup>x</sup>
                                     Ak" Cy
                                                         53.25<sup>x</sup> 8
                                                                                13" 14
                                     ×,3 €9
                                                                                35 20
             и н--и× <sup>з</sup>
                                                        31 30 Z.º <sup>9</sup>
                                     * <sup>4</sup> 0
                                                           35 18
                                                                                ¥5,19
                                                         33
39--4×
             98 9W
                                                                                ·6:49
                                     . 5. AK
              8 N* 12
                                                         99
94 4× 12
chain nodes :
7 10 11 12 13 14 15 16 17 18 19 20 26 27 28 29 30 31 32 33 39
40 42 43 44 46 47 49 51 52 53 56
ring nodes :
1 2 3 4 5 6
chain bonds :
1-7 3-11 4-56 5-10 13-14 15-17 15-20 16-18 16-19 26-51 27-52 28-53 29-
30
30-31 32-33 39-40 42-43 43-44 46-47 46-49
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 1-7 2-3 3-4 3-11 4-5 4-56 5-6 5-10 13-14 15-17 15-20 16-18
16-19 26-51 27-52 28-53 29-30 30-31 32-33 39-40 42-43 43-44 46-47 46-49
isolated ring systems :
containing 1 :
G1:0,S,N,[*1],[*2],[*3],[*4],[*5]
G2:S,OH,SH,CN,NO2,Cy,Ak,[*6],[*7],[*8],[*9],[*10],[*11],[*12]
Connectivity :
2:2 E exact RC ring/chain 6:2 E exact RC ring/chain 7:2 E exact RC ring/chain
17:1 E exact RC ring/chain 18:1 E exact RC ring/chain 33:1 E exact RC ring/chain
44:1 E exact RC
ring/chain 47:1 E exact RC ring/chain
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 10:CLASS 11:CLASS 12:Atom
13:CLASS 14:Atom 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:Atom
26:CLASS 27:CLASS
28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS 33:CLASS 39:CLASS 40:CLASS
42:CLASS 43:CLASS
44:CLASS 46:CLASS 47:CLASS 49:CLASS 51:CLASS 52:CLASS 53:CLASS 56:CLASS
Generic attributes :
```

Saturation : Unsaturated Number of Carbon Atoms : less than 7 Type of Ring System : Monocyclic 14:

Saturation : Unsaturated

Structure search history

=> d stat query L55



Structure attributes must be viewed using STN Express query preparation.

L3 33380 SEA FILE=REGISTRY SSS FUL L1
L5 143 SEA FILE=REGISTRY SPE=ON AB

143 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON (103-90-2/BI OR 11041-12-6/BI OR 1247-42-3/BI OR 134523-00-5/BI OR 1406-18-4/BI OR 141907-41-7/BI OR 14417-88-0/BI OR 15687-27-1/BI OR 23187-87-3/BI OR 23288-49-5/BI OR 25812-30-0/BI OR 299406-55-6/ BI OR 300359-06-2/BI OR 300359-07-3/BI OR 300359-08-4/BI OR 300719-05-5/BI OR 300837-31-4/BI OR 303147-11-7/BI OR 303147-12 -8/BI OR 303147-40-2/BI OR 303147-41-3/BI OR 303147-45-7/BI OR 306980-56-3/BI OR 306980-58-5/BI OR 307332-77-0/BI OR 307332-78 -1/BI OR 312499-77-7/BI OR 312626-14-5/BI OR 312626-15-6/BI OR 315194-30-0/BI OR 320418-43-7/BI OR 320418-48-2/BI OR 320418-49 -3/BI OR 320421-36-1/BI OR 329077-80-7/BI OR 329900-75-6/BI OR 329967-85-3/BI OR 330221-00-6/BI OR 330819-79-9/BI OR 330981-36 -7/BI OR 330981-37-8/BI OR 330981-38-9/BI OR 330981-39-0/BI OR 330981-41-4/BI OR 330981-42-5/BI OR 330981-45-8/BI OR 330981-47 -0/BI OR 330981-49-2/BI OR 330981-52-7/BI OR 330981-53-8/BI OR 330981-54-9/BI OR 330981-55-0/BI OR 330981-59-4/BI OR 330981-60 -7/BI OR 330981-61-8/BI OR 330981-63-0/BI OR 330981-64-1/BI OR 330981-65-2/BI OR 330981-70-9/BI OR 330993-01-6/BI OR 330993-02 -7/BI OR 331648-43-2/BI OR 331648-44-3/BI OR 331848-81-8/BI OR 331971-30-3/BI OR 332374-83-1/BI OR 333415-58-0/BI OR 337488-96 -7/BI OR 338395-36-1/BI OR 338960-71-7/BI OR 338960-72-8/BI OR 338960-73-9/BI OR 338960-74-0/BI OR 338960-75-1/BI OR 338960-76 -2/BI OR 338960-93-3/BI OR 338960-99-9/BI OR 338967-63-8/BI OR 339279-05-9/BI OR 339279-06-0/BI OR 339279-07-1/BI OR 339279-08 -2/BI OR 339279-21-9/BI OR 339279-27-5/BI OR 371199-20-1/BI OR 371199-57-4/BI OR 380472-88-8/BI OR 380571-66-4/BI OR 381683-04 -1/BI OR 383146-83-6/BI OR 415699-44-4/BI OR 41859-67-0/BI OR 419548-22-4/BI OR 420104-18-3/BI OR 477710-02-4/BI OR 477886-15 -0/BI OR 477886-16-1/BI OR 477886-19-4/BI OR 478031-54-8/BI OR 478031-59-3/BI OR

L6 84 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L3 AND L5 L17 STR

L19 11720 SEA FILE=REGISTRY SUB=L3 SSS FUL L17 L20 27 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L19 AND L5 L22 717 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L19 598 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L22 AND (AY<2007 OR L23 PY<2007 OR PRY<2007 OR REVIEW/DT) 184 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L23 AND (THU/RL OR L24 DGN/RL OR DMA/RL OR PAC/RL OR PKT/RL) L25 2 SEA FILE-HCAPLUS SPE=ON ABB=ON PLU=ON L24 AND L20 L26 2 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L24 AND L6 L27 STR CN--- 0 6 Ch CN----S 7 G1 CN-Se 8 N-N-N 9 10 Ak 0 11N 12 G1 O, S, N, [@1], [@2], [@3], [@4], [@5] G2 S, OH, SH, CN, NO2, Cy, Ak, [@6], [@7], [@8], [@9], [@10], [@11], [@12]

Structure attributes must be viewed using STN Express query preparation.

Structure attributes must be viewed using STN Express guery preparation. 1.29 3855 SEA FILE=REGISTRY SUB=L3 SSS FUL L27

L30 STR

L55

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT * Structure attributes must be viewed using STN Express query preparation. L32 7345 SEA FILE=REGISTRY SUB=L3 SSS FUL L30 L35 71 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L24 AND L29 L36 108 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L24 AND L32 158 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON (L35 OR L36) L37 L38 83538 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON PHARMACEUTICALS+NT, PFT /CT L39 2 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L37 AND L38 1.40 59 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L5 NOT L6 L41 10086 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON TUMOR NECROSIS FACTOR 12404 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON COX1 L42 L43 4548 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON COX2 L44 1 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L37 AND L6 AND L40 L45 3 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L37 AND (L41 OR L42 OR L431 L46 46 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L37 AND (INFLAM? OR ANTINFLAM? OR ANTI(W) INFLAM? OR ANTIPYR? OR ANTI(W) PYRET?) 2 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON (L36 OR L37) AND L6 L47 48 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON (L25 OR L26) OR L39 L48 OR (L44 OR L45 OR L46 OR L47) 1.53 39 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L48 AND (AY<2005 OR PY<2005 OR PRY<2005 OR REVIEW/DT) T.54 2 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L6 AND L53 39 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON (L53 OR L54)

Structure search results

=> d L55 1-39 ibib ed abs hitrn hitstr

L55 ANSWER 1 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2007:941927 HCAPLUS Full-text

DOCUMENT NUMBER: 147:300862

TITLE: Preparation of 3-chloro-4-isopropoxybenzamide and

3-cvano-4-isopropoxybenzamide derivatives as

inhibitors of mitotic kinesins

INVENTOR(S): Oian, Xiangping; McDonald, Andrew I.; Zhou, Han-Jie;

Ashcraft, Luke W.; Yao, Bing; Jiang, Hong; Huang, Jennifer Kuo Chen; Wang, Jianchao; Morgans, David J.;

Morgan, Bradley P.; Bergnes, Gustave; Dhanak, Dashyant; Knight, Steven D.; Adams, Nicholas D.; Parrish, Cynthia A.; Duffy, Kevin; Fitch, Duke;

Tedesco, Rosanna
PATENT ASSIGNEE(S): Cvtokinetics, In-

PATENT ASSIGNEE(S): Cytokinetics, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 253 pp., Cont.-in-part of U.S.

Ser. No. 121,709. CODEN: USXXCO

DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|---------------|
| US 20070197481 | A1 | 20070823 | US 2005-124608 | 20050506 < |
| US 7618981 | B2 | 20091117 | US 2005-121709 | 20050503 < |
| US 20060094708 | A1 | 20060504 | | |
| US 20060247289 | A1 | 20061102 | US 2005-271147 | 20051109 < |
| US 7504413 | B2 | 20090317 | | |
| US 20080255182 | A1 | 20081016 | US 2008-7143 | 20080107 < |
| US 20090306127 | A1 | 20091210 | US 2009-350114 | 20090107 < |
| US 20090312365 | A1 | 20091217 | US 2009-350094 | 20090107 < |
| US 20090286841 | A1 | 20091119 | US 2009-396345 | 20090302 < |
| US 20100069453 | A1 | 20100318 | US 2009-541015 | 20090813 < |
| PRIORITY APPLN. INFO.: | | | US 2004-569510P | P 20040506 < |
| | | | US 2005-121709 | A2 20050503 < |
| | | | US 2005-124608 | A2 20050506 < |
| | | | US 2005-271147 | A3 20051109 < |
| | | | US 2006-598250 | A1 20061108 < |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 147:300862

ED Entered STN: 24 Aug 2007

```
Title compds. I (R1 = (un)substituted (hetero)arvl, heterocyclyl; X = CO, SO2;
AB
     R2 = H, (un)substituted lower alkyl; W = CR4, CH2CR4, N; R3 = COR7, H, CN,
     (un) substituted alkyl, heterocyclyl, aryl, sulfonyl; R4 = H, (un) substituted
     alkyl; R5 = H, HO, (un)substituted amino, heterocyclyl, or lower alkyl; R6 =
     H, (un)substituted alkyl, alkoxy, (hetero)aryloxy, alkoxycarbonyl,
     aminocarbonyl, (hetero)aryl, etc.; R7 = HO, (un)substituted lower alkyl, aryl,
     amino, aralkoxy, or alkoxy; provided that if W is N. then R5 is not hydroxy or
     (un) substituted amino, and R6 is not optionally substituted alkoxy, optionally
     substituted aralkoxy, optionally substituted heteroaralkoxy, or optionally
     substituted amino; and their pharmaceutically acceptable salts, solvates,
     chelates, non-covalent complexes, prodrugs, and their mixts.] were prepared
     Compds. I including N-benzoyl-amino alcs., N-benzoyl-amino acid amide, N-
     benzoylsemicarbazide, and N-benzoyl-diamine derivs. are inhibitors of one or
     more mitotic kinesins and are useful in the treatment of cellular
     proliferative diseases, for example cancer, hyperplasias, restenosis, cardiac
     hypertrophy, immune disorders, fungal disorders, and inflammation by
     modulating the activity of one or more mitotic kinesins. Thus,
     cyclocondensation of (2S)-2-(tert-butoxycarbonylamino)-5-bromo-4-oxopentanoic
     acid Me ester with thiobenzamide in the presence of diisopropylethylamine in
     methanol under refluxing for 24 h gave (2S)-2-(tert-butoxycarbonylamino)-3-(2-
     phenylthiazol-4-yl)propanoic acid which was treated with CF3CO2H in CH2C12 at
     room temperature for 10 min to give (2S)-2-amino-3-(2-phenylthiazol-4-
     yl)propanoic acid (II). II was condensed with 3-chloro-4-isopropoxybenzoic
     acid pentafluorophenyl ester in the presence of diisopropylethylamine in DMF
     at room temperature to give (2S)-N-methyl-2-[(3-chloro-4-
     isopropoxybenzovl)amino[-3-(2-phenylthiazol-4- vl) propanamide (III).
     Selected I showed GI50 (50% growth inhibition concentration) of ≤10 µM against
     human ovarian tumor cells Skov-3.
     869566-64-3P, (2S)-N-Methyl-2-[(3-chloro-4-
     isopropoxybenzoyl)amino]-3-[4-(4-isopropyl-1,6-dihydro-6-oxopyrimidin-2-
     vl)phenvl]propanamide
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
     THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (preparation of N-benzovl amino alcs., N-benzovl-amino acid, and
        N-benzoylsemicarbazide derivs. as inhibitors of mitotic kinesins)
     869566-64-3P, (2S)-N-Methv1-2-[(3-chloro-4-
     isopropoxybenzoyl)amino]-3-[4-(4-isopropyl-1,6-dihydro-6-oxopyrimidin-2-
     vl)phenvl|propanamide
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
     THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (preparation of N-benzoyl amino alcs., N-benzoyl-amino acid, and
        N-benzovlsemicarbazide derivs. as inhibitors of mitotic kinesins)
RN
     869566-64-3 HCAPLUS
```

(CA INDEX NAME)
Absolute stereochemistry.

CN

Benzenepropanamide, $\alpha - [(3-\text{chloro}-4-(1-\text{methylethoxy})\text{benzoyl}]\text{amino}]-4- [1,6-\text{dihydro}-4-(1-\text{methylethyl})-6-\text{oxo}-2-\text{pyrimidinyl}]-N-\text{methyl}-, (\alpha S)-$

$$i^{-Pr} \underbrace{ \begin{array}{c} C1 \\ MeNH \\ 0 \end{array} }_{MeNH} 0^{Pr-i}$$

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD

(2 CITINGS)

L55 ANSWER 2 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2007:705111 HCAPLUS Full-text

DOCUMENT NUMBER: 147:143660

TITLE: Preparation of 3-chloro-4-isopropoxybenzamide and

3-cyano-4-isopropoxybenzamide derivatives as

inhibitors of mitotic kinesins
INVENTOR(S): Oian, Xiangping: Ashcraft, Luke

INVENTOR(S): Qian, Xiangping; Ashcraft, Luke W.; Wang, Jianchao; Yao, Bing; Jiang, Hong; Bergnes, Gustave; Morgan,

Bradley P.; Morgans, David J.; Dhanak, Dashyant; Knight, Steven D.; Adams, Nicholas D.; Parrish, Cynthia A.; Duffy, Kevin J.; Fitch, Duke; Tedesco,

Rosanna

PATENT ASSIGNEE(S): SmithKline Beecham Corp., USA; Cytokinetics,

Incorporated

SOURCE: U.S. Pat. Appl. Publ., 171 pp., Cont.-in-part of U.S. Ser. No. 271,147.

CODEN: USXXCO

Patent

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE | |
|------------------------|------|----------|-----------------|----|----------|---|
| | | | | - | | |
| US 20070149516 | A1 | 20070628 | US 2006-598250 | | 20061108 | < |
| US 7582668 | B2 | 20090901 | | | | |
| US 20060247289 | A1 | 20061102 | US 2005-271147 | | 20051109 | < |
| US 7504413 | B2 | 20090317 | | | | |
| US 20100069453 | A1 | 20100318 | US 2009-541015 | | 20090813 | < |
| PRIORITY APPLN. INFO.: | | | US 2005-271147 | A2 | 20051109 | < |
| | | | US 2004-569510P | P | 20040506 | < |
| | | | US 2005-121709 | A2 | 20050503 | < |
| | | | US 2005-124608 | A2 | 20050506 | < |
| | | | US 2006-598250 | A1 | 20061108 | < |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 147:143660

ED Entered STN: 29 Jun 2007

0.7

The title compds. [I; R1 = 3-halo-4-((R)-1,1,1-trifluoropropan-2-

AB

vloxy) phenyl, 3-cyano-4-((R)-1,1,1-trifluoropropan-2-yloxy) phenyl, 3-halo-4isopropylaminophenyl, 3-cyano-4-isopropylaminophenyl, 3-halo-4-((R)-1,1,1trifluoropropan-2-vlamino)phenyl, 3-cvano-4-((R)-1,1,1-trifluoropropan-2ylamino)phenyl; X = CO, SO2; R2 = H, (un)substituted lower alkyl; W = CR4, CH2CR4, N; R3 = COR7, H, each (un)substituted substituted alkv1, heterocycloalkyl, heteroaryl, or aryl, cyano, sulfonyl; R4 = H, (un) substituted alkyl; R5 = H, HO, each (un) substituted amino, cycloalkyl, heterocycloalkyl, heteroaryl, or lower alkyl; R6 = H, CONH2, (un)substituted alkyl, alkoxy, aryloxy, heteroaryloxy, alkoxycarbonyl, aryl, heteroaryl, cycloalkyl, or heterocycloalkyl; R7 = HO, each (un)substituted lower alkyl, aryl, amino, aralkoxy, or alkoxy; provided that if W is N, then R5 is not hydroxy or (un)substituted amino, and R6 is not optionally substituted alkoxy, optionally substituted aralkoxy, optionally substituted heteroaralkoxy, or optionally substituted amino] are prepared (1R)-1-(methoxycarbonylamino)-1-[4-[4-[(2S)-2-[[[4-(((1R)-2,2,2-trifluoroisopropy1)oxy)-3chlorophenvl]carbonvl]amino]-4- hvdroxvbutvl]phenvl]-1-ethvlimidazo1-2yl]ethane. These compds. including N-benzoyl-amino alcs., N-benzoyl-amino acid amide, N-benzoylsemicarbazide, and N-benzoyl-diamine derivs. are inhibitors of one or more mitotic kinesins and are useful in the treatment of cellular proliferative diseases, for example cancer, hyperplasias, restenosis, cardiac hypertrophy, immune disorders, fungal disorders, and inflammation by modulating the activity of one or more mitotic kinesins. Thus, cyclocondensation of (2S)-2-(tert-butoxycarbonylamino)-5-bromo-4- oxopentanoic acid Me ester with thiobenzamide in the presence of diisopropylethylamine in methanol under refluxing for 24 h gave (2S)-2-(tert-butoxycarbonylamino)-3-(2phenylthiazol-4-yl)propanoic acid which was treated with CF3CO2H in CH2Cl2 at room temperature for 10 min to give (2S)-2-amino-3-(2-phenylthiazol-4yl)propanoic acid (II). II was condensed with 3-chloro-4-isopropoxybenzoic acid pentafluorophenyl ester in the presence of diisopropylethylamine in DMF at room temperature to give (2S)-N-methyl-2-[(3-chloro-4isopropoxybenzoyl)amino]-3-(2-phenylthiazol-4- yl)propanamide (III). Many of the compds. I showed GI50 (50% growth inhibition concentration) of ≤10 uM against human ovarian tumor cells Skov-3. ΤТ 869566-64-3P, (2S)-N-Methyl-2-[(3-chloro-4isopropoxybenzoyl)amino]-3-[4-(4-isopropyl-1,6-dihydro-6-oxopyrimidin-2vl)phenvl|propanamide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of N-benzoyl amino alcs., N-benzoyl-amino acid, and N-benzovlsemicarbazide derivs. as inhibitors of mitotic kinesins) 869566-64-3P, (2S)-N-Methyl-2-1(3-chloro-4isopropoxybenzovl)aminol-3-[4-(4-isopropyl-1,6-dihydro-6-oxopyrimidin-2yl)phenyl]propanamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of N-benzoyl amino alcs., N-benzoyl-amino acid, and N-benzoylsemicarbazide derivs. as inhibitors of mitotic kinesins)

RN 869566-64-3 HCAPLUS

CN Benzenepropanamide, a-[[3-chloro-4-(1-methylethoxy)benzoy1]amino]-4-[1,6-dihydro-4-(1-methylethyl)-6-oxo-2-pyrimidinyl]-N-methyl-, (aS)-(CA INDEX NAME)

Absolute stereochemistry.

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS)

REFERENCE COUNT: 63 THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 3 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:1150636 HCAPLUS Full-text

DOCUMENT NUMBER: 145:471865

TITLE: Preparation of amino acid-related compounds for

treating cellular proliferative diseases

INVENTOR(S): Qian, Xiangping; McDonald, Andrew I.; Zhou, Han-Jie; Ashcraft, Luke W.; Yao, Bing; Jiang, Hong; Kuc Chen Huang, Jennifer; Wang, Jianchao; Morgans, David J.;

Morgan, Bradley P.; Bergnes, Gustave; Dhanak, Dashyant; Knight, Steven D.; Adams, Nicholas D.; Parrish, Cynthia A.; Duffy, Kevin; Fitch, Duke;

Tedesco, Rosanna

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: U.S. Pat. Appl. Publ., 297 pp., Cont.-in-part of U.S.

Ser. No. 124,608. CODEN: USXXCO

DOCUMENT TYPE: Patent
LANGUAGE: English

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| US 20060247289 | A1 | 20061102 | US 2005-271147 | 20051109 < |
| US 7504413 | B2 | 20090317 | | |
| US 7618981 | B2 | 20091117 | US 2005-121709 | 20050503 < |
| US 20060094708 | A1 | 20060504 | | |
| US 20070197481 | A1 | 20070823 | US 2005-124608 | 20050506 < |
| WO 2007056469 | A2 | 20070518 | WO 2006-US43514 | 20061108 < |
| WO 2007056469 | A3 | 20071115 | | |

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PRIORITY APPLN. INFO.:
                                            US 2004-569510P
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 145:471865

ED Entered STN: 02 Nov 2006

GI

AB The invention relates to compds. R1-X-NR2-WR3-CHR5R6 [RI is (un)substituted aryl, heterocyclyl or heteroaryl; X is CO or SO2; R2 is H or (un)substituted alkyl; W is CR4, CH2CR4 or N (R4 is a group defined for R2); R3 is H, acyl, cyano, (un)substituted alkyl, heterocyclyl, sulfonyl or aryl; R5 is H, OH, (un)substituted amino, heterocyclyl or alkyl; R6 is H, (un)substituted alkyl, alkoxy, aryloxy, heteroaryloxy, alkoxycarbonyl, aminocarbonyl, aryl, heteroaryl, heterocyclyl or aralkyl (with provisos)] and their pharmaceutically-acceptable salts, prodrugs, etc., which are useful for treating cellular proliferative diseases and disorders by modulating the activity of one or more mitotic kinesins. Thus, compound I was prepared by

acylation of 4-bromophenylalanine with 3-chloro-4-isopropoxybenzoic acid pentafluorophenyl ester (preparation given), followed by methylamidation and reaction with piperazine. Several compds. of the invention demonstrated GT50 values less than 10 μ M, and several have values less than 1 μ M in cell proliferation inhibition assays.

IT 869566-64-3P

RL: FAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of amino acid-related compds. for treating cellular proliferative diseases)

IT 869566-64-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of amino acid-related compds. for treating cellular proliferative diseases)

RN 869566-64-3 HCAPLUS

CN Benzenepropanamide, a-[[3-chloro-4-(1-methylethoxy)benzoy1]amino]-4-[1,6-dihydro-4-(1-methylethyl)-6-oxo-2-pyrimidinyl]-N-methyl-, (aS)-(CA INDEX NAME)

Absolute stereochemistry.

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD

(2 CITINGS)

REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 4 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2006:510613 HCAPLUS Full-text

DOCUMENT NUMBER: 145:8035

TITLE: 4-Piperidinecarboxamides as modulators of vanilloid receptor VR1, their preparation, pharmaceutical and

veterinary compositions, and use in therapy
INVENTOR(S): Calvo, Raul R.; Wing, Cheung S.; Player, Mark R.

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 197 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

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WO 2006058338
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PRIORITY APPLN. INFO.:
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT CASREACT 145:8035; MARPAT 145:8035 OTHER SOURCE(S): Entered STN: 01 Jun 2006

GT

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- ΔR The invention relates to 4-piperidinecarboxamides I, which are vanilloid receptor 1 (VR1) modulators. In compds. I, Ar is selected from benzo[b]thienyl, naphthyl, biphenyl, isoquinolinyl, thienyl, pyridazinyl, and benzothiazolyl; Z is O or S; n is 1 or 2; each R1 is independently selected from H, C1-6 alkyl, -C02R3, and -CH2C02R3, where R3 is H or C1-3 alkyl; and R2 is H or C1-6 alkyl, optionally substituted with -OR3; including stereoisomers, tautomers, solvates and salts thereof. The invention also relates to the preparation of I, pharmaceutical or veterinary compns. comprising a compound I admixed with a pharmaceutically/veterinarily acceptable carrier, excipient, or diluent, as well as to the use of the compns. for the treatment or prevention of conditions responding to the modulation of VR1. Substitution of 3-bromo-1,2-dimethylbenzene with Et nipecotate and ester hydrolysis gave carboxylic acid II, which was amidated with 6-amino-2H-1,4-benzoxazin-3(4H)-one to give piperidinecarboxamide III. The compds. of the invention are modulators of VR1, e.g., compound III expresses a Ki value of 27 nM for binding to VR1 and an IC50 value of 0.06 uM for inhibition of VR1 function.
- 888038-60-6P, 1-(3-Trifluoromethylphenyl)piperidine-4-
- carboxamide N-[4-(4,6-dimethoxypyrimidin-2-v1)phenv1]
 - RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
 - THU (Therapeutic use); BIOL (Biological study); PREP
 - (Preparation); USES (Uses)
- (drug candidate; preparation of piperidinecarboxamides as modulators of vanilloid receptor VR1)
- 888038-60-6P, 1-(3-Trifluoromethylphenyl)piperidine-4
 - carboxamide N-[4-(4,6-dimethoxypyrimidin-2-v1)phenv1]
 - RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
 - THU (Therapeutic use); BIOL (Biological study); PREP
 - (Preparation); USES (Uses)
 - (drug candidate; preparation of piperidinecarboxamides as modulators of vanilloid receptor VR1)

RN 888038-60-6 HCAPLUS

CN 4-Piperidinecarboxamide, N-[4-(4,6-dimethoxy-2-pyrimidiny1)pheny1]-1-[3-(trifluoromethy1)pheny1]- (CA INDEX NAME)

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD

(5 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 5 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:383317 HCAPLUS Full-text

DOCUMENT NUMBER: 144:432841

TITLE: Preparation of diaryl substituted triazines and pyrimidines for nonsense suppression

INVENTOR(S): Almstead, Neil; Karp, Gary M.; Wilde, Richard; Welch,

Ellen; Ren, Hongyu

PATENT ASSIGNEE(S): PTC Therapeutics, Inc., USA SOURCE: PCT Int. Appl., 159 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patient

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE WO 2006044505 A2 20060427 WO 2005-US36764 20051013 <--WO 2006044505 A3 20060706 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM AU 2005295730 A1 20060427 AU 2005-295730 20051013 <--AU 2005295778 A1 20060427 AU 2005-295778 20051013 <--CA 2583159 A1 20060427 CA 2005-2583159 20051013 <--CA 2005-2583976 CA 2583976 A1 A1 20060427 CA 2005-2583976 A2 20070627 EP 2005-807462 20051013 <--EP 1799212 20051013 <--R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR A1 20070808 EP 2005-815159 EP 1815206 20051013 <--

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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): CASREACT 144:432841; MARPAT 144:432841

ED Entered STN: 27 Apr 2006

GI

$$\mathbb{R}^{1} \xrightarrow{\mathbb{N}^{2}} \mathbb{R}^{1} \mathbb{I}_{\mathbb{R}^{1}}$$

- AB The present invention relates to methods, compds., and compns. for treating or preventing diseases associated with nonsense mutations in an mRNA by administering the compds. I [W, X, Y and Z = N, CRa (wherein Ra = H, alkyl; at least one of W, X, Y and Z = N), n = 0-3; Rl = cyano, carbamoyl which is optionally substituted with 1-2 alkyl groups, etc.; R = OH, halo, alkyl, etc.] or compns. comprising I. More particularly, the present invention relates to methods, compds., and compns. for suppressing premature translation termination associated with a nonsense mutation in an mRNA. Over eighty compds. I were prepared E.g., a multi-step synthesis of I [X = CH; W, Y, Z = N; Rl = 3-COZH; R = 4-Me; n = 1], starting from 4-methylbenzamide and DMF dimethylacetal, was given. Compds. I were tested for nonsense suppression activity from a cell-based luciferase reporter assay (data given).
 - RL: FAC (Pharmacological activity); SPN (Synthetic preparation); TWU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of diaryl triazines and pyrimidines for suppressing premature translation termination associated with nonsense mutation in an mRNA and useful in treating and preventing diseases-associated with nonsense mutations in an mRNA)

884656-43-3P 884656-48-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of diaryl triazines and pyrimidines for suppressing premature translation termination associated with nonsense mutation in an mRNA and useful in treating and preventing diseases-associated with nonsense mutations in an mRNA)

RN 884656-43-3 HCAPLUS

Benzoic acid, 4-[4-methyl-6-[4-(trifluoromethyl)phenyl]-2-pyrimidinyl]-(CA INDEX NAME)

884656-48-8 HCAPLUS

CN Benzoic acid, 3-[4-(4-fluorophenyl)-6-methyl-2-pyrimidinyl]- (CA INDEX NAME)

L55 ANSWER 6 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2006:301346 HCAPLUS Full-text

DOCUMENT NUMBER: 144:350708

TITLE: Novel pyrimidine compounds, process for their

preparation, pharmaceutical compositions, and their use as antiinflammatory, cytotoxic, rheumatic, immunosuppressive and cardiovascular agents for

treatment of diseases INVENTOR (S):

Kalleda, Srinivas; Padakanti, Srinivas; Kumar Swamy, Nalivela; Yeleswarapu, Koteswar Rao; Alexander,

Christopher W.; Khanna, Ish Kumar; Iqbal, Javed; Pillarisetti, Sivaram; Pal, Manojit; Barange, Deepak

PATENT ASSIGNEE(S): Reddy US Therapeutics, Inc., USA

SOURCE: PCT Int. Appl., 336 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE: Patent English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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| | 2007 | | | | | | 2007 | | | | | | | | | | 420 < |
| | 2007 | | | | A | | 2008 | 0625 | | | | | | | | | 420 < |
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 144:350708

ED Entered STN: 31 Mar 2006

GI

AB The invention provides heterocyclic compds., particularly substituted pyrimidines of formula I, methods and compns. for making and using these heterocyclic compds., and methods for treating a variety of diseases and disease states, including atherosclerosis, arthritis, restenosis, diabetic

nephropathy, or dyslipidemia, or disease states mediated by the low expression of Perlecan. Compds. of formula I wherein Rl, R2 and R4 are independently (un) substituted (hetero) aryl or (un) substituted heterocyclyl; and their pharmaceutically acceptable salts, prodrugs, diastereoisomeric mixts, enantiomers, tautomers, and racemic mixts. thereof are claimed in this invention. Example compound II was prepared by acylation of 4-methoxyacetophenone with di-Et carbonate; the resulting Et 4-methoxybenzoylacetate underwent cyclization with quanidine carbonate to give 2-amino-6-(4-methoxyphenyl)pyrimidin-4-ol., which was converted to 4-chloro-6-(methoxyphenyl)pyrimidin-2-ylamine, which underwent amination with 3-chloro-4-methoxyniline to give compound II. The invention compds. were evaluated for their antiinflammatory, proliferative, cardiovascular, and immunosuppressive activity (no data).

IT 97513-51-4P, 2-(4-Fluorophenyl)pyrimidine-4,6-diol RL: PAG (Phermacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (drug candidate; preparation of pyrimidine compds. and their use as antiinflammatory, proliferative, rheumatic, immunosuppressive and cardiovascular agents for treatment of diseases)

IT 881193-97-1P 881193-98-2P 881194-00-9P 881194-16-7P 881194-41-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(drug candidate; preparation of pyrimidine compds. and their use as antiinflammatory, proliferative, rheumatic, immunosuppressive and cardiovascular aqents for treatment of diseases)

IT 97513-51-4P, 2-(4-Fluorophenyl)pyrimidine-4,6-diol

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological

study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (drug candidate; preparation of pyrimidine compds. and their use as

antiinflammatory, proliferative, rheumatic, immunosuppressive and cardiovascular agents for treatment of diseases)

RN 97513-51-4 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-(4-fluorophenyl)-6-hydroxy- (CA INDEX NAME)

IT 881193-97-1P 881193-98-2P 881194-00-9P 881194-16-7P 881194-41-8P RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(drug candidate; preparation of pyrimidine compds. and their use as antiinflammatory, proliferative, rheumatic, immunosuppressive and cardiovascular agents for treatment of diseases)

RN 881193-97-1 HCAPLUS

CN 4-Piperidinol, 1-[2-(4-fluorophenyl)-6-phenyl-4-pyrimidinyl]- (CA INDEX NAME)

- RN 881193-98-2 HCAPLUS
- CN 4-Piperidinol, 1-[6-phenyl-2-[4-(trifluoromethoxy)phenyl]-4-pyrimidinyl]-(CA INDEX NAME)

- RN 881194-00-9 HCAPLUS
- CN 4-Piperidinol, 1-[2-[3-(methylsulfonyl)phenyl]-6-phenyl-4-pyrimidinyl]-(CA INDEX NAME)

- RN 881194-16-7 HCAPLUS
- CN Ethanone, 1-[3-[4-(4-morpholiny1)-6-[[4-(trifluoromethoxy)pheny1]amino]-2-pyrimidiny1]pheny1]- (CA INDEX NAME)

- RN 881194-41-8 HCAPLUS
- CN 4-Pyrimidinamine, 2-(4-fluorophenyl)-6-(4-morpholinyl)-N-[4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 7 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2006:103651 HCAPLUS Full-text

DOCUMENT NUMBER: 144:192274

TITLE: Preparation of pyridothienopyrimidines and related compounds as phosphodiesterase 4 and tumor necrosis

 $\mbox{factor (TNF$\alpha$) release inhibitors} \\ \mbox{INVENTOR(S):} \\ \mbox{Reichelt, Claudia; Ludwig, Alexander; Schulze,} \\$

Alexander; Daghish, Mohammed; Leistner, Siegfried;

Kroedel, Andreas; Heinicke, Jochen PATENT ASSIGNEE(S): Curacyte Discovery GmbH, Germany

SOURCE: PCT Int. Appl., 175 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| | PATENT NO. WO 2006010567 | | | | | | DATE | | | APPL | | | | | | ATE | |
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| ΕP | 1619 | 196 | | | A1 | | 2006 | 0125 | | EP 2 | 004- | 1754 | 2 | | 2 | 0040 | 723 < |
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| EΡ | 1623 | 987 | | | A1 | | 2006 | 0208 | | EP 2 | 004- | 1827 | 2 | | 2 | 0040 | 802 < |
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| EΡ | 1773 | 840 | | | A1 | | 2007 | 0418 | | EP 2 | 005- | 7704 | 50 | | 2 | 0050 | 722 < |
| EP 1773840 B1 | | | | | | | 2010 | 0120 | | | | | | | | | |
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| AT 455777 | т | 20100215 | ΔТ | 2005-770450 | | 20050722 < |
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| US 20080160028 | A1 | 20080703 | | 2007-625691 | | 20070122 < |
| PRIORITY APPLN. INFO.: | AI | 20000703 | | 2004-17542 | | 20040723 < |
| PRIORITI APPEN. INPO | | | | 2004-17342 | | 20040723 < |
| | | | | | | |
| | | | WO | 2005-EP8030 | W | 20050722 < |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 144:192274

ED Entered STN: 03 Feb 2006

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I and II [Y = S, O, N; Rl = H, alkyl, alkenyl, etc.; R2 = H, alkyl, alkenyl, etc.; R3 = H, alkyl, alkenyl, etc.; R4 = alkyl, cycloalkyl, alkenyl, etc.; R5 = OR6, NR7R8, etc.; R6 = Me, Et, t-Bu, etc.; NR7R8 = morpholino, pyrrolidino, piperidino, etc.] and their pharmaceutically acceptable salts were prepared For example, condensation of piperazine and chloropyrimidine III afforded claimed thienopyrimidine IV in 18%. In phosphodiesterase 4 inhibition assays, compds. I exhibited ICSO values <2 nM.

IT 874811-64-0P, 6-Mercapto-4-methyl-2-(4isopropoxyphenyl)pyrimidine-5-carbonitrile

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyridothienopyrimidines and related compds. as phosphodiesterase 4 and tumor necrosis factor (TNF α) release inhibitors)

IT 874811-64-0P, 6-Mercapto-4-methyl-2-(4-

isopropoxyphenyl)pyrimidine-5-carbonitrile

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyridothienopyrimidines and related compds. as phosphodiesterase 4 and tumor necrosis factor (TNF α) release inhibitors)

RN 874811-64-0 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 1,6-dihydro-4-methyl-2-[4-(1-methylethoxy)phenyl]-6-thioxo- (CA INDEX NAME)

NC OPr-i

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD

(2 CITINGS)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 8 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2006:103287 HCAPLUS Full-text DOCUMENT NUMBER: 144:171008

TITLE: Preparation of pyridothienopyrimidiones and related

compounds as tumor necrosis factor α

 $(TNF-\alpha)$ release inhibitors

INVENTOR(S): Reichelt, Claudia; Ludwig, Alexander; Schulze,

Alexander; Daghish, Mohammed; Leistner, Siegfried

PATENT ASSIGNEE(S): Curacyte Discovery GmbH, Germany

SOURCE: PCT Int. Appl., 95 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2 PATENT INFORMATION:

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| | 2006 | | | | | | | | | WO 2 | 005-1 | EP80: | 31 | | 2 | 0050 | 722 <- | - |
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| | | SL, | SM, | SY, | TJ, | TM. | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | |
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- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB Title compds. I and II [X = CR2, N; Y = S, O; R1, R3 = H, alkyl, alkenyl, etc.; R2 = H, alkyl, alkenyl, etc.; R4 = alkyl, cycloalkyl, alkenyl, etc.] and their pharmaceutically acceptable salts were prepared For example, phosgene mediated cycliczation of aminoamide III afforded thienopyrimidione IV in 96% yield. In tumor necrosis factor inhibition assays, 10-examples of compds. exhibited ICSO values <10 nM.
- IT 81397-24-2P 81397-25-3P 874811-53-7P 874811-54-9P 874811-56-0P 874811-67-3P 874811-62-3P 874811-69-5P 874811-67-3P 874811-69-4P 874811-69-5P 874811-71-9P 874811-81-1P 874811-82-2P 874811-83-3P 874811-81-1P 874811-81-8

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyridothienopyrimidiones and related compds. as tumor

necrosis factor α (TNF- α) release inhibitors)

- IT 81397-24-29 81397-25-3P 874811-53-7P 974811-54-8P 974811-54-8P 974811-56-0P 874811-59-3P 974811-66-4P 874811-67-3P 874811-66-4P 874811-66-4P 874811-67-3P 874811-77-0-6P 874811-72-2P 874811-79-7P 874811-81-4P 874811-83-3P 874811-83-4P 874811-89-9P 874811-90-2P 874811-90-2P
 - 874811-91-3P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 - (preparation of pyridothienopyrimidiones and related compds. as tumor necrosis factor α (TNF- α) release inhibitors)
- RN 81397-24-2 HCAPLUS
- CN 5-Pyrimidinecarbonitrile, 1,6-dihydro-2-(4-methoxyphenyl)-4-methyl-6thioxo- (CA INDEX NAME)

- RN 81397-25-3 HCAPLUS
- CN 5-Pyrimidinecarbonitrile, 1,6-dihydro-4-methyl-2-(4-nitrophenyl)-6-thioxo-(CA INDEX NAME)

- RN 874811-53-7 HCAPLUS
- CN 5-Pyrimidinecarbonitrile, 1,6-dihydro-4-methyl-2-(4-phenoxyphenyl)-6thioxo- (CA INDEX NAME)

- RN 874811-54-8 HCAPLUS
- CN 5-Pyrimidinecarbonitrile, 1,6-dihydro-4-methyl-2-(4-propylphenyl)-6-thioxo-(CA INDEX NAME)

RN 874811-56-0 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 1,6-dihydro-4-methyl-6-thioxo-2-[4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

RN 874811-59-3 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 2-(4-bromophenyl)-1,6-dihydro-4-methyl-6-thioxo-(CA INDEX NAME)

RN 874811-62-8 HCAPLUS

RN 874811-64-0 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 1,6-dihydro-4-methyl-2-[4-(1-methylethoxy)phenyl]-6-thioxo- (CA INDEX NAME)

- RN 874811-67-3 HCAPLUS
- CN 5-Pyrimidinecarbonitrile, 1,6-dihydro-2-(4-iodopheny1)-4-methyl-6-thioxo-(CA INDEX NAME)

- RN 874811-68-4 HCAPLUS
- CN 5-Pyrimidinecarbonitrile, 1,6-dihydro-4-methyl-2-(4-methylphenyl)-6-thioxo-(CA INDEX NAME)

- RN 874811-69-5 HCAPLUS
- CN 5-Pyrimidinecarbonitrile, 2-(4-chlorophenyl)-1,6-dihydro-4-methyl-6-thioxo-(CA INDEX NAME)

- RN 874811-70-8 HCAPLUS
- CN 5-Pyrimidinecarbonitrile, 2-(4-cyanopheny1)-1,6-dihydro-4-methyl-6-thioxo-(CA INDEX NAME)

- RN 874811-71-9 HCAPLUS
- CN 5-Pyrimidinecarbonitrile, 2-(3-fluoropheny1)-1,6-dihydro-4-methyl-6-thioxo-(CA INDEX NAME)

- RN 874811-79-7 HCAPLUS
- CN 5-Pyrimidinecarbonitrile, 2-(2-fluorophenyl)-1,6-dihydro-4-methyl-6-thioxo-(CA INDEX NAME)

- RN 874811-81-1 HCAPLUS
- CN 5-Pyrimidinecarbonitrile, 2-(2-bromopheny1)-1,6-dihydro-4-methyl-6-thioxo-(CA INDEX NAME)

- RN 874811-82-2 HCAPLUS
- CN 5-Pyrimidinecarbonitrile, 1,6-dihydro-2-(3-methoxypheny1)-4-methyl-6thioxo- (CA INDEX NAME)

- RN 874811-83-3 HCAPLUS
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- CN 5-Pyrimidinecarbonitrile, 1,6-dihydro-4-methyl-2-(2-methylphenyl)-6-thioxo-(CA INDEX NAME)

- RN 874811-88-8 HCAPLUS
- CN 5-Pyrimidinecarbonitrile, 1,6-dihydro-4-methyl-2-(3-methylphenyl)-6-thioxo-(CA INDEX NAME)

- RN 874811-89-9 HCAPLUS
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874811-90-2 HCAPLUS RN

CN 5-Pyrimidinecarbonitrile, 2-[1,1'-biphenyl]-4-yl-1,6-dihydro-4-methyl-6thioxo- (CA INDEX NAME)

874811-91-3 HCAPLUS RN

CN 5-Pyrimidinecarbonitrile, 2-[4-(1,1-dimethylethyl)phenyl]-1,6-dihydro-4methyl-6-thioxo- (CA INDEX NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS) REFERENCE COUNT: THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 9 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2005:1262399 HCAPLUS Full-text

DOCUMENT NUMBER: 144:22712

TITLE: Triaryl compounds as PPAR modulators, their

preparation, pharmaceutical compositions, and use in

therapy Epple, Robert; Azimioara, Mihai INVENTOR(S):

PATENT ASSIGNEE(S): Irm LLC, Bermuda

SOURCE:

PCT Int. Appl., 59 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO. APPLICATION NO. KIND DATE DATE

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WO 2005113506
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PRIORITY APPLN. INFO.:
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): CASREACT 144:22712; MARPAT 144:22712

ED Entered STN: 02 Dec 2005

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to anyl compds. of formula I, which are modulators of peroxisome proliferator-activated receptors (PPAR), particularly PPARO. In compds. I, m is 0-3; X, Y, and Z are independently selected from CH and N; L is (un)substituted (CH2)nO(CH2)n or (CH2)nS(O)p(CH2)n, where each n is independently selected from 0-4 and p is 0-2; R1 and R2 are independently selected from (un)substituted C3-12 cycloalkyl-A-, (un)substituted C3-8 heterocyclyl-A-, (un)substituted C6-10 aryl-A-, and (un)substituted C5-13 heteroary1-A-, where A is a bond, C1-6 alkylene, C2-6 alkenylene, or C2-6 alkynylene; R3 is selected from halo, C1-6 alkyl, C1-6 alkoxy, C1-6 hydroxyalkyl, C1-6 haloalkyl, C1-6 haloalkoxy, (un)substituted C6-10 aryl, (un) substituted C5-10 heteroarvl, (un) substituted C3-12 cvcloalkvl, and (un) substituted C3-8 heterocyclyl; and R4 is selected from (CH2) nO(CH2) nCO2R5 and (CH2)nCO2R5, where n is as defined previously and R5 is H or C1-6 alkyl; including pharmaceutically acceptable salts, hydrates, solvates, isomers, and prodrugs thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising a therapeutically effective amount of compound I in combination with one or more pharmaceutically acceptable excipients, as well as to the use of the compns. to treat or prevent diseases or disorders associated with PPAR activity. Substitution of Me bromoacetate with 4-hydroxy-3-methylacetophenone followed by Baever-Villiger oxidation and methanolysis gave phenoxyacetate II, which underwent substitution of 3,5dibromobenzyl bromide to give dibromobenzyl ether III. Treatment of III with an excess of 4-trifluoromethylphenylboronic acid and ester hydrolysis resulted

in the formation of terphenyl IV. Most preferred compds. of the invention express an EC50 value for PPAR δ of less than 100 nM. The compds. of the invention are at least 100-fold selective for PPARδ over PPARV.

870289-63-7P, [4-[2,6-Bis(4-methoxyphenyl)pyrimidin-4-vlmethoxy]-2-(methyl)phenoxy]acetic acid 870289-66-0P 870289-67-1P

RL: FAC (Pharmacological activity); SPN (Synthetic preparation); THO (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(drug candidate; preparation of triaryl compds. as PPAR modulators and

their

use for treatment and prevention of diseases associated with PPAR δ activity)

870289-63-7F, [4-[2,6-Bis(4-methoxyphenyl)pyrimidin-4-ylmethoxy]-2-(methyl)phenoxylacetic acid 870289-66-0P

870289-67-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(drug candidate; preparation of triaryl compds. as PPAR modulators and their

use for treatment and prevention of diseases associated with PPARô activity)

RN 870289-63-7 HCAPLUS

Acetic acid, 2-[4-[[2,6-bis(4-methoxyphenyl)-4-pyrimidinyl]methoxy]-2methylphenoxy]- (CA INDEX NAME)

870289-66-0 HCAPLUS RN

CN Acetic acid, 2-[4-[[2,6-bis[4-(trifluoromethyl)phenyl]-4pyrimidinyl|methoxy|-2-methylphenoxy|- (CA INDEX NAME)

RN 870289-67-1 HCAPLUS

Acetic acid, 2-[4-[[2,6-bis[4-(trifluoromethoxy)phenyl]-4-CN pyrimidinyl|methoxyl-2-methylphenoxyl- (CA INDEX NAME)

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD

(2 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 10 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:1241187 HCAPLUS Full-text DOCUMENT NUMBER: 144:6804

TITLE:

Preparation of 4,5-disubstituted-2-aryl pyrimidines as C5a receptor ligands

INVENTOR(S):

Maynard, George D.; Ghosh, Manuka; Yuan, Jun; Currie, Kevin S.; Mitchell, Scott; Guo, Qin; Zhao, He

PATENT ASSIGNEE(S): Neurogen Corporation, USA SOURCE: PCT Int. Appl., 216 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| PAT | TENT I | NO. | | | KIN | D | DATE | | | APPL | ICAT | ION : | NO. | | D. | ATE | |
|-----|--------|------|-----|-----|-----|-----|------|------|-----|------|------|-------|------|-----|-----|------|-------|
| | | | | | | _ | | | | | | | | | | | |
| WO | 2005 | 1104 | 16 | | A2 | | 2005 | 1124 | | WO 2 | 005- | US15 | 897 | | 2 | 0050 | 506 < |
| WO | 2005 | 1104 | 16 | | A3 | | 2006 | 0413 | | | | | | | | | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, |
| | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KM, | KP, | KR, | KZ, |
| | | LC, | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NA, |
| | | NI, | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, |
| | | SM, | SY, | TJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, |
| | | ZM, | ZW | | | | | | | | | | | | | | |
| | RW: | BW, | GH, | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, |
| | | AZ, | BY, | KG, | KZ, | MD, | RU, | TJ, | TM, | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, |
| | | EE, | ES, | FI, | FR, | GB, | GR, | HU, | ΙE, | IS, | IT, | LT, | LU, | MC, | NL, | PL, | PT, |
| | | RO, | SE, | SI, | SK, | TR, | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, |
| | | MR, | NE, | SN, | TD, | TG | | | | | | | | | | | |
| AU | 2005 | 2441 | 04 | | A1 | | 2005 | 1124 | | AU 2 | 005- | 2441 | 04 | | 2 | 0050 | 506 < |
| CA | 2563 | 607 | | | A1 | | 2005 | 1124 | | CA 2 | 005- | 2563 | 607 | | 2 | 0050 | 506 < |
| | 2005 | | | | | | | | | US 2 | 005- | 1237 | 55 | | 2 | 0050 | 506 < |
| US | 7482 | 350 | | | B2 | | 2009 | 0127 | | | | | | | | | |
| EP | 1745 | 033 | | | A2 | | 2007 | 0124 | | EP 2 | 005- | 7466 | 87 | | 2 | 0050 | 506 < |
| | R: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, |
| | | IS, | IT, | LI, | LT, | LU, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR | | |
| CN | 1976 | 918 | | | A | | 2007 | 0606 | | CN 2 | 005- | 8002 | 1315 | | 2 | 0050 | 506 < |
| | | | | | | | | | | | | | | | | | 506 < |
| IN | 2006 | DNO7 | 409 | | A | | 2007 | 0824 | | IN 2 | 006- | DN74 | 09 | | 2 | 0061 | 207 < |

| US 20100022516 | A1 | 20100128 | US | 2009-320539 | | 20090126 < |
|------------------------|----|----------|----|--------------|----|------------|
| PRIORITY APPLN. INFO.: | | | US | 2004-569222P | P | 20040508 < |
| | | | US | 2005-649973P | P | 20050204 < |
| | | | US | 2005-123755 | A3 | 20050506 < |
| | | | WO | 2005-US15897 | W | 20050506 < |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): CASREACT 144:6804; MARPAT 144:6804

ED Entered STN: 24 Nov 2005

GΙ

AB Title compds. I [Ar = mono-, di-, or tri-substituted Ph. (un)substituted naphthyl or heteroaryl; R1 = H, (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = OH, CHO, (un) substituted alkyl, etc.; R3 = (un) substituted aryl, cycloalkyl, arylalkyl, etc.], and their pharmaceutically acceptable salts, are prepared and disclosed as C5a receptor ligands. Thus, e.g., II was prepared by substitution of 2,4-dichloro-5-chloromethyl-6- methylpyrimidine (preparation given) with (1S)-methyl-(1,2,3,4-tetrahydronaphthalen-1-yl)amine followed by substitution of the 4-chloro group with methanol and coupling with 2,6-diethylphenylboronic acid. Preferred compds. of the invention bind to C5a receptors with high affinity and exhibit neutral antagonist or inverse activity at C5a receptors. I exhibited IC50 values of 2 uM or less in calcium immobilization assays. The present invention also relates to pharmaceutical compns. comprising such compds., and to the use of such compds. in treating a variety of inflammatory, cardiovascular, and immune system disorders. In addition, the present invention provides labeled 4,5-disubstituted-2arylpyrimidines, which are useful as probes for the localization of C5a receptors.

IT 869887-85-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of disubstituted arylpyrimidines as C5a receptor ligands)

869887-85-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of disubstituted arylpyrimidines as C5a receptor ligands)

RN 869887-85-4 HCAPLUS

CN 5-Pyrimidinemethanamine, 4-methoxy-2-[3-(methoxymethy1)pheny1]-N,6-dimethy1-N-[(1S)-1,2,3,4-tetrahydro-1-naphthaleny1]- (CA INDEX NAME)

Absolute stereochemistry.

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(9 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 11 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2005:1220432 HCAPLUS Full-text

ACCESSION NUMBER: 2005:1220432 DOCUMENT NUMBER: 143:478210

TITLE: Preparation of amino acid-related compounds for

treating cellular proliferative diseases
INVENTOR(S): Qian, Xiangping; McDonald, Andrew I.; Zhou, Han-Jie;

Ashcraft, Luke W.; Yao, Bing; Jiang, Hong; Huang, Jennifer Kuo Chen; Wang, Jianchao; Morgans, David J.,

Jr.; Morgan, Bradley P.; Bergnes, Gustave; Dhanak, Dashyant; Knight, Steven D.; Adams, Nicholas D.;

Parrish, Cynthia A.
PATENT ASSIGNEE(S): Cvtokinetics, Inc.,

PATENT ASSIGNEE(S): Cytokinetics, Inc., USA; Smithkline Beecham Corporation

SOURCE: PCT Int. Appl., 320 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

| | ENT I | | | | KIN | D | DATE | | | APPL | ICAT | ION | NO. | | | ATE | |
|-----|-------|------|-----|-----|-----|-----|------|------|-----|-------|------|------|---------|-----|-----|------|-----------|
| | 2005 | | | | A2 | - | | 1117 | | WO 2 | 005- | US15 | 666 | | | 0050 | 506 < |
| WO | 2005 | 1077 | 62 | | A3 | | 2006 | 0817 | | | | | | | | | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, |
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| | | LC, | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NA, |
| | | NI, | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, |
| | | SM, | SY, | TJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, |
| | | ZM, | ZW | | | | | | | | | | | | | | |
| | RW: | BW, | GH, | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, |
| | | AZ, | BY, | KG, | KZ, | MD, | RU, | TJ, | TM, | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, |
| | | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, | IS, | IT, | LT, | LU, | MC, | NL, | PL, | PT, |
| | | RO, | SE, | SI, | SK, | TR, | BF, | BJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, |
| | | MR, | NE, | SN, | TD, | TG | | | | | | | | | | | |
| PIT | 7618 | 981 | | | B2 | | 2009 | 1117 | | 112 2 | 005- | 1217 | na | | 2 | 0050 | 503 < |

| US | 20060 | 0094 | 708 | | A1 | | 2006 | 0504 | | | | | | | | | | |
|------------------------|------------|------|----------|------------------------|----------------|------------------|------|------|---------------|------|------------|------------|-----|-----|------------|------|-----|---|
| AU | 20052 | A1 | | 2005 | 1117 | AU 2005-240178 | | | | | | 20050506 < | | | | | | |
| CA | 25656 | A1 | | 2005 | 1117 | CA 2005-2565695 | | | | | | 20050506 < | | | | | | |
| EP | 17429 | A2 | 20070117 | | | EP 2005-762665 | | | | | 20050506 < | | | | | | | |
| | R: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE | , ES, | FI, | FR, | GB, | GR, | HU, | IE, | |
| | | IS, | IT, | LI, | LT, | LU, | MC, | NL, | PL, | PT | , RO, | SE, | SI, | SK, | TR, | AL, | BA, | |
| | | HR, | LV, | MK, | YU | | | | | | | | | | | | | |
| CN | 10102 | A | | 2007 | 0822 | CN 2005-80021899 | | | | | | 20050506 < | | | | | | |
| BR | 20050 | A | | 20071204 BR 2005-10663 | | | | | | 2 | 20050506 < | | | | | | | |
| JP | 20075 | T | | 2007 | 1220 | JP 2007-511593 | | | | | | 20050506 < | | | | | | |
| IN | 2006E | A | | 0608 | IN 2006-KN3220 | | | | | | 20061103 < | | | | | | | |
| MX | 2006012796 | | | | A | | 2007 | 0509 | MX 2006-12796 | | | | | | 20061106 < | | | |
| NO | 20060 | 0055 | 04 | | A | | 2007 | 0130 | 1 | 10 | 2006- | 5504 | | | 2 | 0061 | 129 | < |
| KR | 20070 | 0577 | 8 0 | | A | | 2007 | 0607 | 1 | KR : | 2006- | 7252 | 90 | | 2 | 0061 | 130 | < |
| PRIORITY APPLN. INFO.: | | | | | | | | | Ţ | JS : | 2004- | 5695 | 10P | | P 2 | 0040 | 506 | < |
| | | | | | | | | | Ţ | JS : | 2005- | 1217 | 09 | | A 2 | 0050 | 503 | < |
| | | | | | | | | | 1 | 10 | 2005- | US15 | 666 | | W 2 | 0050 | 506 | < |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): CASEACT 143:478210; MARPAT 143:478210

D Entered STN: 18 Nov 2005

GΙ

AB The invention relates to compds. R1-X-NR2-WR3-CHR596 [R1 is (un)substituted aryl, heterocyclyl or heteroaryl; X is CO or SO2; R2 is H or (un)substituted alkyl; W is CR4, CH2CR4 or N (R4 is a group defined for R2); R3 is H, acyl, cyano, (un)substituted alkyl, heterocyclyl, sulfonyl or aryl; R5 is H, OH, (un)substituted alkyl, heterocyclyl, or alkyl; R6 is H, (un)substituted alkyl, alkoxy, aryloxy, heteroaryloxy, alkoxycarbonyl, aminocarbonyl, aryl, heteroaryl, heterocyclyl or aralkyl (with provisos) and their pharmaceutically-acceptable salts, prodrugs, etc., which are useful for treating cellular proliferative diseases and disorders by modulating the activity of one or more mitotic kinesins. Ninety-eight synthetic and four biol. examples are given. Thus, compound I was prepared by acylation of 4-bromophenylalanine with 3-chloro-4-isopropoxybenzoic acid pentafluorophenyl ester (preparation given), followed by methylamidation and reaction with piperazine.

IT 869566-64-3P

RL: FAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation): USES (Uses)

(preparation of amino acid-related compds. for treating cellular proliferative diseases)

T 869566-64-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of amino acid-related compds. for treating cellular proliferative diseases)
869566-64-3 HCAPUUS

CN Benzenepropanamide, α-[[3-chloro-4-(1-methylethoxy)benzoyl]amino]-4-[1,6-dihydro-4-(1-methylethyl)-6-oxo-2-pyrimidinyl]-N-methyl-, (αS)-(CA INDEX NAME)

Absolute stereochemistry.

RN

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L55 ANSWER 12 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2005:451367 HCAPLUS Full-text

DOCUMENT NUMBER: 142:476293

TITLE: Substituted pyrimidine compositions and methods using them for the treatment of NGFI-B-related diseases

INVENTOR(S): Martin, Richard; Mohan, Raju; Ordentlich, Peter

PATENT ASSIGNEE(S): X-Ceptor Therapeutics, Inc., USA

SOURCE: PCT Int. Appl., 117 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT | KIND | | DATE | | | APPLICATION NO. | | | | | DATE | | | | | | | |
|-----------|---|---|---|--|--|--|--|--|--|--|--|--|--|--|--|---|--|--|
| | WO 2005047268 WO 2005047268 | | | | A2 20050526 A3 20050721 | | | | WO 2 | 004- | JS37 | 642 | 20041109 < | | | | | |
| W: RW: | CN, GE, LK, NO, TJ, BW, AZ, EE, SE, | CO, GH, LR, NZ, TM, GH, BY, ES, SI, | CR, GM, LS, OM, TN, GM, KG, FI, SK, | CU, HR, LT, PG, TR, KE, KZ, FR, | CZ, HU, LU, PH, TT, LS, MD, GB, | AU, DE, ID, LV, PL, TZ, MW, RU, GR, BJ, | DK, IL, MA, PT, UA, MZ, TJ, HU, | DM, IN, MD, RO, UG, NA, TM, IE, | DZ, IS, MG, RU, US, SD, AT, IS, | EC, JP, MK, SC, UZ, SL, BE, IT, | EE, KE, MN, SD, VC, SZ, BG, LU, | EG, KG, MW, SE, VN, TZ, CH, MC, | ES, KP, MX, SG, YU, UG, CY, NL, | FI, KR, MZ, SK, ZA, ZM, CZ, PL, | GB, KZ, NA, SL, ZM, ZW, DE, PT, | GD, LC, NI, SY, ZW AM, DK, RO, | | |
| | NE, SN, TD, US 20070293464 RIORITY APPLN. INFO.: | | | | | | 1220 | | US 2007- 595734 US 2003-519030P | | | | | 20070522 < P 20031110 < | | | | |

WO 2004-US37642 W 20041109 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 142:476293

ED Entered STN: 27 May 2005

AB Compns. and methods using substituted pyrimidines are provided. The substituted pyrimidines may be used to treat diseases modulated by NGFI-B family activity.

IT 57-88-5, Cholesterol, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)

(biosynthesis inhibitors and absorption inhibitors; pyrimidine derivs. for treatment of NGFI-B-related diseases)

IT 9028-35-7

RL: BSU (Biological study, unclassified); BIOL (Biological study) (inhibitors, statins; pyrimidine derivs. for treatment of NGFI-B-related diseases)

T 9012-25-3, Catechol O-methyl transferase 9015-82-1, Angiotensin converting enzyme 9027-44-5, HMG-CoA synthase 9027-63-8, Acyl-coenzyme A cholesterol acyltransferase

9029-62-3, Squalene epoxidase 9042-64-2, L-Aromatic amino aciddecarboxylase 9055-65-6, Prostaglandin synthase 9077-14-9, Squalene synthetase 141907-41-7

329900-75-6, Cyclooxygenase 2 329967-85-3,

Cyclooxygenase 1

RL: BSU (Biological study, unclassified); BIOL (Biological study) (inhibitors; pyrimidine derivs. for treatment of NGFI-B-related diseases)

IT 50-78-2, Aspirin 50-91-7, Vitamin C, biological studies 53-03-2, Prednisone 53-06-5, Cortisone 58-56-0, Pyridoxine hydrochloride 59-67-6, Nicotinic acid, biological studies 59-92-7, biological studies 65-23-6, Pyridoxine 68-19-9, Vitamin B12 83-46-5, β-Sitosterol 98-92-0, Niacinamide

103-90-2, Acetaminophen 552-94-3, Salicylsalicylic acid 637-07-0, Clofibrate 943-45-3D, Fibric acid, derivs. 1247-42-3, Methylprednisone 1406-18-4,

Vitamin E 7235-40-7, β-Carotene 8059-24-3, Vitamin B6 9002-64-6, Parathyroid hormone 9004-54-0D

, Dextran, crosslinked, dialkylaminoalkyl derivs., biological studies

11041-12-6, Cholestyramine 14417-98-0, Melinamide 15687-27-1, Ibuprofen 23187-87-3, Choline

magnesiumsalicylate 23288-49-5, Probucol 25812-30-0

, Gemfibrozil 41859-67-0, Bezafibrate 49562-28-9, Fenofibrate 50925-79-6, Colestipol 65789-90-4

75330-75-5, Lovastatin 79902-63-9, Simvastatin 81093-37-0, Pravastatin 89048-95-3

93957-54-1, Fluvastatin 134523-00-5, Atorvastatin

299406-55-6 300359-06-2 300359-07-3 300359-08-4 300719-05-5 300837-31-4 303147-11-7 303147-12-8 303147-40-2

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330981-53-8 330981-54-9 330981-55-0 330981-59-4 330981-60-7 330981-61-8

10/595.734

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                        330993-02-7
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                        333415-58-0
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338960-72-8
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           338967-63-8 339279-05-9
339279-06-0 339279-07-1 339279-08-2
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419548-22-4
                        477710-02-4
477886-15-0 477886-16-1
                         477886-19-4
478031-54-8 478031-59-3 478031-64-0
487015-37-2 499975-26-7 691869-12-2
692738-30-0 692738-31-1 692738-32-2
```

RL: PAC (Pharmacological activity); THU (Therapeutic

use); BIOL (Biological study); USES (Uses)

(pyrimidine derivs. for treatment of NGFI-B-related diseases)

IT 57-88-5, Cholesterol, biological studies

RL: BSU (Biological study, unclassified); BIOL (Biological study) (biosynthesis inhibitors and absorption inhibitors; pyrimidine derivs. for treatment of NGFI-B-related diseases)

RN 57-88-5 HCAPLUS

CN Cholest-5-en-3-ol (3B)- (CA INDEX NAME)

Absolute stereochemistry.

- IT 9028-35-7
 - RL: BSU (Biological study, unclassified); BIOL (Biological study) (inhibitors, statins; pyrimidine derivs. for treatment of NGFI-B-related diseases)
- RN 9028-35-7 HCAPLUS
- CN Reductase, hydroxymethylglutaryl coenzyme A (reduced nicotinamide adenine dinucleotide phosphate) (CA INDEX NAME)
- *** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
- 17 9012-25-3, Catechol O-methyl transferase 9015-82-1, Angistensin converting enzyme 9027-44-5, HMG-CoA synthase 9027-63-8, Acyl-coenzyme A cholesterol acyltransferase 9029-62-3, Squalene epoxidase 9042-64-2, L-Aromatic amino aciddecarboxylase 9055-65-6, Prostaglandin synthase 9077-14-9, SQualene synthetase 141907-41-7 329900-75-6, Cyclooxygenase 2 329967-85-3,

Cyclooxygenase 1

RL: BSU (Biological study, unclassified); BIOL (Biological study)

(inhibitors; pyrimidine derivs. for treatment of NGFI-B-related diseases)

- RN 9012-25-3 HCAPLUS
- CN Methyltransferase, catechol (CA INDEX NAME)
- *** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
- RN 9015-82-1 HCAPLUS
- CN Carboxypeptidase, dipeptidyl, A (CA INDEX NAME)
- *** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
- RN 9027-44-5 HCAPLUS
- CN Synthase, hydroxymethylglutaryl coenzyme A (CA INDEX NAME)
- *** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
- RN 9027-63-8 HCAPLUS
- CN Acvitransferase, cholesterol (CA INDEX NAME)
- *** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
- RN 9029-62-3 HCAPLUS
- CN Oxygenase, squalene mono- (CA INDEX NAME)
- *** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
- RN 9042-64-2 HCAPLUS
- CN Decarboxylase, aromatic amino acid (CA INDEX NAME)
- *** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
- RN 9055-65-6 HCAPLUS
- CN Synthase, prostaglandin (CA INDEX NAME)
- *** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
- RN 9077-14-9 HCAPLUS
- CN Synthase, squalene (CA INDEX NAME)
- *** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
- RN 141907-41-7 HCAPLUS
- CN Proteinase, matrix metallo- (CA INDEX NAME)
- *** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
- RN 329900-75-6 HCAPLUS
- CN Synthetase, prostaglandin endoperoxide, 2 (CA INDEX NAME)
- *** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
- RN 329967-85-3 HCAPLUS
- CN Synthetase, prostaglandin endoperoxide, 1 (CA INDEX NAME)
- *** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
- IT 50-78-2, Aspirin 50-81-7, Vitamin C, biological

studies 53-03-2, Prednisone 53-06-5, Cortisone 58-56-0, Pyridoxine hydrochloride 59-67-6, Nicotinic

58-56-0, Pyridoxine hydrochloride 59-67-6, Nicotinic acid, biological studies 59-92-7, biological studies

65-23-6, Pyridoxine 68-19-9, Vitamin B12

83-46-5, β-Sitosterol 98-92-0, Niacinamide

103-90-2, Acetaminophen 552-94-3, Salicylsalicylic

acid 637-07-0, Clofibrate 943-45-3D, Fibric acid, derivs. 1247-42-3, Methylprednisone 1406-18-4,

Vitamin E 7235-40-7, β-Carotene 8059-24-3,

Vitamin B6 9002-64-6, Parathyroid hormone 9004-54-0D

, Dextran, crosslinked, dialkylaminoalkyl derivs., biological studies

11041-12-6, Cholestyramine 14417-88-0, Melinamide

15687-27-1, Ibuprofen 23187-87-3, Choline

10/595.734

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magnesiumsalicylate 23288-49-5, Probucol 25812-30-0
    , Gemfibrozil 41859-67-0, Bezafibrate 49562-28-9,
    Fenofibrate 50925-79-6, Colestipol 65789-90-4
    75330-75-5, Lovastatin 79902-63-9, Simvastatin
    91093-37-0, Pravastatin 89048-95-3
    93957-54-1, Fluvastatin
                           134523-00-5, Atorvastatin
    299406-55-6 300359-06-2 300359-07-3
    300359-08-4 300719-05-5 300837-31-4
    303147-11-7 303147-12-8 303147-40-2
    303147-41-3 303147-45-7 306980-56-3
    306980-58-5 307332-77-0 307332-78-1
    312499-77-7 312626-14-5 312626-15-6
    315194-30-0 320418-43-7 320418-48-2
    320418-49-3 320421-36-1
                             329077-80-7
    330221-00-6 330819-79-9 330981-36-7
    330981-37-8 330981-38-9 330981-39-0
    330981-41-4 330981-42-5 330981-45-8
    330981-47-0 330981-49-2 330981-52-7
                             330981-55-0
    330981-53-8 330981-54-9
    330981-59-4 330981-60-7
                             330981-61-8
                             330981-65-2
    330981-63-0 330981-64-1
    330981-70-9 330993-01-6 330993-02-7
    331648-43-2 331648-44-3 331848-81-8
    331971-30-3 332374-83-1 333415-58-0
    337488-96-7 338395-36-1 338960-71-7
    338960-72-8 338960-73-9 338960-74-0
    338960-75-1 338960-76-2 338960-93-3
    338960-99-9 338967-63-8 339279-05-9
    339279-06-0 339279-07-1 339279-08-2
    339279-21-9 339279-27-5 371199-20-1
    371199-57-4 380472-88-8 380571-66-4
    381683-04-1 383146-83-6 415699-44-4
    419548-22-4 420104-18-3
                             477710-02-4
    477886-15-0 477886-16-1
                             477886-19-4
                             478031-64-0
    478031-54-8 478031-59-3
    487015-37-2 499975-26-7 691869-12-2
    692738-30-0 692738-31-1 692738-32-2
    RL: FAC (Pharmacological activity); THU (Therapeutic
    use); BIOL (Biological study); USES (Uses)
       (pyrimidine derivs. for treatment of NGFI-B-related diseases)
RN 50-78-2 HCAPLUS
CN Benzoic acid, 2-(acetyloxy)- (CA INDEX NAME)
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CO2H

RN 50-81-7 HCAPLUS

CN L-Ascorbic acid (CA INDEX NAME)

Absolute stereochemistry.

RN 53-03-2 HCAPLUS

CN Pregna-1, 4-diene-3, 11, 20-trione, 17, 21-dihydroxy- (CA INDEX NAME)

Absolute stereochemistry.

RN 53-06-5 HCAPLUS

CN Pregn-4-ene-3,11,20-trione, 17,21-dihydroxy- (CA INDEX NAME)

Absolute stereochemistry.

RN 58-56-0 HCAPLUS

CN 3,4-Pyridinedimethanol, 5-hydroxy-6-methyl-, hydrochloride (1:1) (CA INDEX NAME)

■ 11C1

- RN 59-67-6 HCAPLUS
- CN 3-Pyridinecarboxylic acid (CA INDEX NAME)

- RN 59-92-7 HCAPLUS
- CN L-Tyrosine, 3-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.

- RN 65-23-6 HCAPLUS
- CN 3,4-Pyridinedimethanol, 5-hydroxy-6-methyl- (CA INDEX NAME)

- RN 68-19-9 HCAPLUS
- CN Vitamin B12 (CA INDEX NAME)

CN Stigmast-5-en-3-ol, (3β) - (CA INDEX NAME)

Absolute stereochemistry.

RN 98-92-0 HCAPLUS

CN 3-Pyridinecarboxamide (CA INDEX NAME)

RN 103-90-2 HCAPLUS

CN Acetamide, N-(4-hydroxyphenyl)- (CA INDEX NAME)

RN 552-94-3 HCAPLUS

CN Benzoic acid, 2-hydroxy-, 2-carboxyphenyl ester (CA INDEX NAME)

RN 637-07-0 HCAPLUS

CN Propanoic acid, 2-(4-chlorophenoxy)-2-methyl-, ethyl ester (CA INDEX NAME)

RN 943-45-3 HCAPLUS

CN Propanoic acid, 2-methyl-2-phenoxy- (CA INDEX NAME)

RN 1247-42-3 HCAPLUS

CN Pregna-1, 4-diene-3,11,20-trione, 17,21-dihydroxy-16-methyl-, (16β)-(CA INDEX NAME)

Absolute stereochemistry.

RN 1406-18-4 HCAPLUS

CN Vitamin E (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 7235-40-7 HCAPLUS

CN β, β-Carotene (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-B

RN 8059-24-3 HCAPLUS

CN Vitamin B6 (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 9002-64-6 HCAPLUS

CN Parathormone (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 9004-54-0 HCAPLUS

CN Dextran (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 11041-12-6 HCAPLUS CN Cholestyramine (CA INDEX NAME)

CN Cholestyramine (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 14417-88-0 HCAPLUS

CN 9,12-Octadecadienamide, N-(1-phenylethyl)-, (9Z,12Z)- (CA INDEX NAME)

Double bond geometry as shown.

- RN 15687-27-1 HCAPLUS
- CN Benzeneacetic acid, α -methyl-4-(2-methylpropyl)- (CA INDEX NAME)

- RN 23187-87-3 HCAPLUS
- CN Magnesium, [2-(hydroxy-KO)benzoato-KO][N,N,N-trimethyl-2-[(phosphono-KO)oxy]ethanaminiumato(2-)]- (CA INDEX NAME)

- RN 23288-49-5 HCAPLUS

$$t-Bu$$
 Ho
 Me
 $t-Bu$
 OH
 $t-Bu$
 $Bu-t$

- RN 25812-30-0 HCAPLUS
- CN Pentanoic acid, 5-(2,5-dimethylphenoxy)-2,2-dimethyl- (CA INDEX NAME)

- RN 41859-67-0 HCAPLUS
- CN Propanoic acid, $2-[4-[2-[(4-{\rm chlorobenzoy1})amino]ethyl]phenoxy]-2-methyl-(CA INDEX NAME)$

- RN 49562-28-9 HCAPLUS
- CN Propanoic acid, 2-[4-(4-chlorobenzoy1)phenoxy]-2-methy1-, 1-methylethyl ester (CA INDEX NAME)

- RN 50925-79-6 HCAPLUS
- CN Colestipol (CA INDEX NAME)
- *** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
- RN 65789-90-4 HCAPLUS
- CN Benzoic acid, 4-[(6-methyl-2-phenyl-4-pyrimidinyl)amino]-, ethyl ester (CA INDEX NAME)

- RN 75330-75-5 HCAPLUS
- CN Butanoic acid, 2-methyl-, (18,38,78,88,8aR)-1,2,3,7,8,8a-hexahydro-3,7-dimethyl-8-[2-[(2R,4R)-tetrahydro-4-hydroxy-6-oxo-2H-pyran-2-yl]ethyl]-1-naphthalenyl ester, (25) (CA INDEX NAME)

Absolute stereochemistry.

- RN 79902-63-9 HCAPLUS
- CN Butanoic acid, 2,2-dimethyl-, (1S,3R,7S,6S,8aR)-1,2,3,7,8,8a-hexahydro-3,7-dimethyl-8-[2-[(2R,4R)-tetrahydro-4-hydroxy-6-oxo-2H-pyran-2-yl]ethyl]-1-naphthalenyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 81093-37-0 HCAPLUS

CN 1-Naphthaleneheptanoic acid, 1,2,6,7,8,8a-hexahydro-β,δ,6-trihydroxy-2-methyl-8-[(2S)-2-methyl-1-oxobutoxy]-, (βR, δR, 18,28,68,88,881)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 89048-95-3 HCAPLUS
- CN Phenol, 2-(4-methyl-5H-[1]benzopyrano[2,3-d]pyrimidin-2-yl)- (CA INDEX NAME)

- RN 93957-54-1 HCAPLUS
- CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, (3R,5S,6E)-rel- (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

RN 134523-00-5 HCAPLUS

CN 1H-Pyrrole-1-heptanoic acid, 2-(4-fluorophenyl)- β , δ -dihydroxy-5-(1-methylethyl)-3-phenyl-4-[(phenylamino)carbonyl]-, (β R, δ R)-(CA INDEX NAME)

Absolute stereochemistry.

- RN 299406-55-6 HCAPLUS
- CN Benzoic acid, 4-[(2,6-diphenyl-4-pyrimidinyl)amino]-, ethyl ester (CA INDEX NAME)

- RN 300359-06-2 HCAPLUS
- CN 4-Pyrimidinamine, 6-methyl-N-(4-methylphenyl)-2-phenyl- (CA INDEX NAME)

- RN 300359-07-3 HCAPLUS
- CN 4-Pyrimidinamine, 6-methyl-N-(2-methylphenyl)-2-phenyl- (CA INDEX NAME)

RN 300359-08-4 HCAPLUS

CN 4-Pyrimidinamine, N-(4-methoxyphenyl)-6-methyl-2-phenyl- (CA INDEX NAME)

RN 300719-05-5 HCAPLUS

CN Benzoic acid, 4-[[2-(2-hydroxyphenyl)-6-methyl-4-pyrimidinyl]oxy]- (CA INDEX NAME)

RN 300837-31-4 HCAPLUS

CN Benzoic acid, 4-[[6-methyl-2-phenyl-5-(2-propen-1-yl)-4-pyrimidinyl]amino](CA INDEX NAME)

RN 303147-11-7 HCAPLUS

CN Pyrimidine, 4-[[(4-chloropheny1)thio]methy1]-2-pheny1-6-(pheny1thio)- (CA INDEX NAME)

RN 303147-12-8 HCAPLUS

CN Pyrimidine, 4-(4-chlorophenoxy)-6-[[(4-chlorophenyl)thio]methyl]-2-phenyl-(CA INDEX NAME)

RN 303147-40-2 HCAPLUS

CN Pyrimidine, 2-phenyl-4-[(phenylsulfonyl)methyl]-6-(phenylthio)- (CA INDEX NAME)

RN 303147-41-3 HCAPLUS

CN Pyrimidine, 4-phenoxy-2-phenyl-6-[(phenylsulfonyl)methyl]- (CA INDEX NAME)

RN 303147-45-7 HCAPLUS

$$Ph = \bigcup_{i=1}^{N} CH_2$$

RN 306980-56-3 HCAPLUS

CN Pyrimidine, 4-[[(4-chlorophenyl)sulfinyl]methyl]-6-phenoxy-2-phenyl- (CA INDEX NAME)

RN 306980-58-5 HCAPLUS

CN Pyrimidine, 4-[[(4-chlorophenyl)sulfinyl]methyl]-6-[(4-chlorophenyl)thio]-2-phenyl- (CA INDEX NAME)

RN 307332-77-0 HCAPLUS

CN Benzonitrile, 4-[(2,6-diphenyl-4-pyrimidinyl)oxy]- (CA INDEX NAME)

RN 307332-78-1 HCAPLUS

CN Pyrimidine, 4-(4-butylphenoxy)-2,6-diphenyl- (CA INDEX NAME)

RN 312499-77-7 HCAPLUS

CN Benzoic acid, 4,4'-[(6-methyl-5-nitro-2,4-pyrimidinediyl)diimino]bis-, dimethyl ester (9CI) (CA INDEX NAME)

RN 312626-14-5 HCAPLUS

CN Benzoic acid, 4-[[6-methyl-2-(methylthio)-5-(2-propen-1-yl)-4pyrimidinyl]amino]- (CA INDEX NAME)

RN 312626-15-6 HCAPLUS

CN Benzoic acid, 4-[(6-methyl-2-phenyl-4-pyrimidinyl)amino]- (CA INDEX NAME)

RN 315194-30-0 HCAPLUS

CN Pyrimidine, 4-([1,1'-biphenyl]-4-yloxy)-6-methyl-2-phenyl- (CA INDEX NAME)

RN 320418-43-7 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 2,4-diphenyl-6-(phenylthio)- (CA INDEX NAME)

RN 320418-48-2 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-(4-chlorophenyl)-2-phenyl-6-(phenylthio)- (CA INDEX NAME)

RN 320418-49-3 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-(4-chlorophenyl)-6-[(4-chlorophenyl)thio]-2phenyl- (CA INDEX NAME)

RN 320421-36-1 HCAPLUS

CN Pyrimidine, 2-phenyl-4-[(phenylsulfinyl)methyl]-6-(phenylthio)- (CA INDEX NAME)

RN 329077-80-7 HCAPLUS

CN 4-Pyrimidinamine, 2-(4-bromophenyl)-N-(2,5-dimethylphenyl)-6-phenyl- (CA INDEX NAME)

RN 330221-00-6 HCAPLUS

CN Phenol, 2-[4-([1,1'-biphenyl]-4-yloxy)-6-methyl-2-pyrimidinyl]- (CA INDEX NAME)

RN 330819-79-9 HCAPLUS

CN 4-Pyrimidinamine, 6-methyl-N-(4-nitrophenyl)-2-phenyl-5-(2-propen-1-yl)-(CA INDEX NAME)

RN 330981-36-7 HCAPLUS

CN 4-Pyrimidinamine, 2-(4-bromophenyl)-N,6-diphenyl- (CA INDEX NAME)

RN 330981-37-8 HCAPLUS

CN 4-Pyrimidinamine, 2-(4-bromophenyl)-N-(4-methylphenyl)-6-phenyl- (CA INDEX NAME)

RN 330981-38-9 HCAPLUS

CN 4-Pyrimidinamine, 2-(4-bromophenyl)-N-(4-methoxyphenyl)-6-phenyl- (CA INDEX NAME)

RN 330981-39-0 HCAPLUS

CN 4-Pyrimidinamine, 2-(4-bromopheny1)-N-(3-fluoropheny1)-6-pheny1- (CA INDEX NAME)

RN 330981-41-4 HCAPLUS

CN Pyrimidine, 2-(4-bromophenyl)-4-phenoxy-6-phenyl- (CA INDEX NAME)

RN 330981-42-5 HCAPLUS

CN Pyrimidine, 4-([1,1'-biphenyl]-4-yloxy)-2-(4-bromophenyl)-6-phenyl- (CA INDEX NAME)

RN 330981-45-8 HCAPLUS

CN Benzonitrile, 4-[[2-(4-bromophenyl)-6-phenyl-4-pyrimidinyl]oxy]- (CA INDEX NAME)

RN 330981-47-0 HCAPLUS

CN 4-Pyrimidinamine, N-(3-fluorophenyl)-2,6-diphenyl- (CA INDEX NAME)

RN 330981-49-2 HCAPLUS

CN Pyrimidine, 4-phenoxy-2,6-diphenyl- (CA INDEX NAME)

RN 330981-52-7 HCAPLUS

CN Pyrimidine, 4-(4-nitrophenoxy)-2,6-diphenyl- (CA INDEX NAME)

RN 330981-53-8 HCAPLUS

CN Benzoic acid, 4-[(2,6-diphenyl-4-pyrimidinyl)oxy]-, methyl ester (CA INDEX NAME)

RN 330981-54-9 HCAPLUS

CN Benzaldehyde, 4-[(2,6-diphenyl-4-pyrimidinyl)oxy]- (CA INDEX NAME)

RN 330981-55-0 HCAPLUS

CN Pyrimidine, 2,4-diphenyl-6-(4-propylphenoxy)- (CA INDEX NAME)

- RN 330981-59-4 HCAPLUS
- CN Pyrimidine, 2-(4-bromophenyl)-4-methyl-6-phenoxy- (CA INDEX NAME)

- RN 330981-60-7 HCAPLUS
- CN Ethanone, 1-[4-[[2-(4-bromopheny1)-6-methy1-4-pyrimidiny1]oxy]pheny1](CA INDEX NAME)

- RN 330981-61-8 HCAPLUS
- CN Pyrimidine, 2-(4-bromophenyl)-4-methyl-6-(4-nitrophenoxy)- (CA INDEX NAME)

- RN 330981-63-0 HCAPLUS

RN 330981-64-1 HCAPLUS

CN Pyrimidine, 4-([1,1'-biphenyl]-4-yloxy)-2-(4-bromophenyl)-6-methyl- (CA INDEX NAME)

RN 330981-65-2 HCAPLUS

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RN 330981-70-9 HCAPLUS

CN 4-Pyrimidinamine, 2-(4-bromophenyl)-6-methyl-N-phenyl- (CA INDEX NAME)

RN 330993-01-6 HCAPLUS

CN 4-Pyrimidinamine, N-(4-methylphenyl)-2,6-diphenyl- (CA INDEX NAME)

- RN 330993-02-7 HCAPLUS
- CN 4-Pyrimidinamine, N-(2-methylphenyl)-2,6-diphenyl- (CA INDEX NAME)

- RN 331648-43-2 HCAPLUS
- CN Phenol, 2-[4-[(4-bromophenyl)amino]-6-methyl-2-pyrimidinyl]- (CA INDEX NAME)

- RN 331648-44-3 HCAPLUS
- CN Phenol, 2-[4-[(4-methoxyphenyl)amino]-6-methyl-2-pyrimidinyl]- (CA INDEX NAME)

- RN 331848-81-8 HCAPLUS
- CN 4-Pyrimidinamine, 2,6-dimethyl-N-1-naphthalenyl- (CA INDEX NAME)

- RN 331971-30-3 HCAPLUS
- CN Benzoic acid, 4,4'-[(6-methyl-5-nitro-2,4-pyrimidinediyl)diimino]bis-

(9CI) (CA INDEX NAME)

- RN 332374-83-1 HCAPLUS
- CN 4-Pyrimidinamine, 6-methyl-N-(4-nitrophenyl)-2-phenyl- (CA INDEX NAME)

- RN 333415-58-0 HCAPLUS
- CN Benzoic acid, 3-[(6-methyl-2-phenyl-4-pyrimidinyl)amino]- (CA INDEX NAME)

- RN 337488-96-7 HCAPLUS
- CN Benzoic acid, 4-[[6-methyl-2-(methylthio)-5-(2-propen-1-yl)-4-pyrimidinyl]amino]-, ethyl ester (CA INDEX NAME)

- RN 338395-36-1 HCAPLUS
- CN 5-Pyrimidinecarbonitrile, 4-(4-methoxyphenyl)-2-phenyl-6-(phenylthio)-

(CA INDEX NAME)

RN 338960-71-7 HCAPLUS

CN Pyrimidine, 4-[(4-chloropheny1)thio]-6-(methoxymethy1)-2-pheny1- (CA INDEX NAME)

RN 338960-72-8 HCAPLUS

CN Pyrimidine, 4-[[[(4-chlorophenyl)methyl]thio]methyl]-6-[(4-methylphenyl)thio]-2-phenyl- (CA INDEX NAME)

RN 338960-73-9 HCAPLUS

CN Pyrimidine, 4-[[[(4-chloropheny1)methy1]thio]methy1]-6-[(2,6-dichloropheny1)thio]-2-pheny1- (CA INDEX NAME)

RN 338960-74-0 HCAPLUS

CN Pyrimidine, 4-[[[(4-chloropheny1)methy1]thio]methy1]-6-[(3-chloropheny1)thio]-2-pheny1- (CA INDEX NAME)

- RN 338960-75-1 HCAPLUS
- CN Pyrimidine, 4-[[[(4-chlorophenyl)methyl]thio]methyl]-6-[(2,4-dichlorophenyl)thio]-2-phenyl- (CA INDEX NAME)

- RN 338960-76-2 HCAPLUS
- CN Pyrimidine, 4-[[[(4-chlorophenyl)methyl]thio]methyl]-6-[(4methoxyphenyl)thio]-2-phenyl- (CA INDEX NAME)

- RN 338960-93-3 HCAPLUS
- CN Pyrimidine, 4-[[[(4-chlorophenyl)methyl]thio]methyl]-6-[(4-chlorophenyl)thio]-2-phenyl- (CA INDEX NAME)

- RN 338960-99-9 HCAPLUS
- CN Pyrimidine, 4-[[[(4-chlorophenyl)methyl]thio]methyl]-6-[(4-fluorophenyl)thio]-2-phenyl- (CA INDEX NAME)

RN 338967-63-8 HCAPLUS

CN Pyrimidine, 4-[(4-bromophenyl)thio]-6-[(methylsulfonyl)methyl]-2-phenyl-(CA INDEX NAME)

RN 339279-05-9 HCAPLUS

CN Pyrimidine, 4-[(2,3-dichlorophenyl)thio]-6-(methoxymethyl)-2-phenyl- (CA INDEX NAME)

RN 339279-06-0 HCAPLUS

CN Pyrimidine, 4-[(2,6-dichlorophenyl)thio]-6-(methoxymethyl)-2-phenyl- (CA INDEX NAME)

RN 339279-07-1 HCAPLUS

CN Pyrimidine, 4-[(2,4-dichlorophenyl)thio]-6-(methoxymethyl)-2-phenyl- (CA INDEX NAME)

- RN 339279-08-2 HCAPLUS
- CN Pyrimidine, 4-[(4-bromophenyl)thio]-6-(methoxymethyl)-2-phenyl- (CA INDEX NAME)

- RN 339279-21-9 HCAPLUS
- CN Pyrimidine, 4-(methoxymethyl)-6-[(4-methoxyphenyl)thio]-2-phenyl- (CA INDEX NAME)

- RN 339279-27-5 HCAPLUS
- CN Pyrimidine, 4-[(4-bromophenyl)thio]-6-[[[(4-chlorophenyl)methyl]thio]methyl]-2-phenyl- (CA INDEX NAME)

- RN 371199-20-1 HCAPLUS
- CN Benzoic acid, 4-[[2-(2-hydroxyphenyl)-6-methyl-4-pyrimidinyl]amino]-, ethyl ester (CA INDEX NAME)

RN 371199-57-4 HCAPLUS

CN Phenol, 2-[4-methyl-6-[(4-nitrophenyl)amino]-2-pyrimidinyl]- (CA INDEX NAME)

RN 380472-88-8 HCAPLUS

CN Phenol, 2-[4-[(3,4-dichlorophenyl)amino]-6-methyl-2-pyrimidinyl]- (CA INDEX NAME)

RN 380571-66-4 HCAPLUS

CN Benzoic acid, 4-[[2-(2-hydroxyphenyl)-6-methyl-4-pyrimidinyl]amino]-, methyl ester (CA INDEX NAME)

RN 381683-04-1 HCAPLUS

CN Phenol, 2-[4-[(3,5-dichlorophenyl)amino]-6-methyl-2-pyrimidinyl]- (CA INDEX NAME)

RN 383146-83-6 HCAPLUS

CN Thieno[3,2-d]pyrimidine, 2-phenyl-4-(phenylthio)- (CA INDEX NAME)

RN 415699-44-4 HCAPLUS

CN 4-Pyrimidinamine, N-(4-butoxyphenyl)-2,6-diphenyl- (CA INDEX NAME)

RN 419548-22-4 HCAPLUS

CN Phenol, 2-[4-methyl-6-[(4-methylphenyl)amino]-2-pyrimidinyl]- (CA INDEX NAME)

RN 420104-18-3 HCAPLUS

CN 4-Pyrimidinamine, N-(3-methoxyphenyl)-2-(4-nitrophenyl)-6-phenyl- (CA INDEX NAME)

RN 477710-02-4 HCAPLUS

CN Pyrimidine, 4-phenoxy-2-phenyl-6-[(phenylsulfinyl)methyl]- (CA INDEX NAME)

RN 477886-15-0 HCAPLUS

CN Pyrimidine, 4-[(methylthio)methyl]-2-phenyl-6-(phenylthio)- (CA INDEX NAME)

RN 477886-16-1 HCAPLUS

CN Pyrimidine, 4-[(methylthio)methyl]-2-phenyl-6-[[3-(trifluoromethyl)phenyl]thio]- (CA INDEX NAME)

RN 477886-19-4 HCAPLUS

CN Pyrimidine, 4-[(methylthio)methyl]-6-phenoxy-2-phenyl- (CA INDEX NAME)

RN 478031-54-8 HCAPLUS

CN Pyrimidine, 4-[(4-chlorophenyl)thio]-6-[(methylsulfonyl)methyl]-2-phenyl-(CA INDEX NAME)

RN 478031-59-3 HCAPLUS

CN Benzoic acid, 2-[[6-[(methylsulfonyl)methyl]-2-phenyl-4-pyrimidinyl]thio], methyl ester (CA INDEX NAME)

RN 478031-64-0 HCAPLUS

CN 4-Pyrimidinamine, N-methyl-6-[(methylthio)methyl]-N,2-diphenyl- (CA INDEX NAME)

RN 487015-37-2 HCAPLUS

CN Benzoic acid, 3-[[2-(2-hydroxyphenyl)-6-methyl-4-pyrimidinyl]amino]-, methyl ester (CA INDEX NAME)

RN 499975-26-7 HCAPLUS

CN 4-Pyrimidinamine, N,2-diphenyl-6-(trifluoromethyl)- (CA INDEX NAME)

RN 691869-12-2 HCAPLUS

CN Thieno[3,2-d]pyrimidine, 4-(4-methylphenoxy)-2-phenyl- (CA INDEX NAME)

RN 692738-30-0 HCAPLUS

CN Thieno[3,2-d]pyrimidine, 4-[(3-methoxyphenyl)thio]-2-phenyl- (CA INDEX NAME)

RN 692738-31-1 HCAPLUS

CN Thieno[3,2-d]pyrimidine, 4-[(4-fluorophenyl)thio]-2-phenyl- (CA INDEX NAME)



RN 692738-32-2 HCAPLUS

CN Benzoic acid, 2-[(2-phenylthieno[3,2-d]pyrimidin-4-y1)thio]-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD

(4 CITINGS)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 13 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:402793 HCAPLUS Full-text

DOCUMENT NUMBER: 142:447232

TITLE: Preparation of pyrimidine derivatives as mixed

lymphocyte reaction (MLR) inhibitors

INVENTOR(S): Tsuruoka, Hiroyuki; Kanno, Yuichi; Tatsuta, Toru PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkvo Koho, 216 pp.

SOURCE: Jpn. Kokai Tokkyo Kono, 216 pp CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--------|------------|-----------------|------------|
| | | | | |
| JP 2005120046 | A | 20050512 | JP 2003-358632 | 20031020 < |
| PRIORITY APPLN. INFO.: | | | JP 2003-358632 | 20031020 < |
| OTHER SOURCE(S): | MARPAT | 142:447232 | | |
| DD Datamed CONT. 10 Ma | - 2005 | | | |

ED Entered STN: 12 May 2005

AB Pyrimidines derivs, such as dihydrazinopyrimidine having the general formula (I) and (II) [wherein R1, R3 = H, lower alkyl, halo-lower alkyl, lower alkoxylower alkyl, mono- or di(lower alkyl)amino-lower alkyl, (un)substituted aryl; R2, R4 = each (un)substituted aryl or heterocyclyl; or CR2R1 or CR4R3 together forms an (un)substituted saturated carbocyclic or heterocyclic ring; A1, A2 = NR7, O (wherein R7 = lower alkyl); R5 lower alkylthio, each (un)substituted cycloalkyl, aryl, or heterocyclyl, a group having the formula -D-R8 or CH2-E-R8 (wherein D = NH, O, S; E = O, S, a single bond; R8 = each optionally substituted cycloalkyl, aryl, or heterocyclyl, etc.); R6 = H, lower alkyl, lower alkoxy, lower alkoxy-lower alkyl, mono- or di(lower alkyl)amino-lower alkyl, aralkyl, anilinol, pharmaceutically acceptable salts, esters, or other derivs. thereof. are prepared These pyrimidine derivs. exhibit excellent MLR inhibiting action and are useful for inhibiting allograft rejection in bone marrow or organ transplant or for the treatment and/or prevention of inflammation , organ-specific or organ-nonspecific autoimmune diseases, or allergy, in particular chronic articular rheumatism, multiple sclerosis, inflammatory enteric disease, diabetes, glomerulonephritis, idiopathic biliary cirrhosis, active chronic hepatitis, pernicious anemia, Hashimoto thyroiditis, atrophic gastritis, myasthenia gravis, psoriasis, Sjoegren's syndrome, systemic lupus erythematosus, rhinitis, asthma, or atopic dermatitis. They are also useful for inhibiting cancer cells, in particular cancerous lymphocyte. Thus, 480 mg N-(2,6-dichloropyrimidin-4-yl)phenylamine was stirred with 3 mL hydrazine monohydrate at 90° for 1 h, cooled to room temperature, treated with H2O, followed by filtering the precipitated crystals, washing them with water, Et acetate, and drying under reduced pressure to give crude N-(2,6-dihydrazinopyrimidin-4-yl)phenylamine. The latter compound was dissolved in 5 mL dioxane, treated with 1.7 mL 4acetylpyridine, refluxed for 15 h, distilled to remove the solvent, and suspended in a mixture of ether and Et acetate, followed by pulverizing the precipitated solid, filtration, and washing with a mixture of ether and Et acetate to give 1-(4-pyridinyl)-1-ethanone N-[4-anilino-6-[2-[1-(4pyridinyl)ethylidenelhydrazinol-2-pyrimidinyllhydrazone (III). In an MLR inhibition assay, III and 1-(4-pyridinyl)-1-ethanone N-[2-anilino-6-[2-[1-(4pyridinyl)ethylidene]hydrazino]-4- pyrimidinyl]hydrazone in vitro inhibited the uptake of [3H]thymidine in human peripheral lymphocyte with IC50 of 6.9 and 1.0 nM, resp.

IT 620984-93-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidine derivs. as mixed lymphocyte reaction inhibitors for treatment of cancer or allograft rejection and for treatment and/or prevention of inflammation, organ-(non)specific autoimmune diseases, or allergy)

620984-93-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidine derivs. as mixed lymphocyte reaction inhibitors for treatment of cancer or allograft rejection and for treatment and/or prevention of inflammation, organ-(non)specific autoimmune diseases, or allergy)

RN 620984-93-2 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-[1,1'-bipheny1]-3-y1-6-hydroxy- (CA INDEX NAME)

L55 ANSWER 14 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2005:395283 HCAPLUS Full-text

DOCUMENT NUMBER: 142:463736

TITLE: Preparation of pyrimidine derivatives as IKK-2 inhibitors

INVENTOR(S): Clare, Michael; Hagen, Timothy J.; Houdek, Stephen C.; Lennon, Patrick J.; Weier, Richard M.; Xu, Xiangdong

PATENT ASSIGNEE(S): Pharmacia Corporation, USA SOURCE: PCT Int. Appl., 214 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE -----WO 2005040133 -----A1 20050506 WO 2004-IB3314 20041011 <--W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN. TD. TG CA 2542514 A1 20050506 CA 2004-2542514 20041011 <--A1 20060712 EP 2004-769607 EP 1678146 20041011 <--R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK BR 2004015678 A 20061219 BR 2004-15678 20041011 <--20070412 A 20060620 JP 2006-536194 JP 2007509126 20070412 20041011 <---MX 2006004498 MX 2006-4498 20060421 <--US 2003-513770P P 20031023 <--WO 2004-IB3314 W 20041011 <--PRIORITY APPLN. INFO.:

OTHER SOURCE(S): CASREACT 142:463736; MARPAT 142:463736

ED Entered STN: 09 May 2005

G1

AB Title compds. I [A = cycloalkyl, aryl, heterocycloalkyl, etc.; X = substituted aryl with substituents selected from CN, NO2, OH, etc.; R1 = CN, CO2R3, CH2OR3, etc.; R2 = NR4R5; R3 = OH, alkoxy, alkyl, etc.; R4 and R5 independently = aryl, heteroaryl, haloalkyl, etc.] and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of IKK-2. Thus, e.g., II was prepared in a multi-step synthesis from 2.6-dibenzyloxybenzonitrile. The activity of I was evaluated in IKK-2 inhibition assays and it revealed IC50 values for selected compds. of the invention in the range of 0.438 up to 24.4 µM. I as inhibitor of IKK-2 should prove useful in the treatment of inflammation, cancer or an inflammation-associated disorder.

IT 851510-41-3

RL: PRPH (Prophetic)

(Preparation of pyrimidine derivatives as IKK-2 inhibitors)

851382-39-3P 851382-51-9P

II

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Theorepautic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidine derivs. as IKK-2 inhibitors)

IT 851510-41-3

RL: PRPH (Prophetic)

(Preparation of pyrimidine derivatives as IKK-2 inhibitors)

RN 851510-41-3 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-amino-2-(2-hydroxyphenyl)-6-(3-piperidinyl)-(CA INDEX NAME)

IT 851382-39-3P 851382-51-9P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
 TEU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)

(preparation of pyrimidine derivs. as IKK-2 inhibitors)

RN 851382-39-3 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-amino-2-(2-hydroxyphenyl)-6-(3-piperidinyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 851382-51-9 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-amino-2-(2-hydroxyphenyl)-6-(3-methoxyphenyl)-(CA INDEX NAME)

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD

(8 CITINGS)
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS

EFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 15 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2005:394829 HCAPLUS Full-text DOCUMENT NUMBER: 142:463605

TITLE: Preparation aryloxyacetic acids and related compounds as PPAR α and PPAR α agonists

INVENTOR(S): Ackermann, Jean; Aebi, Johannes; Binggeli, Alfred;

Grether, Uwe; Hirth, Georges; Kuhn, Bernd; Maerki, Hans-Peter; Meyer, Markus; Mohr, Peter; Wright,

Matthew Blake

PATENT ASSIGNEE(S): SOURCE:

Hoffmann-La Roche Inc., USA U.S. Pat. Appl. Publ., 89 pp. CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English 1

| FAMILY | ACC. | NUM. | COUNT: | |
|--------|------|-------|--------|--|
| PATENT | INFO | RMATI | ON: | |

| | TENT | | | | KIN | | | | | | | | NO. | | | ATE | | |
|---------------------|----------------------|------|-----|-----|---------|-----|------|---------|-----|------|------|------|------|-----|------|------|-----|---|
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| US | 7115 | 611 | | | B2 | | 2006 | 1003 | | | | | | | | | | |
| AU | 7115 2004 2543 | 2912 | 62 | | A1 | | 2005 | 0602 | | AU 2 | 004- | 2912 | 62 | | 2 | 0041 | 028 | < |
| CA | 2543 | 249 | | | A1 | | | | | | | | | | | | | |
| WO | 2543 2005 | 0495 | 73 | | A1 | | 2005 | 0602 | | WO 2 | 004- | EP12 | 217 | | 2 | 0041 | 028 | < |
| | W: | AE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
| | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KP, | KR, | KZ, | LC, | |
| | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NA, | NI, | |
| | | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SY, | |
| | | ΤJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW | |
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| | | SI, | SK, | TR, | BF, | ВJ, | CF, | CG, | CI, | CM, | GΑ, | GN, | GQ, | GW, | ML, | MR, | NE, | |
| | | SN, | TD, | TG | | | | | | | | | | | | | | |
| EP | 1682 | | | | | | | 0726 | | | | | | | | | | |
| | R: | | | | | | | FR, | | | | | | | | | PT, | |
| | | | | | | | | CY, | | | | | | | | | | |
| CN | 1875 | 002 | | | A | | 2006 | 1206 | | CN 2 | 004- | 8003 | 2273 | | 2 | 0041 | 028 | < |
| BR | 2004 | 0162 | 83 | | A | | | 0123 | | | | | | | | | | |
| | 2007 | 5099 | 99 | | T | | | | | | | | | | | | | |
| | 5464 | | | | | | | 0925 | | | | | | | | | | |
| | 2374 | | | | | | | 1127 | | | | | | | | | | |
| | 4692 | 4 | | | A1 | | | 0104 | | | | | | | | | | |
| TW | 2591 | 79 | | | В | | | 0801 | | TW 2 | 004- | 9313 | 3654 | | 2 | 0041 | 104 | < |
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| | 2006 | | 31 | | A | | | 0725 | | ZA 2 | 006- | 3531 | | | 2 | 0060 | 503 | < |
| | 2006 | | 73 | | A | | | 0731 | | KR 2 | 006- | 7087 | 42 | | 2 | 0060 | 504 | < |
| | 8479 | | | | B1 A | | | 0722 | | | | | | | | | | |
| NO | 2006 | 0021 | 35 | | A | | | 0524 | | NO 2 | 006- | 2135 | | | 2 | 0060 | | |
| | 2008 | | | | A | | 2008 | 0514 | | KR 2 | 008- | 7106 | 74 | | 2 | 0800 | | |
| ORITY APPLN. INFO.: | | | | | | | | | | | | | 81 | | | | | |
| | | | | | | | | | | | | | 59 | | | | | |
| | | | | | | | | | | | | | 217 | | | 0041 | | |
| | | | | | | | | | | KR 2 | 006- | 7087 | 42 | | A3 2 | 0060 | 504 | < |

OTHER SOURCE(S): MARPAT 142:463605

ED Entered STN: 09 May 2005

GI

AB Title compds. I [X = 0, S, CH2; R1 = H, alkyl; R2 = H, alkyl with provisos; R3 = H, alkyl; R4, R8 = H, alkyl, cycloalkyl, etc.; R5, R6, R7 = H, alkyl; cycloalkyl, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, saponification of Et ester II (Z = OEt), afforded acid II (Z = OB) as a light yellow solid. In PPARα receptor binding assays, 3-examples of compds. I exhibited IC50 values ranging from 0.013-0.289 μmmol/l. Compds. I are claimed to be useful for the treatment of diseases modulated by PPAR® and PPAR® and PPAR® and PRA® and PRA®

IT 851507-02-3P 851507-03-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation aryloxyacetic acids and related compds. as PPAR δ and PPAR α agonists)

IT 851508-40-2P 851508-43-5P 851508-44-6P

851508-45-7P 851508-46-8P 851508-47-9P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation aryloxyacetic acids and related compds. as PPAR δ and PPAR α agonists)

IT 851507-02-3P 851507-03-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation aryloxyacetic acids and related compds. as PPAR δ and PPAR α agonists)

851507-02-3 HCAPLUS

RN

CN Propanoic acid, 2-[4-[[4-cyclopropyl-6-(methoxymethyl)-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methoxy]-2-methylphenoxy]-2-methyl-(CA INDEX NNBE)

PAGE 1-A

PAGE 2-A

Мe

- RN 851507-03-4 HCAPLUS
- CN Propanoic acid, 2-[4-[[4-cyclopropyl-6-(methoxymethyl)-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methoxy]phenoxy]-2-methyl-(CA INDEX NAME)

PAGE 1-A

PAGE 2-A

J.

IT 851508-40-2P 851508-43-5P 851508-44-6P 851508-45-7P 851508-46-8P 851508-47-9P

RL: RCT (Reactant); SFN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation aryloxyacetic acids and related compds. as PPAR δ and PPAR α agonists)

RN 851508-40-2 HCAPLUS

CN Propanoic acid, 2-[4-[[4-cyclopropy1-6-(methoxymethy1)-2-[4-

(trifluoromethyl)phenyl]-5-pyrimidinyl]methoxy]-2-methylphenoxy]-2-methyl, ethyl ester (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 851508-43-5 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-cyclopropyl-6-(methoxymethyl)-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 851508-44-6 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-cyclopropyl-6-(methoxymethyl)-2-[4-(trifluoromethyl)phenyl]-, methyl ester (CA INDEX NAME)

RN 851508-45-7 HCAPLUS

CN 5-Pyrimidinemethanol, 4-cyclopropyl-6-(methoxymethyl)-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 851508-46-8 HCAPLUS

CN Pyrimidine, 5-(chloromethyl)-4-cyclopropyl-6-(methoxymethyl)-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 851508-47-9 HCAPLUS

CN Propanoic acid, 2-[4-[(4-cyclopropyl-6-(methoxymethyl)-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methoxy]phenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

U Je

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Inoue, Hidekazu; Murafuji, Hidenobu; Hayashi, Yasuharu

L55 ANSWER 16 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2004:1127383 HCAPLUS Full-text

DOCUMENT NUMBER: 142:74617

TITLE: Imidazotriazinone derivatives as PDE 7

(phosphodiesterase 7) inhibitors, their preparation, and pharmaceutical compositions containing them

Daiichi Suntory Pharma Co., ltd., Japan; Daiichi PATENT ASSIGNEE(S):

Suntory Biomedical Research Co., ltd.

PCT Int. Appl., 34 pp.

SOURCE: CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

INVENTOR(S):

PATENT NO. KIND DATE APPLICATION NO. DATE WO 2004111053 20041223 WO 2004-JP8642 A1 20040611 <--W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,

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TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
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                                             JP 2006-516843
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PRIORITY APPLN. INFO.:
                                             JP 2003-170095
                                                                    20030613 <--
                                                                 T+7
                                             WO 2004-JP8642
                                                                    20040611 <--
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 142:74617

ED Entered STN: 24 Dec 2004 GI

AB The invention provides compds, which inhibit PDE 7 selectively, and therefore enhance cellular cAMP levels. Consequently, the compds. are useful for treating various kinds of diseases, such as allergic diseases, inflammatory diseases, or immunol. diseases. The compds. are imidazotriazinones I and II [wherein: A is N or CR4; B is N or CH; R1 is (un)substituted cycloalkyl or tert-Bu; R2 is H or C1-C6 alkyl; R3 is H, NO2, cyano, halo, heteroaryl, (un) substituted C1-C6 alkyl, (un) substituted C2-C6 alkenyl, (un) saturated (un) substituted heterocycloalkyl, NR5R6, COR7, SO2R7, OR8, NR8COR7, NR8SO2R7; R4 is H or C1-C3 alkoxy group which is (un)substituted by one or more F atom(s); R5 and R6 are (independently) H, (un)substituted C1-C6 alkyl, (un) substituted acyl, or (un) substituted heterocycloalkyl; R7 is H, (un) substituted C1-C6 alkyl group, (un) substituted heterocycloalkyl, OH, OR8, or NR5R6; R8 is H, (un) substituted C1-C6 alkyl, or (un) substituted heterocycloalkyl; or pharmaceutically acceptable salts or solvates]. The compds. include particularly I and II [wherein: R1 is cyclohexyl; R2 is Me; R3

is H, NO2, cyano, halo, heteroaryl, (un)substituted C1-6 alkyl, (un)substituted C2-6 alkenyl, (un)saturated heterocycloalkyl, NRSR6, COR7, SO2R7, OR8, NRSC0R7, NRS02R7, NR SO2R7, NRS NRSCR6, NRSC0R7, NRS02R7, NRS NRSCR6, NRSC0R7, NRSC0R7, NRSC0R7, NRSC0R7, NRSC0R7, A is CR4, and B is CH1. The prepared compds. include 4 invention compds. and 8 intermediates. For instance, amidation of Et aminocyanoacetate with cyclohexanecarbonyl chloride gave 71% Et cyano[(cyclohexylcarbonyl)amino]acetate, which was methylated using NaOEt and Me1 to give 80% Et 2-cyano-2-[(cyclohexylcarbonyl)amino]propanoate. The latter compound was cyclocondensed with 2-methoxybenzamidine HC1 to give 21% pyrimidinone intermediate III, which was cyclized by treatment with Me3SiC1 and then HNDS to give invention compound IV [R3 = H]. The exptl. inhibition of human PDE 7 (IC50) was 0.34 μM for IV [R3 = H] and 0.055 μM for IV [R3 = 4-methylpiperazin-1-yl]. The invention compds. inhibited PDE 7 with a selectivity of more than 10 times compared to PDE 4.

IIT 812667-48-4P, N-[6-Amino-2-(2-methoxyphenyl)-5-methyl-4-oxo-4,5dihydro-5-pyrimidinyl]cyclohexanecarboxamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(intermediate; preparation of imidazotriazinone derivs. as selective PDE 7 (phosphodiesterase 7) inhibitors)

T 812667-48-4P, N-[6-Amino-2-(2-methoxyphenyl)-5-methyl-4-oxo-4,5-dihydro-5-pyrimidinyl]cyclohexanecarboxamide

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of imidazotriazinone derivs. as selective PDE 7 (phosphodiesterase 7) inhibitors)

RN 812667-48-4 HCAPLUS

CN Cyclohexanecarboxamide, N-[6-amino-4,5-dihydro-2-(2-methoxyphenyl)-5-methyl-4-oxo-5-pyrimidinyl]- (CA INDEX NAME)

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD

(3 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 17 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:1015876 HCAPLUS Full-text

DOCUMENT NUMBER: 142:23273

TITLE: Preparation of pyrazolyl phenyl urea derivatives as

inhibitors of p38 kinase and/or tumor necrosis factor

(TNF) inhibitors for the treatment of

inflammations

INVENTOR(S): Borcherding, David R.; Gross, Alexandre; Shum, Patrick

Wai-Kwok; Willard, Nicole; Freed, Brian S.

PATENT ASSIGNEE(S): Aventis Pharmaceuticals Inc., USA

SOURCE: PCT Int. Appl., 235 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

LANGUAGE: En-FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| | PATENT NO. | | | | | | DATE | | | | | | | | | ATE | |
|---------|-----------------------|------|-----|-----|-----|-----|------|------|------|------|------|------|------|------|-------|------|--------|
| | | | | | | | | | | | | | | | | | 505 < |
| | W: | AE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, |
| | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KP, | KR, | KZ, | LC, |
| | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW. | MX, | MZ, | NA, | NI, |
| | | NO. | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SY, |
| | | TJ, | TM. | TN. | TR. | TT. | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA. | ZM, | ZW |
| | RW: | BW, | GH, | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, |
| | | AZ, | BY, | KG, | KZ, | MD, | RU, | TJ, | TM, | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, |
| | | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, | IT, | LU, | MC, | NL, | PL, | PT, | RO, | SE, |
| | | SI, | SK, | TR, | BF, | BJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, |
| | | SN, | TD, | TG | | | | | | | | | | | | | |
| AU | 2004 | 2382 | 41 | | A1 | | 2004 | 1125 | | AU 2 | 004- | 2382 | 41 | | 2 | 0040 | 505 < |
| CA | 2524 | 043 | | | A1 | | 2004 | 1125 | | CA 2 | 004- | 2524 | 043 | | 2 | 0040 | 505 < |
| CA | 2524 | 043 | | | С | | 2009 | 1229 | | | | | | | | | |
| | | | | | | | 2006 | 0208 | | EP 2 | 004- | 7513 | 19 | | 2 | 0040 | 505 < |
| | 1622 | | | | | | | | | | | | | | | | |
| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, |
| | | IE, | SI, | LT, | LV, | FI, | RO, | MK, | CY, | AL, | TR, | BG, | CZ, | EE, | HU, | PL, | SK, HR |
| BR | 2004 | 0099 | 91 | | A | | 2006 | 0509 | | BR 2 | 004- | 9991 | | | 2 | 0040 | 505 < |
| AT | 3486 | 10 | | | T | | 2007 | 0115 | | AT 2 | 004- | 7513 | 19 | | 2 | 0040 | 505 < |
| JP | 3486 2007 | 5023 | 24 | | T | | 2007 | 0208 | | JP 2 | 006- | 5325 | 65 | | 2 | 0040 | 505 < |
| PT | 1622 | 610 | | | E | | 2007 | 0228 | | PT 2 | 004- | 7513 | 19 | | 2 | 0040 | 505 < |
| ES | 2277 | 271 | | | Т3 | | 2007 | 0701 | | ES 2 | 004- | 7513 | 19 | | 2 | 0040 | 505 < |
| US | 2006 | 0063 | 796 | | | | 2006 | 0323 | | US 2 | 005- | 2640 | 63 | | 2 | 0051 | 101 < |
| US | 7541 | 368 | | | B2 | | 2009 | 0602 | | | | | | | | | |
| PRIORIT | RIORITY APPLN. INFO.: | | | | | | | | | US 2 | 003- | 4682 | 85P | 1 | P 2 | 0030 | 506 < |
| | | | | | | | | WO 2 | 004- | US13 | 875 | 1 | vi 2 | 0040 | 505 < | | |
| | | | | | | | | | | | | | | | _ | | |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 142:23273

ED Entered STN: 25 Nov 2004

GI

Title compds. I [Wherein R1 = (cyclo)alkyl, (un)substituted aryl or pyridyl; AB R2 = (un) substituted (cyclo) alkyl; X = C(0), C(0)CH2, S(0)2, or NHC(0); A = C(0)(un) substituted alk(en/yn)yl; B = (CH2)n; n = 0 or 2; et al., or pharmaceutically acceptable salts, solvates or ester prodrugs thereof; or ester prodrugs of such salts or solvates], useful as inhibitors of p38 kinase and/or tumor necrosis factor (TNF), were prepared Thus, condensation of 4methylenepiperidine hydrochloride with 2.4-dimethoxybenzovl chloride followed by addition reaction with 9-BBN and subsequent Pd-catalyzed coupling with mbromoaniline gave an aniline derivative This compound underwent addition reaction with 5-isocvanato-3-tert-butyl-1-(4-methylphenyl)pyrazole to afford urea II. Compds. I were tested in several biol. assays. E.g., I showed 50% inhibition at the concns. of 0.3-10000 nM in the p38 cascade assay, at the concns. of 10-50000 nM in the murine p38 assay, and at the concns. of 10-50000 nM in the LPS-induced TNF α assay. Pharmaceutical compns. comprising I are useful in the treatment of disease states capable of being modulated by the inhibition of p38 kinase and/or tumor necrosis factor (TNF), such as asthma and joint inflammation .

1082364-41-7

RL: PRPH (Prophetic)

(Preparation of pyrazolyl phenyl urea derivatives as inhibitors of p38 kinase and/or tumor necrosis factor (TNF) inhibitors for the treatment of inflammations)

IT 163611-40-3P, Tumor necrosis factor α inhibitor RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)
(preparation of pyrazolyl Ph urea derivs. as inhibitors of p38 kinase

and/or

tumor necrosis factor (TNF))

IT 1082364-41-7

RL: PRPH (Prophetic)

(Preparation of pyrazolyl phenyl urea derivatives as inhibitors of p38 kinase and/or tumor necrosis factor (TNF) inhibitors for the treatment of inflammations)

RN 1082364-41-7 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c} \text{MeO} \\ \text{MeO} \\ \text{N} \\ \text{CH}_2 \\ \text{NH} \\ \text{NH$$

IT 163611-40-3P, Tumor necrosis factor α inhibitor

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

TRU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of pyrazolyl Ph urea derivs. as inhibitors of p38 kinase and/or $\,$

tumor necrosis factor (TNF))

RN 163611-40-3 HCAPLUS

CN Tumor necrosis factor α inhibitor (human) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD

(4 CITINGS)

REFERENCE COUNT: THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 18 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2004:372874 HCAPLUS Full-text

DOCUMENT NUMBER: 140:375487

Preparation of pyrimidine amino acid derivatives as TITLE:

interleukin-8 (IL-8) receptor antagonists

Erickson, Shawn David; Baldwin, John J.; Dolle, Roland INVENTOR(S): Ellwood; Inglese, James; Ohlmeyer, Michael H. J.; Ho, Koc-kan; Bohnstedt, Adolph C.; Kultgen, Steven G.;

Conti, Paolo Giovanni Martino; Levsen, Dirk; Van der Louw, Jaap

PATENT ASSIGNEE(S): Pharmacopeia Drug Discovery, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 88 pp., Cont.-in-part of U.S.

Ser. No. 167,232, abandoned.

CODEN: USXXCO DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PAT | ENT | NO. | | | KIN | D | DATE | | | APPL | ICAT: | ION : | NO. | | D | ATE | | |
|----------|---------------|------|------|-----|-----|-----|------|------|-----|------|-------|-------|-----|-----|-----|------|-------|---|
| | | | | | | _ | | | | | | | | | | | | |
| US | 2004 | 0087 | 601 | | A1 | | 2004 | 0506 | | US 2 | 003- | 3403 | 98 | | 2 | 0030 | 110 < | < |
| US | 7037 | 916 | | | B2 | | 2006 | 0502 | | | | | | | | | | |
| WO | WO 2004062609 | | | | A2 | | 2004 | 0729 | | WO 2 | 004-1 | US58 | 4 | | 2 | 0040 | 109 < | < |
| WO | WO 2004062609 | | | | A3 | | 2004 | 1125 | | | | | | | | | | |
| | W: | ΑE, | AG, | AL, | AM, | ΑT, | ΑU, | ΑZ, | BA, | BB, | BG, | BR, | BW, | BY, | ΒZ, | CA, | CH, | |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
| | | GE, | GH, | GM, | HR, | ΗU, | ID, | IL, | IN, | IS, | JP, | KΕ, | KG, | KΡ, | KR, | ΚZ, | LC, | |
| | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | | | | | | | MZ | | | |
| PRIORITY | APP | LN. | INFO | . : | | | | | | US 1 | 999- | 1441 | 60P | 1 | P 1 | 9990 | 715 < | < |

P 19990715 <--US 2000-616496

B1 20000714 <--US 2002-167232 B2 20020611 <--US 2003-340398 A 20030110 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 140:375487

ED Entered STN: 07 May 2004

GI

$$\text{F}_{3}\text{CO} \longrightarrow \text{N} \text{N} \text{N} \text{N} \text{OEt}$$

$$\text{Me}_{3}\text{Si} \text{N} \text{CH}_{3} \text{II}$$

AB Pyrimidine compds. I [Q is hydroxyalkyl, (un)substituted aryl or heterocyclyl, Rl2O2C(CH2)0-6, Rl1R12NOC, Rl1CONR12, Rl1C(:NH)NR12, Rl2CO, Rl1O2CNR12, Rl1NHCONR12 or HetB-Y-HetA-, where Rl1 is H, (un)substituted alkyl, cycloalkyl or aryl, Rl2 is H or alkyl, HetA and HetB are aryl or heterocyclyl and Y is CH2, a bond or O; U is H, halo, hydrocarbyl or substituted alkyl; W is R3, OR3 or SR3, where R3 is substituted alkyl, arylalkyl, heterocyploxyalkyl, etc.; R1 is alkyl, cycloalkyl, aryl, heterocyclyl, arylalkyl or heterocyclylalkyl; R2 is H or alkyl; R4 is a carbamoyl, carboxy, acylamino or amino group, aryloxy, heterocyclyloxy, etc.; R9 is H, alkyl or aryl; m, n are 0 or 1) were prepared for treatment of diseases and conditions related to inappropriate interleukin-8 receptor activity. Thus, compound II was prepared via substitution reactions of 3-(trimethylsilyl)propyl bromide, 2,4-dichloropyrimiddine, L-leucine 3-ethoxypropylamide hydrochloride, and 4-[4-(triflucromethoxy)phenyl]-IH-mindazole.

684221-05-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of pyrimidine amino acid derivs. as interleukin-8 (IL-8) receptor antagonists)

IT 684220-41-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidine amino acid derivs. as interleukin-8 (IL-8) receptor antagonists)

684221-05-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of pyrimidine amino acid derivs. as interleukin-8 (IL-8) receptor antagonists)

RN 684221-05-4 HCAPLUS

CN Pentanamide, N-(3-ethoxypropyl)-4-methyl-2-[[6-propyl-2-[4-

(trifluoromethoxy)phenyl]-4-pyrimidinyl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

IT 684220-41-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidine amino acid derivs. as interleukin-8 (IL-8) receptor antagonists)

RN 684220-41-5 HCAPLUS

CN 4(3H)-Pvrimidinone, 6-butv1-2-(3-fluorophenv1)- (CA INDEX NAME)

$$\bigcap_{n-Bu}\bigvee_{N}\bigvee_{F}$$

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS)

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 19 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2004:310972 HCAPLUS Full-text

DOCUMENT NUMBER: 140:321379

TITLE: Preparation of aminoquinazoline protein kinase B

inhibitors as anticancer agents
INVENTOR(S): Barnickel, Gerhard; Eggenweiler, Hans-Michael;

Eiermann, Volker; Gericke, Rolf; Rautenberg, Wilfried;

Sirrenberg, Christian; Scharm, Burkhard

PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany

SOURCE: PCT Int. Appl., 89 pp.

CODEN: PIXXD2

CODEN: PIXX
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATE | TI | NO. | | | KIN | D | DATE | | | APPL | ICAT | ION I | NO. | | D | ATE | | |
|-------|-----|------|-----|-----|-----|-----|------|------|-----|------|------|-------|-----|-----|-----|------|-------|--|
| | | | | | | - | | | | | | | | | | | | |
| WO 20 | 004 | 0306 | 72 | | A1 | | 2004 | 0415 | | WO 2 | 003- | EP93 | 92 | | 2 | 0030 | 825 < | |
| I | v: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, | |
| | | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, | |
| | | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KP, | KR, | KZ, | LC, | LK, | LR, | |
| | | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NI, | NO, | NZ, | OM, | |
| | | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SY, | ΤJ, | TM, | TN, | |
| | | TR. | TT. | TZ. | UA. | UG. | US. | UZ. | VC. | VN. | YU. | ZA. | ZM. | ZW | | | | |

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2003255482
                                            AU 2003-255482
                          A1
                               20040423
                                                                   20030825 <--
PRIORITY APPLN. INFO .:
                                            EP 2002-22151
                                                                A 20021002 <--
                                            WO 2003-EP9392
                                                                W 20030825 <--
OTHER SOURCE(S):
                         MARPAT 140:321379
```

ED Entered STN: 16 Apr 2004

GI

AB Title compds. I [wherein R and R1 = independently H, alkyl, OH, alkoxy, halo, N(R5)2, NO2, CN, CHO, alkanoy1, CON(R5)2, CO2R5, ally1, CH=CHCO2R5, CH=CHCON(R5)2, alkylsulfonyl, or (un)substituted Ph; R2 and R3 = independently H, (cyclo)alkyl, (un)substituted heterocyclyl(alkyl), alkoxy(alkyl), amino(alkvl), arvl(alkvl), etc.; or NR2R3 = (un)substituted heterocyclyl; R4 = aryl or substituted thiophenyl; R5 = H or alkyl; Y = a direct bond, (CH2)n, or NR5(CH2)m; m = 0-6; n = 1-6; and pharmaceutically tolerable salts and solvates thereof] were prepared as protein kinase B (PKB or Akt or RAC) inhibitors. For example, amidation of 2-amino-4-chlorobenzonitrile with 4-bromobenzoyl chloride in the presence of pyridine in THF afforded 4-bromo-N-(5-chloro-2cyanophenyl) benzamide. Reduction using NaOH and perhydrite tablets in MeOH, followed by cyclization with NaOH in dioxane gave 2-(4-bromophenyl)-7-chloro-3H-quinazolin-4-one. Reaction with thionyl chloride in DMF provided 2-(5bromophenyl)-4,7-dichloroquinazoline, which was coupled with 4-(4,6dimethoxypyrimidin-2-yl)aniline in THF to give II. The latter inhibited PKB with IC50 of 0.0000066 M. Thus, I and their pharmaceutical compns. are useful for the treatment of hyperproliferative disorders, such as cancer, psoriasis, arthritis, inflammation, endometriosis, scarring, or benign prostatic hyperplasia (no data). 405932-39-0P, [2-(4-Bromophenyl)-7-chloroguinazolin-4-v1][3-(4.6-

dimethoxypyrimidin-2-v1)phenyl]amine 405932-41-4P, [2-(4-Bromophenyl)-7-chloroquinazolin-4-yl][4-(4,6-dimethoxypyrimidin-2vl)phenvllamine

II

- RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
- TRU (Therapeutic use); BIOL (Biological study); PREP
- (Preparation); USES (Uses)
- (PKB inhibitor; preparation of aminoquinazoline PKB inhibitors as anticancer $% \left(1\right) =\left(1\right) \left(1\right) +\left(1\right) \left(1\right) \left(1\right) +\left(1\right) \left(1\right) \left($
- agents)
- IT 387350-84-7, [3-(4,6-Dimethoxypyrimidin-2-yl)phenyl]amine
 - 387350-86-9, [4-(4,6-Dimethoxypyrimidin-2-yl)phenyl]amine
 - RL: RCT (Reactant); RACT (Reactant or reagent)
- (preparation of aminoquinazoline PKB inhibitors as anticancer agents)
- IT 405932-39-0P, [2-(4-Bromophenyl)-7-chloroquinazolin-4-yl][3-(4,6-
- dimethoxypyrimidin-2-yl)phenyl]amine 405932-41-4P,
 - [2-(4-Bromopheny1)-7-chloroquinazolin-4-y1][4-(4,6-dimethoxypyrimidin-2-y1)pheny1]amine
 - RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
 - THU (Therapeutic use); BIOL (Biological study); PREP
- (Preparation); USES (Uses)
- (PKB inhibitor; preparation of aminoquinazoline PKB inhibitors as anticancer $% \left(1\right) =\left(1\right) \left(1\right) +\left(1\right) \left(1\right) \left(1\right) +\left(1\right) \left(1\right) \left($
- agents) RN 405932-39-0 HCAPLUS
- CN 4-Quinazolinamine, 2-(4-bromophenyl)-7-chloro-N-[3-(4,6-dimethoxy-2-pyrimidinyl)phenyl]- (CA INDEX NAME)

- RN 405932-41-4 HCAPLUS
- CN 4-Quinazolinamine, 2-(4-bromophenyl)-7-chloro-N-[4-(4,6-dimethoxy-2pyrimidinyl)phenyl]- (CA INDEX NAME)

387350-84-7, [3-(4,6-Dimethoxypyrimidin-2-y1)phenyl]amine 387350-86-9, [4-(4,6-Dimethoxypyrimidin-2-y1)phenyl]amine RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of aminoquinazoline PKB inhibitors as anticancer agents) 387350-84-7 HCAPLUS RN

CN Benzenamine, 3-(4,6-dimethoxy-2-pyrimidiny1)- (CA INDEX NAME)

387350-86-9 HCAPLUS RN

Benzenamine, 4-(4,6-dimethoxy-2-pyrimidiny1)- (CA INDEX NAME)

OS.CITING REF COUNT: THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD 6

(6 CITINGS) REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS 6 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 20 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2004:120855 HCAPLUS Full-text

DOCUMENT NUMBER: 140:163888

TITLE: Preparation of

(pyrimidinyl) (pyrazolo[3,4-b]pyridinyl) amines and

analogs as GSK-3 inhibitors

INVENTOR(S): Forster, Cornelia J.; Park, Larry C.; Wannamaker, Marion W.; Yao, Yung-Mae

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 65 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PA: | TENT | NO. | | | KIN | D | DATE | | | APPL | ICAT | ION : | NO. | | D | ATE | |
|-----|------|------|-----|-----|-----|-----|------|------|-----|------|------|-------|-----|-----|-----|------|-------|
| | | | | | | _ | | | | | | | | | | | |
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| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, |
| | | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, |
| | | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KP, | KR, | ΚZ, | LC, | LK, | LR, |
| | | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NO, | NZ, | OM, | PH, |
| | | PL, | PT, | RO, | RU, | SD, | SE, | SG, | SK, | SL, | ΤJ, | TM, | TN, | TR, | TT, | TZ, | UA, |
| | | UG, | US, | UZ, | VN, | YU, | ZA, | ZM, | ZW | | | | | | | | |
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| | KG. | KZ. | MD. | RU. | TJ. | TM. | AT. | BE. | BG. | CH, | CY. | CZ. | DE. | DK | . EE. | ES. | |
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| | | | | | | | | | | NL. | | | | | | | |
| | BF. | BJ. | CF. | CG. | CI. | CM. | GA. | GN. | GO. | GW, | ML. | MR. | NE. | SN | . TD. | TG | |
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| | R: AT, | BE, | CH, | | | ES, | FR, | GB, | GR. | IT. | LI, | LU, | NL, | SE | , MC, | PT, | |
| | IE, | SI, | LT, | LV, | FI, | RO, | MK, | CY, | AL | TR. | BG, | CZ, | EE, | HU | , SK | | |
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| PRIORIT | Y APPLN. | INFO. | . : | | | | | 1 | US 2 | 2002- 2003- | 4009 | 67P | | P | 20020 | 802 | < |
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 140:163888 ED Entered STN: 13 Feb 2004

GI

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Title compds. I [wherein W = N or CH; R1 = H or F; Rv = aliphatic group
AB
     optionally substituted with N(R2)2 or heterocyclyl; R2 = independently H or
     (un) substituted aliphatic group; with the proviso that when R1 = H and W = CH,
     then Ry # Me; and pharmaceutically acceptable salts thereof] were prepared as
     protein kinase inhibitors, especially as glycogen synthase kinase-3 (GSK-3)
     inhibitors. For example, 4-chloro-6-cyclopropyl-2-(2-
     trifluoromethylphenyl)pyrimidine was coupled with 1H-pyrazolo[3,4-b]pyridin-3-
     amine by heating at 130° for 12 h in N-methylpyrrolidinone provided II (57%).
     Compds. of the invention inhibited GKS-3B with Ki < 100 nM and exhibited ≥ 30%
     protection against ischemic injury exptl. induced by anoxia-reoxygenation in
     cultured hippocampal neuronal cells. Thus, I and their pharmaceutically
     acceptable compns. are useful for the treatment of various protein kinase-
     mediated disorders, such as stroke, Alzheimer's disease, and neurodegenerative
     disorders (no data).
     656813-97-7P, [6-(But-3-env1)-2-(2-
     trifluoromethylphenyl)pyrimidin-4-yl](1H-pyrazolo[3,4-b]pyridin-3-yl)amine
     RL: PAC (Pharmacological activity); RCT (Reactant); SPN
     (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
     study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
        (GKS-3 inhibitor; preparation of (pyrimidinyl) (pyrazolo[3,4-
        b]pyridinyl)amines and analogs as GSK-3 inhibitors)
ΙT
     656813-84-2P, (5-Fluoro-1H-indazol-3-v1)[6-methv1-2-(2-
     trifluoromethylphenyl)pyrimidin-4-yl]amine
                                                656813-87-5P.
     [6-tert-Butv1-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1H-pyrazolo[3,4-
     b]pyridin-3-yl)amine 656813-92-2P,
     [6-Cyclopropyl-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1H-indazol-3-
     yl)amine 656813-93-3P,
     [6-Cyclopropyl-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1H-pyrazolo[3,4-
     b]pyridin-3-yl)amine 656813-94-4P,
     [6-Cyclopropyl-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1H-pyrazolo[3,4-
     b)pyridin-3-yl)amine hydrochloride 656813-98-8P,
     [6-[3-(Morpholin-4-yl)propyl]-2-(2-trifluoromethylphenyl)pyrimidin-4-
     v1](1H-pyrazolo[3,4-b]pyridin-3-v1)amine 656813-99-9P,
     [6-[3-(Piperidin-1-yl)propyl]-2-(2-trifluoromethylphenyl)pyrimidin-4-
     yl](1H-pyrazolo[3,4-b]pyridin-3-yl)amine 656814-00-52,
     [6-(3-Diethylaminopropyl)-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1H-
     pvrazolo[3,4-b]pvridin-3-vl)amine 656814-01-6P,
     [6-[3-(4-Methylpiperazin-1-v1)propyl]-2-(2-trifluoromethylphenyl)pyrimidin-
     4-y1](1H-pyrazolo[3,4-b]pyridin-3-y1)amine 656814-02-7P,
     [6-[3-(Piperazin-1-v1)propv1]-2-(2-trifluoromethylphenyl)pyrimidin-4-
     yl](1H-pyrazolo[3,4-b]pyridin-3-yl)amine 656814-03-8P,
     [6-(3-Dimethylaminopropyl)-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1H-
     pyrazolo[3,4-b]pyridin-3-yl)amine
                                       656814-04-9P,
     N, N-Dimethyl-N'-[3-[6-[(1H-pyrazolo[3,4-b]pyridin-3-yl)amino]-2-(2-
     trifluoromethylphenyl)pyrimidin-4-yl]propyl]ethane-1,2-diamine
     656814-05-0P, [6-(3-Methylaminopropyl)-2-(2-
     trifluoromethylphenyl)pyrimidin-4-yl](1H-pyrazolo[3,4-b]pyridin-3-yl)amine
     656814-96-1P, 2-[[3-[6-[(1H-Pvrazolo[3,4-b)pvridin-3-vl)amino]-2-
     (2-trifluoromethylphenyl)pyrimidin-4-yl]propyl]amino]ethanol
     656814-07-29, [6-[3-[[2-(Morpholin-4-yl)ethyl]amino]propyl]-2-(2-
     trifluoromethylphenyl)pyrimidin-4-vl](1H-pyrazolo[3,4-b]pyridin-3-vl)amine
     656814-08-3P, [6-[3-[Methyl[2-(morpholin-4-yl)ethyl]amino]propyl]-
     2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1H-pyrazolo[3,4-b]pyridin-3-
     vl)amine 656814-09-4P
                             656814-10-7P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
     THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (GKS-3 inhibitor; preparation of (pyrimidinyl)(pyrazolo[3,4-
```

blpvridinvl)amines and analogs as GSK-3 inhibitors) 404828-01-9P, 6-Methyl-2-(2-trifluoromethylphenyl)-3H-pyrimidin-4-one 656813-85-3P, 6-tert-Buty1-2-(2-trifluoromethylphenyl)-3H-pyrimidin-4-one 656813-88-6P, 6-Cyclopropyl-2-(2-trifluoromethylphenyl)-3H-pyrimidin-4-one 656813-95-5P, 6-(But-3-enyl)-2-(2-trifluoromethylphenyl)-3Hpyrimidin-4-one RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; preparation of (pyrimidinyl)(pyrazolo[3,4-b]pyridinyl)amines and analogs as GSK-3 inhibitors) ΤТ 656813-97-7P, [6-(But-3-env1)-2-(2trifluoromethylphenyl)pyrimidin-4-yl](1H-pyrazolo[3,4-b]pyridin-3-yl)amine RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (GKS-3 inhibitor; preparation of (pyrimidinyl) (pyrazolo[3,4b]pyridinyl)amines and analogs as GSK-3 inhibitors) 656813-97-7 HCAPLUS RN CN 1H-Pyrazolo[3,4-b]pyridin-3-amine, N-[6-(3-buten-1-y1)-2-[2-(trifluoromethy1)pheny1]-4-pyrimidiny1]- (CA

INDEX NAME)

656813-84-2P, (5-Fluoro-1H-indazol-3-yl)[6-methyl-2-(2trifluoromethylphenyl)pyrimidin-4-yl]amine 656813-87-5P, [6-tert-Butv1-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1H-pyrazolo[3,4blpvridin-3-v1)amine 656813-92-2P. [6-Cyclopropyl-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1H-indazol-3yl)amine 656813-93-3P, [6-Cyclopropyl-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1H-pyrazolo[3,4b]pyridin-3-yl)amine 656813-94-4P, [6-Cyclopropyl-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1H-pyrazolo[3,4b]pyridin-3-yl)amine hydrochloride 656813~98~8P, [6-[3-(Morpholin-4-yl)propyl]-2-(2-trifluoromethylphenyl)pyrimidin-4vl](1H-pyrazolo[3,4-b]pyridin-3-vl)amine 656813-99-9P, [6-[3-(Piperidin-1-yl)propyl]-2-(2-trifluoromethylphenyl)pyrimidin-4v1](1H-pvrazolo[3,4-b]pvridin-3-v1)amine 656814~00~5P, [6-(3-Diethylaminopropyl)-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1Hpvrazolo[3,4-b]pvridin-3-vl)amine 656814-01-6P, [6-[3-(4-Methylpiperazin-1-yl)propyl]-2-(2-trifluoromethylphenyl)pyrimidin-4-y1](1H-pyrazolo[3,4-b]pyridin-3-y1)amine 656814-02-7P, [6-[3-(Piperazin-1-yl)propyl]-2-(2-trifluoromethylphenyl)pyrimidin-4yl](1H-pyrazolo[3,4-b]pyridin-3-yl)amine 656814-03-8P, [6-(3-Dimethylaminopropyl)-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1Hpyrazolo[3,4-b]pyridin-3-yl)amine 656814-04-9P, N, N-Dimethyl-N'-[3-[6-[(1H-pyrazolo[3,4-b]pyridin-3-yl)amino]-2-(2trifluoromethylphenyl)pyrimidin-4-yl]propyl]ethane-1,2-diamine 656814-05-0P, [6-(3-Methylaminopropyl)-2-(2-

trifluoromethylphenyl)pyrimidin-4-yl](lH-pyrazolo[3,4-b]pyridin-3-yl)amine 656814-06-1P, 2-[[3-[6-[(1H-Pyrazolo[3,4-b]pyridin-3-yl)amino]-2- (2-trifluoromethylphenyl)pyrimidin-4-yl)propyl]amino]ethanol 656814-07-2P, [6-[3-[(2-(Morpholin-4-yl)ethyl]amino]propyl]-2-(2-trifluoromethylphenyl)pyrimidin-4-yl)[H-pyrazolo[3,4-b]pyridin-3-yl)amine 656814-09-3P, [6-[3-[Methyl[2-(morpholin-4-yl)ethyl]amino]propyl]-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](HH-pyrazolo[3,4-b]pyridin-3-yl)amine 656814-09-4P 656814-10-7P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (GKS-3 inhibitor; preparation of (pyrimidinyl) (pyrazolo[3,4-b]pyridinyl)amines and analogs as GSK-3 inhibitors)

b]pyridinyl)amines and analogs as GSK-3 inhibitors)
RN 656813-84-2 HCAPLUS
CN 1H-Todazol-3-amine, 5-fluoro-N-[6-methyl-2-[2-(trifluoromethyl)pheny

1H-Indazol-3-amine, 5-fluoro-N-[6-methyl-2-[2-(trifluoromethyl)phenyl]-4pyrimidinyl]- (CA INDEX NAME)

RN 656813-87-5 HCAPLUS

CN 1H-Pyrazolo[3,4-b]pyridin-3-amine, N-[6-(1,1-dimethylethyl)-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl]-(CA INDEX NAME)

RN 656813-92-2 HCAPLUS

CN 1H-Indazol-3-amine, N-[6-cyclopropyl-2-[2-(trifluoromethyl)phenyl]-4pyrimidinyl]- (CA INDEX NAME)

RN 656813-93-3 HCAPLUS

CN 1H-Pyrazolo[3, 4-b]pyridin-3-amine,

 $\label{eq:name} $$N-[6-cyclopropyl-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl]-$$ (CA INDEX NAME)$

RN 656813-94-4 HCAPLUS

CN 1H-Pyrazolo[3,4-b]pyridin-3-amine, N-[6-cyclopropy1-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 656813-98-8 HCAPLUS

CN 1H-Pyrazolo[3,4-b]pyridin-3-amine, N-[6-[3-(4-morpholiny1)propy1]-2-[2-(trifluoromethy1)pheny1]-4pyrimidiny1]- (CA INDEX NAME)

RN 656813-99-9 HCAPLUS

CN 1H-Pyrazolo[3,4-b]pyridin-3-amine, N-[6-[3-(1-piperidiny1)propy1]-2-[2-(trifluoromethy1)pheny1]-4pyrimidiny1]- (CA INDEX NAME)

RN 656814-00-5 HCAPLUS

CN IH-Pyrazolo[3,4-b]pyridin-3-amine, N-[6-[3-(diethylamino)propyl]-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl]-(CA INDEX NAME)

RN 656814-01-6 HCAPLUS

CN 1H-Pyrazolo[3,4-b]pyridin-3-amine,
N-[6-[3-(4-methyl-1-piperazinyl)propyl]-2-[2-(trifluoromethyl)phenyl]-4pyrimidinyl]- (CA INDEX NAME)

RN 656814-02-7 HCAPLUS

CN IH-Pyrazolo[3,4-b]pyridin-3-amine, N-[6-[3-(1-piperaziny1)propy1]-2-[2-(trifluoromethy1)pheny1]-4pyrimidiny1]- (CA INDEX NAME)

RN 656814-03-8 HCAPLUS

CN lH-Pyrazolo[3,4-b]pyridin-3-amine, N-[6-[3-(dimethylamino)propy]]-2-[2-(trifluoromethyl)phenyl]-4pyrinidinyl]- (CA INDEX NAME)

- RN 656814-04-9 HCAPLUS
- CN 1,2-Ethanediamine, N1,N1-dimethyl-N2-[3-[6-(1H-pyrazolo[3,4-b]pyridin-3-ylamino)-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl]propyl]- (CA INDEX NAME)

- RN 656814-05-0 HCAPLUS
- CN 1H-Pyrazolo[3, 4-b]pyridin-3-amine,
 - N-[6-[3-(methylamino)propyl]-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl]-(CA INDEX NAME)

- RN 656814-06-1 HCAPLUS
- CN Ethanol, 2-[[3-[6-(1H-pyrazolo[3,4-b]pyridin-3-ylamino]-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl]propyl]amino]- (CA INDEX NAME)

RN 656814-07-2 HCAPLUS

CN 1H-Pyrazolo[3,4-b]pyridin-3-amine, N-[6-[3-[[2-(4-morpholiny1)ethyl]amino]propy1]-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl]- (CA INDEX NAME)

RN 656814-08-3 HCAPLUS

CN 1H-Pyrazolo[3,4-b]pyridin-3-amine, N-[6-[3-[methyl]2-(4-morpholinyl)ethyl]amino]propyl]-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl]- (CA INDEX NAME)

RN 656814-09-4 HCAPLUS

CN 1H-Pyrazolo[3,4-b]pyridin-3-amine,
5-fluoro-N-[6-methyl-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl]- (CA
INDEX NAME)

RN 656814-10-7 HCAPLUS

CN 1H-Pyrazolo[3,4-b]pyridin-3-amine, N-[6-ethyl-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl]- (CA INDEX NAME)

4-one 656813-85-3P, 6-tert-Buty1-2-(2-trifluoromethylphenyl)3H-pyrimidin-4-one 656813-88-6P,
6-Cyclopropy1-2-(2-trifluoromethylphenyl)-3H-pyrimidin-4-one
656813-95-5P, 6-(But-3-enyl)-2-(2-trifluoromethylphenyl)-3Hpyrimidin-4-one
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(intermediate; preparation of (pyrimidinyl)(pyrazolo[3,4-b]pyridinyl)amines

404828-01-9P, 6-Methyl-2-(2-trifluoromethylphenyl)-3H-pyrimidin-

(intermediate; preparation or (pyrimidiny)) (pyrazolo(3,4-0)pyridiny)) amine: and analogs as GSK-3 inhibitors)

RN 404828-01-9 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-methyl-2-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 656813-85-3 HCAPLUS
CN 4(3H)-Pyrimidinone, 6-(1,1-dimethylethyl)-2-[2-(trifluoromethyl)phenyl](CA INDEX NAME)

RN 656813-88-6 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-cyclopropyl-2-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 656813-95-5 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-(3-buten-1-yl)-2-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)

OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS

RECORD (11 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 21 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:875259 HCAPLUS Full-text
DOCUMENT NUMBER: 139:364950

TITLE: Preparation of pyrimidine derivatives as mixed lymphocyte reaction (MLR) inhibitors

INVENTOR(S): Tsuruoka, Hiroyuki; Kanno, Yuichi; Tatsuta, Tohru

PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan

SOURCE: PCT Int. Appl., 420 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT INFORMATION

| PATENT NO. | | KINI |) | DATE | | - 7 | APPL: | ICAT: | ION | . OV | | D. | ATE | |
|------------------|---------|------|---------|------|-------|-----|-------|-------|------|------|-----|-----|------|-------|
| | | | | | | | | | | | | - | | |
| WO 20030912 | 23 | A1 | | 2003 | 1106 | 1 | WO 20 | 003- | JP52 | 16 | | 2 | 0030 | 423 < |
| W: AE, | AG, AL, | AM, | AT, | AU, | ΑZ, | BA, | BB, | BG, | BR, | BY, | ΒZ, | CA, | CH, | CN, |
| co, | CR, CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | ES, | FΙ, | GB, | GD, | GE, | GH, |
| GM, | HR, HU, | ID, | IL, | IN, | IS, | JP, | KΕ, | KG, | KΡ, | KR, | ΚZ, | LC, | LK, | LR, |
| LS, | LT, LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | ΜZ, | NI, | NO, | ΝZ, | OM, |
| PH, | PL, PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | ΤJ, | TM, | TN, | TR, | TT, |
| TZ, | UA, UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | zw | | | | | |
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| KG, | KZ, MD, | RU, | ΤJ, | TM, | ΑT, | ΒE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, |
| FI, | FR, GB, | GR, | HU, | ΙE, | IT, | LU, | MC, | NL, | PT, | RO, | SE, | SI, | SK, | TR, |
| BF, | BJ, CF, | CG, | CI, | CM, | GΑ, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG |
| JP 20040023 | 91 | A | | 2004 | 0108 | | JP 20 | 003- | 1135 | 63 | | 2 | 0030 | 418 < |
| AU 20032314 | 59 | A1 | | 2003 | 1110 | - 1 | AU 20 | 003- | 2314 | 59 | | 2 | 0030 | 423 < |
| PRIORITY APPLN. | INFO.: | | | | | | JP 20 | 002- | 1206 | 8 0 | 3 | A 2 | 0020 | 423 < |
| | | | | | | 1 | WO 20 | 003- | JP52 | 16 | 1 | W 2 | 0030 | 423 < |
| OTHER COMPORTER. | | MADE | T. C.C. | 130. | 36/10 | 50 | | | | | | | | |

OTHER SOURCE(S): MARPAT 139:364950

ED Entered STN: 07 Nov 2003

GI

AB Pyrimidines derivs, such as dihydrazinopyrimidine having the general formula (I) and (II) [wherein R1, R3 = H, lower alkyl, halo-lower alkyl, lower alkoxylower alkyl, mono- or di(lower alkyl)amino-lower alkyl, (un)substituted aryl; R2, R4 = each (un)substituted arvl or heterocyclyl; or CR2R1 or CR4R3 together forms an (un)substituted saturated carbocyclic or heterocyclic ring; A1, A2 = NR7, O (wherein R7 = lower alkyl); R5 lower alkylthio, each (un)substituted cycloalkyl, aryl, or heterocyclyl, a group having the formula -D-R8 or CH2-E-R8 (wherein D = NH, O, S; E = O, S, a single bond; R8 = each optionally substituted cycloalkyl, aryl, or heterocyclyl, etc.); R6 = H, lower alkyl, lower alkoxy, lower alkoxy-lower alkyl, mono- or di(lower alkyl)amino-lower alkyl, aralkyl, anilino], pharmaceutically acceptable salts, esters, or other derivs, thereof, are prepared These pyrimidine derivs, exhibit excellent MLR inhibiting action and are useful for inhibiting allograft rejection in bone marrow or organ transplant or for the treatment and/or prevention of inflammation, organ-specific or organ-nonspecific autoimmune diseases, or allergy, in particular chronic articular rheumatism, multiple sclerosis, inflammatory enteric disease, diabetes, glomerulonephritis, idiopathic biliary cirrhosis, active chronic hepatitis, pernicious anemia, Hashimoto thyroiditis, atrophic gastritis, myasthenia gravis, psoriasis, Sjoegren's syndrome, systemic lupus erythematosus, rhinitis, asthma, or atopic dermatitis. They are also useful for inhibiting cancer cells, in particular cancerous lymphocyte. Thus, 480 mg N-(2,6-dichloropyrimidin-4-yl)phenylamine was stirred with 3 mL hydrazine monohydrate at 90° for 1 h, cooled to room temperature, treated with H2O, followed by filtering the precipitated crystals, washing them with water, Et acetate, and drying under reduced pressure to give crude N-(2,6-dihydrazinopyrimidin-4-yl)phenylamine. The latter compound was dissolved in 5 mL dioxane, treated with 1.7 mL 4acetylpyridine, refluxed for 15 h, distilled to remove the solvent, and suspended in a mixture of ether and Et acetate, followed by pulverizing the precipitated solid, filtration, and washing with a mixture of ether and Et acetate to give 1-(4-pyridinyl)-1-ethanone N-[4-anilino-6-[2-[1-(4pyridinyl)ethylidenelhydrazinol-2-pyrimidinyllhydrazone (III). In an MLR inhibition assay, III and 1-(4-pyridinyl)-1-ethanone N-[2-anilino-6-[2-[1-(4pyridinyl)ethylidene]hydrazino]-4- pyrimidinyl]hydrazone in vitro inhibited the uptake of [3H]thymidine in human peripheral lymphocyte with IC50 of 6.9 and 1.0 nM, resp.

IT 620984-93-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidine derivs. as mixed lymphocyte reaction inhibitors for treatment of cancer or allograft rejection and for treatment and/or prevention of inflammation, organ-(non)specific autoimmune diseases, or allergy)

IT 620984-93-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidine derivs. as mixed lymphocyte reaction inhibitors

for treatment of cancer or allograft rejection and for treatment and/or prevention of inflammation, organ-(non)specific autoimmune diseases, or allergy)

RN 620984-93-2 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-[1,1'-biphenyl]-3-yl-6-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD

(3 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 22 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2003:757432 HCAPLUS Full-text

DOCUMENT NUMBER: 139:272355

TITLE: 4-aminopyrimidines as antimicrobial agents

INVENTOR(S): Marquais-Bienewald, Sophie; Hoelzl, Werner; Haap, Wolfgang; Preuss, Andrea; Mehlin, Andreas

PATENT ASSIGNEE(S): Ciba Specialty Chemicals Holding Inc., Switz.

SOURCE: PCT Int. Appl., 72 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| PAT | ENT : | NO. | | | KIN | D | DATE | | | | ICAT | | | | D | ATE | |
|-----|-------|------|-----|-----|-----|-----|------|------|-----|------|------|------|-----|-----|-----|------|-------|
| WO | 2003 | 0776 | 56 | | A1 | | 2003 | 0925 | | | | | | | 2 | 0030 | 310 < |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, |
| | | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, |
| | | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KΕ, | KG, | KP, | KR, | ΚZ, | LC, | LK, | LR, |
| | | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | ΜZ, | ΝI, | NO, | NZ, | OM, |
| | | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | ΤJ, | TM, | TN, | TR, | TT, |
| | | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | zw | | | | | |
| | RW: | GH, | GM, | KΕ, | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | ΑZ, | BY, |
| | | KG, | ΚZ, | MD, | RU, | ΤJ, | TM, | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, |
| | | FI, | FR, | GB, | GR, | HU, | ΙE, | IT, | LU, | MC, | NL, | PT, | RO, | SE, | SI, | SK, | TR, |
| | | | | | | | | GA, | | | | | | | | | |
| | | | | | | | | | | | | | | | | | 310 < |
| | | | | | | | | | | EP 2 | 003- | 7097 | 67 | | 2 | 0030 | 310 < |
| EP | 1484 | | | | | | | | | | | | | | | | |
| | R: | | | | | | | | | | | | | | | | PT, |
| | | | | | | | | MK, | | | | | | | | | |
| | | | | | | | | | | | | | | | | | 310 < |
| | 1642 | | | | | | | 0720 | | | | | | | | | 310 < |
| | 3660 | | | | | | | 0715 | | | | | | | | | 310 < |
| | 2290 | | | | | | | 0216 | | | 003- | | | | | | 310 < |
| | 2005 | | | | | | | 0630 | | US 2 | 004- | 5078 | 00 | | 2 | 0040 | 913 < |
| | 7731 | | | | B2 | | | 0608 | | | | | | | | | |
| IN | 2004 | CN02 | 294 | | A | | 2007 | 0223 | | IN 2 | 004- | CN22 | 94 | | 2 | 0041 | 011 < |

TN 227182 20090213 A1

PRIORITY APPLN. INFO.: EP 2002-405201 A 20020315 <--WO 2003-EP2438 W 20030310 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT MARPAT 139:272355 OTHER SOURCE(S):

ED Entered STN: 26 Sep 2003

GΙ

IT

$$\begin{array}{c} R1 \\ N \\ NR4R5 \end{array}$$

4-Aminopyrimidines I (Markush included) are prepared as antimicrobial agents.

604789-95-9 604789-96-0 604789-98-2 604789-99-3 604790-00-3 604790-03-6 604790-08-1 604790-09-2 604790-12-7

604790-16-1 604790-21-8 604790-22-9 604790-27-4 604790-28-5 604790-33-2 604790-37-6 604790-38-7 604790-43-4

604790-44-5 604790-48-9 604790-49-0 604790-54-7 604790-57-0 604790-65-0

604790-74-1 604790-89-8

RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study);

USES (Uses)

(antimicrobial agent) 604789-95-9 604789-96-0 604789-98-2 ΙT

604789-99-3 604790-00-3 604790-03-6 604790-08-1 604790-09-2 604790-12-7

604790-16-1 604790-21-8 604790-22-9 604790-27-4 604790-28-5 604790-33-2 604790-37-6 604790-38-7 604790-43-4 604790-44-5 604790-48-9 604790-49-0

604790-54-7 604790-57-0 604790-65-0

604790-74-1 604790-89-8

RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study);

USES (Uses) (antimicrobial agent)

604789-95-9 HCAPLUS

RN

CN 4-Pyrimidinamine, 2-[1,1'-biphenyl]-4-yl-6-methyl-N-octyl- (CA INDEX NAME)

- RN 604789-96-0 HCAPLUS
- CN 4-Pyrimidinamine, 2-[4-(1,1-dimethylethyl)phenyl]-6-methyl-N-octyl- (CA INDEX NAME)

- RN 604789-98-2 HCAPLUS
- CN 4-Pyrimidinamine, 6-methyl-2-(3-methylphenyl)-N-octyl- (CA INDEX NAME)

- RN 604789-99-3 HCAPLUS
- CN 4-Pyrimidinamine, 2-(3-fluorophenyl)-6-methyl-N-octyl- (CA INDEX NAME)

- RN 604790-00-3 HCAPLUS
- CN 4-Pyrimidinamine, 2-(3-methoxyphenyl)-6-methyl-N-octyl- (CA INDEX NAME)

- RN 604790-03-6 HCAPLUS
- CN 4-Pyrimidinamine, 6-methyl-2-(4-methylphenyl)-N-octyl- (CA INDEX NAME)

RN 604790-08-1 HCAPLUS

CN 4-Pyrimidinamine, 2-[1,1'-biphenyl]-4-yl-6-methyl-N-tetradecyl- (CA INDEX NAME)

RN 604790-09-2 HCAPLUS

CN 4-Pyrimidinamine, 2-[4-(1,1-dimethylethyl)phenyl]-6-methyl-N-tetradecyl-(CA INDEX NAME)

RN 604790-12-7 HCAPLUS

CN 4-Pyrimidinamine, 2-(3-fluorophenyl)-6-methyl-N-tetradecyl- (CA INDEX NAME)

RN 604790-16-1 HCAPLUS

CN 4-Pyrimidinamine, 6-methyl-2-(4-methylphenyl)-N-tetradecyl- (CA INDEX NAME)

- RN 604790-21-8 HCAPLUS
- CN 4-Pyrimidinamine, 2-[1,1'-bipheny1]-4-yl-N-dodecyl-6-methyl- (CA INDEX NAME)

- RN 604790-22-9 HCAPLUS
- CN 4-Pyrimidinamine, 2-[4-(1,1-dimethylethyl)phenyl]-N-dodecyl-6-methyl- (CA INDEX NAME)

- RN 604790-27-4 HCAPLUS
- CN 4-Pyrimidinamine, 2-(3-aminophenyl)-N-dodecyl-6-methyl- (CA INDEX NAME)

- RN 604790-28-5 HCAPLUS
- CN 4-Pyrimidinamine, 2-(4-aminophenyl)-N-dodecyl-6-methyl- (CA INDEX NAME)

RN 604790-33-2 HCAPLUS

CN 4-Pyrimidinamine, 2-[1,1'-bipheny1]-4-y1-N-decy1-6-methyl- (CA INDEX NAME)

RN 604790-37-6 HCAPLUS

CN 4-Pyrimidinamine, 2-(3-aminophenyl)-N-decyl-6-methyl- (CA INDEX NAME)

RN 604790-38-7 HCAPLUS

CN 4-Pyrimidinamine, N-decyl-6-methyl-2-(4-methylphenyl)- (CA INDEX NAME)

N 604790-43-4 HCAPLUS

CN 4-Pyrimidinamine, 2-[1,1'-bipheny1]-4-y1-N-hexy1-6-methy1- (CA INDEX NAME)

RN 604790-44-5 HCAPLUS

CN 4-Pyrimidinamine, 2-[4-(1,1-dimethylethyl)phenyl]-N-hexyl-6-methyl- (CA INDEX NAME)

RN 604790-48-9 HCAPLUS

CN 4-Pyrimidinamine, 2-(3-aminophenyl)-N-hexyl-6-methyl- (CA INDEX NAME)

RN 604790-49-0 HCAPLUS

CN 4-Pyrimidinamine, N-hexyl-6-methyl-2-(4-methylphenyl)- (CA INDEX NAME)

RN 604790-54-7 HCAPLUS

CN 4-Pyrimidinamine, 2-(4-aminophenyl)-N-hexyl-6-methyl- (CA INDEX NAME)

- RN 604790-57-0 HCAPLUS
- CN 4-Pyrimidinamine, N-butyl-2-[4-(1,1-dimethylethyl)phenyl]-N,6-dimethyl-(CA INDEX NAME)

$$\underset{n-Bu-N}{\overset{Me}{\longrightarrow}} \underset{N}{\overset{Bu-t}{\longrightarrow}}$$

- RN 604790-65-0 HCAPLUS
- CN 4-Pyrimidinamine, 2-[4-(1,1-dimethylethyl)phenyl]-N-(2-ethylhexyl)-6methyl- (CA INDEX NAME)

- RN 604790-74-1 HCAPLUS
- CN 4-Pyrimidinamine, N-(2-ethylhexyl)-6-methyl-2-(4-methylphenyl)- (CA INDEX NAME)

- RN 604790-89-8 HCAPLUS
- CN 4-Pyrimidinamine, N-(1,3-dimethylbutyl)-6-methyl-2-(4-methylphenyl)- (CA INDEX NAME)

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD

(3 CITINGS)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 23 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2003:656755 HCAPLUS Full-text

DOCUMENT NUMBER: 139:197497

TITLE: Preparation of novel pyridines and pyrimidines as DPP

IV inhibitors

INVENTOR(S): Boehringer, Markus; Loeffler, Bernd Michael; Peters,

Jens-Uwe; Steger, Matthias; Weiss, Peter

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz. SOURCE: PCT Int. Appl., 73 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| | | | | | | | | | APPLICATION NO. | | | | | | | | | |
|----------|----------------------|-------------|-----|-----|-------------|----------|------------|----------------|-----------------|-------|------|------------|-----|------------|-----|------|-------|---|
| WO | | | | | A1 | 20030821 | | WO 2003-EP1107 | | | | | | | | | | |
| | W: | | | | | | AU, DK, | | | | | | | | | | | |
| | | | | | | | IN, | | | | | | | | | | | |
| | | | | | | | MD, | | | | | | | | | | | |
| | | | | | | | SE, | | | | | | | | | | | |
| | | | | | | | ZM. | | , | | , | | | , | , | , | , | |
| | RW: | GH. | GM. | KE. | LS. | MW. | MZ, | SD, | SL. | SZ. | TZ. | UG. | ZM. | ZW. | AM. | AZ. | BY, | |
| | | KG, | KZ, | MD, | RU, | TJ, | TM, | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | |
| | | FI, | FR, | GB, | GR, | HU, | IE, | IT, | LU, | MC, | NL, | PT, | SE, | SI, | SK, | TR, | BF, | |
| | | | | | | | GA, | | | | | | | | | | | |
| CA | 2474 2474 | 578 | | | A1 | | 2003 | 0821 | | CA 2 | 003- | 2474 | 578 | | 2 | 0030 | 205 | < |
| | | | | | | | | | | | | | | | | | | |
| | 2003206833 | | | | B2 20060720 | | | | | | | | | 20030205 < | | | | |
| | | | | | | | | | | | | | | | | | | |
| | | 76435 A1 | | | | | | | | | | 20030205 < | | | | | | |
| | 1476 | | | | | | | | | | | | | | | | | |
| | R: | | | | | | | | | | | | | | | | | |
| | | | | | | | RO, | | | | | | | | | | | |
| BR | 2003 | 0076 | 65 | | A | | 2005 | 0104 | | BR 2 | 003- | 7665 | | | 2 | 0030 | 205 | < |
| CN | 1630 1324 2005 | 644 | | | A | | 2005 | 0622 | | CN 2 | 003- | 8037 | 74 | | 2 | 0030 | 205 | < |
| CN | 1324 | 015 | 0.5 | | C | | 2007 | 0704 | | *** 0 | 0.00 | | | | | | 0.0.5 | |
| JP | 4359 | 5260 | 35 | | T | | 2005 | 0902 | | JP Z | 003- | 56 /8 | 88 | | 2 | 0030 | 205 | < |
| JP | 4359 | 146 | | | BZ | | 2009 | 1104 | | nr o | 004- | 1025 | 26 | | | 0020 | 205 | |
| RU | 2293 4725 | 731 | | | U2 | | 2007 | 0220 | | | 004- | | | | | | | |
| WT | 2003 | 00 0016 | 202 | | 2.1 | | 2010 | 1120 | | | 003- | | | | | 0030 | | |
| 110 | 2003 | 205 | 302 | | A1 | | 2005 | 1120 | | UD Z | 003- | 2012 | 00 | | 2 | 0030 | 210 | < |
| US MV | 6867 2004 | 205 0077 | 4.4 | | 3 | | 2005 | 1015 | | MV 2 | 004 | 7744 | | | 2 | 0040 | 010 | _ |
| PLA | 2004 | 00// | 44 | | A | | 2004 | 1013 | | PIA Z | 004- | //44 | | | 2 | 0040 | 010 | \ |

| US 20050143405 | A1 | 20050630 | US | 2005-37989 | | 20050118 < |
|------------------------|----|----------|----|-------------|----|------------|
| US 7022718 | B2 | 20060404 | | | | |
| PRIORITY APPLN. INFO.: | | | EP | 2002-3114 | A | 20020213 < |
| | | | WO | 2003-EP1107 | W | 20030205 < |
| | | | US | 2003-361268 | A3 | 20030210 < |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 139:197497

ED Entered STN: 22 Aug 2003

GΙ

AB The title compds. [I; X = N, CR5; R1, R2 = H, alkyl; R3 = (un)substituted heterocyclyl or aryl; R4 = alkyl, alkoxy, alkylthio, etc.; R5 = H, alkyl], useful for the treatment and/or prophylaxis of diseases which are associated with DPF IV, such as diabetes, particularly non-insulin dependent diabetes mellitus, and impaired glucose tolerance, were prepared and formulated. Thus, reacting benzamidine with 2-(2, 4-dimethylbenzylidene)malononitrile in the presence of K2CO3 in MeOH followed by treating the reaction residue with KMnO4 in Me2CO, and reduction of the resulting nitrile with LiAlH4 in THF afforded

7% II which showed IC50 of 0.172 μM against DPP IV. T 582306-07-8P 582306-10-3P 582306-14-7P

582306-15-8P 582306-26-1P 582306-27-2P 582306-30-7P 582306-31-8P 582306-33-0P 582306-35-2P 582306-36-3P 582306-41-0P

582306-50-1P 582306-51-2P 582306-72-7P 582306-80-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel pyridine and pyrimidine derivs. as DPP IV inhibitors)

IT 582306-93-2P 582306-99-8P 582307-01-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RAC (Reactant or reagent)

(preparation of novel pyridine and pyrimidine derivs. as DPP IV inhibitors)
IT 582306-07-8P 582306-10-3P 582306-14-7P

582306-15-8P 582306-26-1P 582306-27-2P 582306-31-8P 582306-33-0P 582306-35-2P 582306-36-3P 582306-41-0P

582306-50-1P 582306-51-2P 582306-72-7P 582306-80-7P

362306-66-75

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of novel pyridine and pyrimidine derivs. as DPP IV inhibitors) ${\tt RN} = 582306 - 07 - 8 \ \ {\tt HCAPLUS}$

CN 5-Pyrimidinemethanamine, 4-amino-6-(2,4-dichlorophenyl)-2-(3-methoxyphenyl)- (CA INDEX NAME)

RN 582306-10-3 HCAPLUS

CN 5-Pyrimidinemethanamine, 4-amino-6-(2,4-dichlorophenyl)-2-(3-methylphenyl)-(CA INDEX NAME)

RN 582306-14-7 HCAPLUS

RN 582306-15-8 HCAPLUS

CN 5-Pyrimidinemethanamine, 4-amino-6-(2,4-dichloropheny1)-2-(4-fluoropheny1)(CA INDEX NAME)

RN 582306-26-1 HCAPLUS

RN 582306-27-2 HCAPLUS

CN 5-Pyrimidinemethanamine, 4-amino-2-(4-chlorophenyl)-6-(2,4-dichlorophenyl)-(CA INDEX NAME)

RN 582306-30-7 HCAPLUS

CN 5-Pyrimidinemethanamine, 4-amino-6-(2,4-dichlorophenyl)-2-(4-methylphenyl)-(CA INDEX NAME)

RN 582306-31-8 HCAPLUS

CN 5-Pyrimidinemethanamine, 4-amino-6-(2,4-dichlorophenyl)-2-(4-methoxyphenyl)- (CA INDEX NAME)

RN 582306-33-0 HCAPLUS

CN 5-Pyrimidinemethanamine, 4-amino-6-(2,4-dichloropheny1)-2-[3-(trifluoromethyl)pheny1]- (CA INDEX NAME)

RN 582306-35-2 HCAPLUS

CN 5-Pyrimidinemethanamine, 4-amino-6-(2,4-dichlorophenyl)-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 582306-36-3 HCAPLUS

RN 582306-41-0 HCAPLUS

CN 5-Pyrimidinemethanamine, 4-amino-6-(2,4-dichloropheny1)-2-(2-methoxypheny1)- (CA INDEX NAME)

RN 582306-50-1 HCAPLUS

CN 5-Pyrimidinemethanamine, 4-amino-2-(2-methoxyphenyl)-6-phenyl- (CA INDEX NAME)

RN 582306-51-2 HCAPLUS

RN 582306-72-7 HCAPLUS

CN 5-Pyrimidinemethanamine, 4-amino-2-(4-methoxyphenyl)-6-phenyl- (CA INDEX NAME)

RN 582306-80-7 HCAPLUS

CN 5-Pyrimidinemethanamine, 4-amino-6-(1,3-benzodioxol-5-y1)-2-(4-methoxyphenyl)- (CA INDEX NAME)

IT 582306-93-2P 582306-99-8P 582307-01-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of novel pyridine and pyrimidine derivs. as DPP IV inhibitors)

RN 582306-93-2 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-amino-6-(1,3-benzodioxol-5-yl)-2-(4-methoxyphenyl)- (CA INDEX NAME)

RN 582306-99-8 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-amino-6-(2,4-dichlorophenyl)-2-(3-methoxyphenyl)- (CA INDEX NAME)

RN 582307-01-5 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-amino-6-(2,4-dichlorophenyl)-2-(3-

methylphenyl) - (CA INDEX NAME)



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD

(13 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 24 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2003:511098 HCAPLUS Full-text

DOCUMENT NUMBER: 2003:511098 HCAPLUS FT

TITLE: Preparation of N-(pyrimidin-4-yl)acetamides as A2b

adenosine receptor selective antagonists
INVENTOR(S): Castelhano, Arlindo; McKibben, Bryan; Steinig, Arno;

Collington, Eric William

PATENT ASSIGNEE(S): OSI Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 150 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO.

EP 1465631

EP 1465631

CN 1620294

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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

KIND DATE APPLICATION NO. DATE

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B1 20100224

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| PRIORITY | APPLN. INFO.: | | | US | 2001-342595P | P | 20011220 | < |
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| | | | | WO | 2002-US41273 | W | 20021220 | < |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 139:85366

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GT

Title compds. I (wherein R1 = (un)substituted Ph. heterocyclyl, or heteroaryl; AB R2 and R3 = independently H or (un)substituted (cyclo)alkyl, alkanoyl, alkoxy(carbonyl), alkenyl, monocyclic or bicyclic aryl, heteroaryl, or heterocyclyl; or R2 and R3 are joined to form a heterocyclic ring; wherein the dashed line = a double bond which may be present or absent, and when present R3 = O; R4 and R5 = independently (un)substituted (cyclo)alkyl, alkanovl, alkoxy(carbonyl), alkenyl, monocyclic or bicyclic aryl, heteroaryl, or heterocyclyl; or NR4R5 = (un)substituted monocyclic or bicyclyl, heterocyclyl, or heteroaryl; R12 = H, alkyl, halo, or cyano; n = 0-4; or enantiomers, tautomers, or pharmaceutically acceptable salts thereofl were prepared as A2b adenosine receptor antagonists. For example, cycloaddn. of benzamidine HCl and di-Et malonate using DBU in DMF gave 2-phenylpyrimidine-4,6-diol (73%). Chlorination (95%), amination (93%), substitution with N-(2aminoethyl)acetamide (57%), and amidation with chloroacetyl chloride (91%) provided N-[6-(2-acetylaminoethylamino)-2-phenylpyrimidin-4-yl]-2chloroacetamide. Coupling of the chloroacetamide with 4-(2chlorophenoxy)piperidine in the presence of NaI and DIPEA in 3:1 acetonitrile: THF afforded II (86%). Compds. of the invention showed greater than tenfold selectivity for the human A2b adenosine receptor (Ki values <100 nM) over the Al, A2a, and A3 receptors in radioligand binding assays. Thus, I and pharmaceutical compns. comprising I are useful for the treatment of

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diseases associated with the A2b adenosine receptor, such as asthma, diabetes,
or proliferating tumors associated with mast cell degranulation (no data).
552872-58-9P, N-[2-[[2-(4-Chlorophenv1)-6-[4-[(quinolin-2-
yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552872-59-0P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(isoquinolin-3-
v1) carbonyl | piperazin-1-yl | pyrimidin-4-yl | amino | ethyl | acetamide
552872-60-3P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(quinoxalin-2-
v1)carbonv1|piperazin-1-v1|pvrimidin-4-v1|amino|ethv1|acetamide
552872~63~4P, N-12-112-(4-Chlorophenv1)-6-14-1(isoquinolin-1-
v1)carbonv1|piperazin-1-v1|pvrimidin-4-v1|amino|ethv1|acetamide
552872-62-5P, N-[2-[[2-(4-Chlorophenyl)-6-[4-(2-hydroxy-5-
nitrobenzovl)piperazin-1-vl|pvrimidin-4-vl|amino|ethvl|acetamide
552872-63-6P, N-[2-[[2-(4-Chlorophenyl)-6-[4-(2,5-
dihydroxybenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552872-64-7P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(pyrazin-2-
v1) carbonv1 | piperazin-1-v1 | pvrimidin-4-v1 | amino | ethv1 | acetamide
552872-65-8P, N-|2-||2-(4-Chlorophenyl)-6-|4-|(pyridin-3-
yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552872-66-9P, N-[2-[[2-(4-Chlorophenyl)-6-(4-
isonicotinoylpiperazin-1-yl)pyrimidin-4-yl]amino]ethyl]acetamide
552872-67-0P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2-hydroxypyridin-3-
yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552872-68-1P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(1H-indol-2-
v1) carbonyl | piperazin-1-yl | pyrimidin-4-yl | amino | ethyl | acetamide
552872-69-29, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(1H-indol-3-
v1)carbonv1|piperazin-1-v1|pvrimidin-4-v1|amino|ethv1|acetamide
552872~70~5P, N-[2-[[2-(4-Chlorophenyl)-6-[4-(3-
nitrobenzovl)piperazin-1-vl|pvrimidin-4-vl|amino|ethvl|acetamide
552872-71-6P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(1-methyl-6-oxo-
1', 4, 5, 6-tetrahydropyridazin-3-vl)carbonyl|piperazin-1-vl|pyrimidin-4-
yl]amino]ethyl]acetamide 552872-72-79,
N-[2-[12-(4-Chlorophenyl)-6-[4-[1-(2,7-dimethylpyrazolo[1,5-a]pyrimidin-6-
vl)vinyl]piperazin-1-vl]pyrimidin-4-vl]amino]ethyl]acetamide
552872-73-8P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(3-hydroxy-4-
methoxyphenyl)acetyl|piperazin-1-yl|pyrimidin-4-yl|amino|ethyl|acetamide
552872-74-9P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2E)-3-(3-
nitrophenvl)prop-2-enovl|piperazin-1-vl|pvrimidin-4-
vl]amino]ethyl]acetamide
                           552872-75-0P,
N-[2-[[6-[4-[3-(1H-Benzimidazol-2-yl)propanoyl]piperazin-1-yl]-2-(4-
chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide
                                                   552872-76-1P
, N-[2-[[2-(4-Chloropheny1)-6-[4-(2-hydroxy-4-methylbenzoy1)piperazin-1-
yl]pyrimidin-4-yl]amino]ethyl]acetamide
                                          552872-77-2P,
N-[2-[[2-(4-Chlorophenyl])-6-[4-(2-hydroxy-3-methoxybenzoyl]piperazin-1-
yl]pyrimidin-4-yl]amino]ethyl]acetamide
                                          552872-78-3P.
N-[2-[2-(4-Chlorophenyl)-6-[4-(2-hydroxy-3-methylbenzoyl)piperazin-1-
                                          552872-79-49,
vl]pvrimidin-4-vl]amino]ethvl]acetamide
N-[2-[2-(4-Chlorophenyl)-6-[4-[(1H-indol-3-yl)acetyl]piperazin-1-
vl]pvrimidin-4-vl]amino|ethvl]acetamide
                                          552872-80-7P,
N-[2-[[2-(4-Chlorophenyl)-6-[4-[3-(1H-indol-3-yl)propanoyl]piperazin-1-
vl]pvrimidin-4-vl]amino|ethvl]acetamide
                                          552872-81-8P,
N-[2-[[2-(4-Chlorophenyl)-6-[4-[(pyridin-2-yl)carbonyl]piperazin-1-
yl]pyrimidin-4-yl]amino]ethyl]acetamide
                                          552872-82-9P,
N-[2-[[2-(4-Chlorophenyl)-6-[4-[4-(1H-indol-3-yl)butanoyl]piperazin-1-
vl|pvrimidin-4-vl|amino|ethvl|acetamide
                                          552872-83-0P,
N-[2-[[2-(4-Chlorophenyl)-6-[4-[(5-methylpyrazin-2-yl)carbonyl]piperazin-1-
yl]pyrimidin-4-yl]amino]ethyl]acetamide
                                          552872-84-1P,
N-[2-[[2-(4-Chlorophenv1)-6-[4-[(5-methv1-2-phenv1-2H-1,2,3-triazol-4-
yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552872-85-2P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(4-oxo-4,5,6,7-
tetrahydro-1-benzofuran-3-yl)carbonyl]piperazin-1-yl]pyrimidin-4-
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552872-86-3P,
vl|amino|ethvl|acetamide
N-[2-[2-(4-Chlorophenyl)-6-[4-[2-(methylsulfanyl)pyridin-3-
yl]carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552872-87-49, N-[2-[[6-[4-[(1-tert-Butyl-3-methyl-1H-pyrazol-5-
yl)carbonyl]piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-
yl]amino]ethyl]acetamide 552872-88-5P,
N-[2-[12-(4-Chlorophenyl)-6-[4-[(2Z)-2-(3-oxo-2-benzofuran-1(3H)-
ylidene)ethanoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552872~89~6P, N-[2-[[6-[4-(Benzothien-2-vlcarbonvl)piperazin-1-vl]-
2-(4-chlorophenvl)pvrimidin-4-vl]amino]ethvl]acetamide
552872-98-9P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[4-
(trifluoromethoxy)benzovl|piperazin-1-vl|pvrimidin-4-
                          552872-91-0P.
vl]amino]ethvl]acetamide
N-[2-[[6-[4-[(5-Chloro-2-hydroxypyridin-3-y1)carbony1]piperazin-1-y1]]-2-(4-
chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552872-92-1P
, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2E)-4-oxo-4-(2,3,4,5,6-
pentamethylphenyl)but-2-enoyl]piperazin-1-yl]pyrimidin-4-
yl]amino]ethyl]acetamide 552872-93-2P,
N-[2-[[2-(4-Chlorophenyl)-6-[4-(4-(trifluoroacetyl)benzoyl]piperazin-1-
yl]pyrimidin-4-yl]amino]ethyl]acetamide 552872-94-3P,
N-[2-[4-[6-[[2-(Acetylamino)ethyl]amino]-2-(4-chlorophenyl)pyrimidin-4-
yl]piperazin-1-yl]-2-oxoethyl]-4-chlorobenzamide
                                                  552872-95-4P,
N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2,4-dihydroxypyrimidin-5-
v1)carbonyl]piperazin-1-y1]pyrimidin-4-y1]amino]ethyl]acetamide
552872-96-5P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(1,2,3-thiadiazol-4-
v1)carbonv1|piperazin-1-v1|pvrimidin-4-v1|amino|ethv1|acetamide
552872-97-6P, N-[2-[[6-[4-[[5-Chloro-2-(methylsulfanyl)pyrimidin-4-
yl]carbonyl]piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-
yl]amino]ethyl]acetamide 552872-98-7P,
N-[2-[12-(4-Chlorophenv1)-6-[4-[1-(2-furvlmethv1)-5-oxopvrrolidin-3-
v1]carbony1]piperazin-1-y1]pyrimidin-4-y1]amino]ethy1]acetamide
552872-99-8P, N-[2-[[6-[4-[(3-tert-Butyl-1-methyl-1H-pyrazol-5-
vl)carbonvl]piperazin-1-vl]-2-(4-chlorophenvl)pyrimidin-4-
yl]amino]ethyl]acetamide 552873-00-4P,
N-[2-[12-(4-Chlorophenyl)-6-[4-[(4-nitrophenyl)acetyl]piperazin-1-
yl]pyrimidin-4-yl]amino]ethyl]acetamide
                                        552873-01-59,
N-[2-[12-(4-Chloropheny1)-6-[4-[(2,5-dimethoxypheny1)acety1]piperazin-1-
yl]pyrimidin-4-yl]amino]ethyl]acetamide
                                         552873-02-6P.
N-[2-[2-(4-Chloropheny1)-6-[4-[(3-methoxypheny1)acety1]piperazin-1-
yl]pyrimidin-4-yl]amino]ethyl]acetamide
                                         552873-03-7P.
N-[2-[2-(4-Chloropheny1)-6-[4-(4-methoxypheny1)acety1]piperazin-1-
yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-04-8P,
N-[2-[12-(4-Chlorophenvl)-6-[4-[(2-methoxyphenvl)acetvl]piperazin-1-
vl]pyrimidin-4-yl]amino]ethyl]acetamide
                                        552873-05-99.
N-[2-[[2-(4-Chloropheny1)-6-[4-[(1,2,3,4-tetrahydronaphthalen-2-
v1) carbonv1 | piperazin-1-v1 | pvrimidin-4-v1 | amino| ethv1 | acetamide
552873-06-0P, N-[2-[[2-(4-Chloropheny1)-6-[4-((2R)-2-hydroxy-3-
phenylpropanoy1)piperazin-1-y1]pyrimidin-4-y1]amino]ethy1]acetamide
552873-07-1P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(1H-pyrrol-2-
v1)carbonv1]piperazin-1-v1]pvrimidin-4-v1]amino]ethv1]acetamide
552873-08-2P, N-[2-[[2-(4-Chlorophenyl)-6-[4-(4-
vinylbenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552873-09-3P, N-[2-[[2-(4-Chlorophenyl)-6-[4-
(cyclohexylacetyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552873-10-6P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[4-(1H-pyrrol-1-
yl)benzoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552873-11-7P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[2-(1,3-dioxo-1,3-
dihydro-2H-isoindol-2-yl)propanoyl]piperazin-1-yl]pyrimidin-4-
vl|amino|ethvl|acetamide 552873-12-8P,
N-[2-[6-[4-(1,1'-Biphenyl-4-yl]acetyl)piperazin-1-yl]-2-(4-
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chlorophenv1)pyrimidin-4-v1|amino|ethv1|acetamide 552873-13-9P
, N-[2-[[2-(4-Chloropheny1)-6-[4-[(6-methoxy-3-oxo-2,3-dihydro-1H-inden-1-
yl)acetyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552873-14-0P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(3-
nitrophenyl)acetyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552873-15-1P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2-
methylphenyl)acetyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552873-16-2P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(4-
methylphenyl)acetyllpiperazin-1-vllpyrimidin-4-vllaminolethyllacetamide
552873-17-3P, N-|2-||2-(4-Chlorophenvl)-6-|4-(3-
methylbenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552873-18-49, N-[2-[[2-(4-Chlorophenv1)-6-[4-(4-
methylbenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552873-19-5P, N-[2-[[2-(4-Chlorophenyl)-6-[4-(2-
methylbenzovl)piperazin-1-yl|pyrimidin-4-yl|amino|ethyl|acetamide
552873-20-8P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(3-
methylphenyl)acetyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552873-21-9P, N-[2-[[6-[4-(4-Butylbenzoyl)piperazin-1-y1]-2-(4-
chlorophenyl)pyrimidin-4-yl|amino|ethyl|acetamide 552873-22-0P
, N-[2-[[2-(4-Chloropheny1)-6-[4-(4-nitrobenzoy1)piperazin-1-y1]pyrimidin-
4-yl]amino]ethyl]acetamide 552873-23-1P,
N-[2-[12-(4-Chlorophenyl)-6-[4-(2-phenoxypropanoyl)piperazin-1-
yl]pyrimidin-4-yl]amino]ethyl]acetamide
                                       552873-24-29,
chlorophenvl)pvrimidin-4-vl]amino]ethvl]acetamide
                                                 552873-25-3P
, N-[2-[[2-(4-Chlorophenv1)-6-[4-(phenvlacetv1)piperazin-1-v1]pvrimidin-4-
vllaminolethvllacetamide
                          552873-26-42.
N-[2-[[6-[4-(Bicvclo[2.2.1]hept-5-en-2-vlcarbonv1)piperazin-1-v1]-2-(4-
chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873-27-5P
, N-[2-[[2-(4-Chlorophenvl)-6-[4-[hvdroxv(phenvl)acetvl]piperazin-1-
yl]pyrimidin-4-yl]amino]ethyl]acetamide
                                       552873-28-6P.
N-[2-[2-(4-Chlorophenyl)-6-[4-(2-naphthyloxy)acetyl]piperazin-1-
yl]pyrimidin-4-yl]amino]ethyl]acetamide
                                        552873-29-79,
N-[2-[[2-(4-Chlorophenyl)-6-[4-[(1-phenylcyclopentyl)carbonyl]piperazin-1-
yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-30-0P,
N-[2-[[2-(4-Chloropheny1)-6-[4-(2-sulfanylbenzoy1)piperazin-1-y1]pyrimidin-
4-vl]amino]ethvl]acetamide
                          552873-31-1P,
N-[2-[[2-(4-Chloropheny1)-6-[4-[(tetrahydrofuran-2-y1)carbony1]piperazin-1-
yl]pyrimidin-4-yl]amino]ethyl]acetamide
                                       552873-32-22,
N-[2-[[2-(4-Chlorophenyl)-6-[4-[cyclopentyl(phenyl)acetyl]piperazin-1-
vl|pvrimidin-4-vl|amino|ethvl|acetamide
                                        552873-33-32,
N-[2-[[6-[4-(4-tert-Butylbenzoyl)piperazin-1-y1]-2-(4-
chlorophenvl)pvrimidin-4-vl]amino]ethvl]acetamide
                                                 552873-34-4P
, N-[2-[[6-[4-(1-Adamantylcarbonyl)piperazin-1-y1]-2-(4-
chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide
                                                 552873-35-5P
, N-[2-[[2-(4-Chlorophenv1)-6-[4-(4-methoxybenzov1)piperazin-1-
yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-36-6P,
N-[2-[[2-(4-Chloropheny1)-6-[4-(4-cyclohexylbenzoy1)piperazin-1-
yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-37-7₽,
N-[2-[[2-(4-Chlorophenv1)-6-[4-(1-naphthov1)piperazin-1-v1]pvrimidin-4-
yl]amino]ethyl]acetamide
                          552873-38-89.
N-[2-[[6-(4-Benzoylpiperazin-1-yl)-2-(4-chlorophenyl)pyrimidin-4-
vl]amino]ethyl]acetamide 552873-39-9P,
N-[2-[[2-(4-Chloropheny1)-6-[4-[3-(2,4-dihydroxypheny1)propanoy1]piperazin-
1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
                                         552873-40-2P,
N-[2-[6-[4-(4-Bromo-3-methylbenzoyl)piperazin-1-yl]-2-(4-
chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide
, N-[2-[[6-[4-(5-Chloro-2-hydroxybenzoyl)piperazin-1-y1]-2-(4-
chlorophenyl)pyrimidin-4-yl|amino|ethyl|acetamide 552873-42-4P
, N-[2-[[2-(4-Chlorophenyl)-6-[4-[4-(dimethylamino)benzoyl]piperazin-1-
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vl|pvrimidin-4-vl|amino|ethvl|acetamide
                                         552873-43-5P,
N-[2-[[6-[4-[(Acetylamino)acetyl]piperazin-1-y1]-2-(4-
chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide
                                                  552873-44-6P
, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(4-hydroxy-3-
methoxyphenyl)acetyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552873-45-7P, N-[2-[[2-(4-Chlorophenyl)-6-[4-(3-hydroxy-4-
methylbenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552873-46-8P, N-[2-[[2-(4-Chlorophenyl)-6-[4-(3-phenylprop-2-
vnovl)piperazin-1-vllpvrimidin-4-vllaminolethyllacetamide
552873-47-9P, N-|2-||2-(4-Chlorophenvl)-6-|4-(4-fluoro-1-
naphthoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552873-48-02, N-[2-[[2-(4-Chlorophenv1)-6-[4-(5-formv1-2-
hydroxybenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552873-49-19, N-[2-[[2-(4-Chloropheny1)-6-[4-[(cyclohex-1-en-1-
v1)carbonv1]piperazin-1-v1]pyrimidin-4-v1]amino]ethy1]acetamide
552873-50-4P, N-[2-[[6-[4-([1,1'-Biphenyl-4-yl]carbonyl)piperazin-
1-y1]-2-(4-chlorophenyl)pyrimidin-4-y1]amino]ethyl]acetamide
552873-51-5P, N-[2-[[6-[4-[(4-Bromophenyl)acetyl]piperazin-1-yl]-2-
(4-chlorophenvl)pvrimidin-4-vl|amino|ethvl|acetamide
552873-52-6P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[2-
(methylsulfanyl)benzoyl]piperazin-1-yl]pyrimidin-4-
                         552873-53-79,
yl]amino]ethyl]acetamide
N-[2-[[2-(4-Chlorophenyl)-6-[4-[4-(methylsulfonyl)benzoyl]piperazin-1-
yl]pyrimidin-4-yl]amino]ethyl]acetamide
                                        552873-54-89,
N-[2-[[6-[4-(4-Benzoylbenzoyl)piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-
4-vl]amino|ethvl|acetamide
                            552873-55-9P,
N-[2-[[2-(4-Chloropheny1)-6-[4-(4-(trifluoromethy1)benzoy1]piperazin-1-
vl]pyrimidin-4-vl[amino]ethvl[acetamide 552873-56-0P,
N-[2-[[6-[4-(4-Acetylbenzoyl)piperazin-1-y1]-2-(4-chlorophenyl)pyrimidin-4-
vl]amino|ethvl|acetamide
                         552873-57-12,
N-[2-[[2-(4-Chlorophenyl)-6-[4-(4-cyanobenzoyl)piperazin-1-yl]pyrimidin-4-
vllaminolethvllacetamide 552873-58-2P,
N-[2-[[2-(4-Chlorophenyl)-6-[4-[3-(trifluoromethyl)benzoyl]piperazin-1-
yl]pyrimidin-4-yl]amino]ethyl]acetamide
                                       552873-59-39,
N-[2-[[2-(4-Chlorophenyl)-6-[4-(3-cyanobenzoyl)piperazin-1-yl]pyrimidin-4-
yl]amino]ethyl]acetamide
                          552873-60-6P.
chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873-61-7P
, N-[2-[[2-(4-Chlorophenyl)-6-[4-(diphenylacetyl)piperazin-1-yl]pyrimidin-
4-yl]amino]ethyl]acetamide 552873-62-8P,
N-[2-[[2-(4-Chlorophenyl)-6-[4-(4-ethylbenzoyl)piperazin-1-yl]pyrimidin-4-
vl]amino]ethyl]acetamide 552873-63-9P,
N-[2-[12-(4-Chlorophenyl)-6-[4-(2-hydroxybenzoyl)piperazin-1-yl]pyrimidin-
4-yl]amino]ethyl]acetamide 552873-64-0P,
N-[2-[[6-[4-(3-Bromobenzoyl)piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-
vl]amino|ethvl|acetamide 552873-65-1P,
N-[2-[[2-(4-Chlorophenyl)-6-[4-(4-oxopentanoyl)piperazin-1-yl]pyrimidin-4-
vl]amino|ethvl|acetamide 552873-66-2P,
N-[2-[[2-(4-Chlorophenyl)-6-(4-[[(4-chlorophenyl)sulfanyl]acetyl]piperazin-
1-vl)pvrimidin-4-vl]amino]ethvl]acetamide 552873-67-39,
N-[2-[[6-[4-[(4-Acetyl-3,5-dimethyl-1H-pyrrol-2-yl)carbonyl]piperazin-1-
yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide
552873-68-4P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2E)-3-(thien-3-
yl)prop-2-enoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552873-69-5P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[2-furyl(4-
phenylpiperazin-1-yl)acetyl]piperazin-1-yl]pyrimidin-4-
vl|amino|ethvl|acetamide 552873-70-8P,
N-[2-[[2-(4-Chloropheny1)-6-[4-[2-fury1(morpholin-4-y1)acety1]piperazin-1-
vl|pyrimidin-4-vl|amino|ethvl|acetamide 552873-71-9P,
N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2-methyl-1H-benzimidazol-5-
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yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
          552873-72-0P, N-[2-[[2-(4-Chlorophenyl)-6-(4-isobutyrylpiperazin-1-
          yl)pyrimidin-4-yl]amino]ethyl]acetamide
                                                                                               552873-73-19,
          N-[2-[6-[4-[(1H-Benzimidazol-2-y1)sulfany1]acety1]piperazin-1-y1]-2-(4-[(1H-Benzimidazol-2-y1)sulfany1]acety1]piperazin-1-y1]-2-(4-[(1H-Benzimidazol-2-y1)sulfany1]acety1]piperazin-1-y1]-2-(4-[(1H-Benzimidazol-2-y1)sulfany1]acety1]piperazin-1-y1]-2-(4-[(1H-Benzimidazol-2-y1)sulfany1]acety1]piperazin-1-y1]-2-(4-[(1H-Benzimidazol-2-y1)sulfany1]acety1]piperazin-1-y1]-2-(4-[(1H-Benzimidazol-2-y1)sulfany1]acety1]piperazin-1-y1]-2-(4-[(1H-Benzimidazol-2-y1)sulfany1]acety1]piperazin-1-y1]-2-(4-[(1H-Benzimidazol-2-y1)sulfany1]acety1]piperazin-1-y1]-2-(4-[(1H-Benzimidazol-2-y1)sulfany1]acety1]piperazin-1-y1]-2-(4-[(1H-Benzimidazol-2-y1)sulfany1]acety1]piperazin-1-y1]-2-(4-[(1H-Benzimidazol-2-y1)sulfany1]acety1]piperazin-1-y1]-2-(4-[(1H-Benzimidazol-2-y1)sulfany1]acety1]piperazin-1-y1]-2-(4-[(1H-Benzimidazol-2-y1)sulfany1]acety1]piperazin-1-y1]-2-(4-[(1H-Benzimidazol-2-y1)sulfany1]acety1]piperazin-1-y1]-2-(4-[(1H-Benzimidazol-2-y1)sulfany1]acety1]piperazin-1-y1]-2-(4-[(1H-Benzimidazol-2-y1)sulfany1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety1]acety
                                                                                                                       552873-74-2P
          chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide
          , N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2-hydroxyquinolin-4-
          yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
          $52873-75-3F, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(5-chlorothien-2-
          v1) carbonv1 | piperazin-1-v1 | pvrimidin-4-v1 | amino | ethv1 | acetamide
          552873-76-4P, N-|2-||2-(4-Chlorophenv1)-6-|4-|(2E)-3-(3-
          cyanophenyl)prop-2-enoyl]piperazin-1-yl]pyrimidin-4-
          vllaminolethvllacetamide
                                                                 552873-77-5P,
          N-[2-[12-(4-Chlorophenyl)-6-[4-[(5-nitrothien-3-yl)carbonyl]piperazin-1-
          yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-78-69,
          N-[2-[[2-(4-Chlorophenyl)-6-[4-[[4-
          (trifluoromethyl)cyclohexyl]carbonyl]piperazin-1-yl]pyrimidin-4-
          vl]amino]ethvl]acetamide
                                                               552873-79-72,
          N-[2-[[2-(4-Chloropheny1)-6-[4-[(3-ethoxythien-2-y1)carbony1]piperazin-1-
          vl]pvrimidin-4-vl]amino]ethvl]acetamide
                                                                                               552873-80-0P,
          N-[2-[[2-(4-Chloropheny1)-6-[4-[(2E)-3-(2-fury1)prop-2-enoy1]piperazin-1-
                                                                                              552873-81-1P,
          yl]pyrimidin-4-yl]amino]ethyl]acetamide
          N-[2-[[2-(4-Chlorophenyl)-6-[4-(2,3,5,6-tetrafluoro-4-
          methylbenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
          552873-82-29, N-[2-[[6-[4-(5-Bromo-2-furoy1)piperazin-1-y1]-2-(4-
          chlorophenvl)pvrimidin-4-vllaminolethvllacetamide
                                                                                                                     552873-83-3P
          , N-[2-[[2-(4-Chlorophenv1)-6-[4-(4,4,4-trifluoro-3-hvdroxv-3-
          methylbutanoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
          552873-84-4P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2E)-3-(2,3,4-
          trifluorophenyl)prop-2-enoyl]piperazin-1-yl]pyrimidin-4-
          yl]amino]ethyl]acetamide
                                                                552873-85-5P,
          N-[2-[[2-(4-Chlorophenyl)-6-[4-[(8-hydroxyquinolin-2-yl)carbonyl]piperazin-
          1-vllpvrimidin-4-vllaminolethvllacetamide 552873-86-69,
          N-[2-[12-(4-Chlorophenyl)-6-[4-(6-hydroxy-2-naphthoyl)piperazin-1-
          yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-87-79,
          N = [2 - [2 - (4 - Chlorophenyl) - 6 - [4 - [(2E) - 3 - (4 - isopropylphenyl)prop - 2 - (4 - isopropylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylph
          enoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
          552873-88-8P
, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2E)-3-(thien-2-yl)prop-2-enoyl]piperazin-1-
          yl]pyrimidin-4-yl]amino]ethyl]acetamide
                                                                                               552873-89-92,
          N-[2-[[2-(4-Chlorophenyl)-6-[4-((3E)-4-phenylbut-3-enoyl)piperazin-1-
          vl|pvrimidin-4-vl|amino|ethvl|acetamide
                                                                                                 552873-90-2P,
          N-[2-[[6-[4-[(1-Benzoylpiperidin-4-yl)carbonyl]piperazin-1-yl]-2-(4-
          chlorophenvl)pvrimidin-4-vllaminolethvllacetamide
                                                                                                                      552873-91-3P
          , N-[2-[[6-[4-[4-[(Aminocarbothioyl)amino]benzoyl]piperazin-1-y1]-2-(4-
          chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873-92-4P
          , Methyl 3-[[4-[6-[[2-(acetylamino)ethyl]amino]-2-(4-
          chlorophenyl)pyrimidin-4-yl]piperazin-1-yl]carbonyl]isonicotinate
          552873-93-5P, N-[2-[[2-(4-Chlorophenv1)-6-[4-(2-oxo-3-
          phenylpropanoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
          552873-94-6P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[5-(1,2-dithiolan-3-
          v1)pentanov1]piperazin-1-v1]pyrimidin-4-v1]amino]ethy1]acetamide
          552873-95-7P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2-methyl-5,6-
          dihydro-1, 4-oxathiin-3-yl) carbonyl]piperazin-1-yl]pyrimidin-4-
          vllaminolethvllacetamide
                                                                  552873-96-8P.
          N-[2-[[2-(4-Chlorophenyl)-6-[4-[(1H-tetrazol-1-yl)acetyl]piperazin-1-
          yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-97-9P,
          N-[2-[[2-(4-Chlorophenv1)-6-[4-[3-(2-furv1)propanov1]piperazin-1-
          yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-98-0P,
          N-[2-[2-(4-Chlorophenv1)-6-[4-(2E, 4E)-5-phenvlpenta-2, 4-
          dienoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
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552873-99-1P, N-[2-[[2-(4-Chlorophenv1)-6-[4-(3-
nitropropanoy1)piperazin-1-y1]pyrimidin-4-y1]amino]ethy1]acetamide
552874-00-79, N-[2-[[2-(4-Chloropheny1)-6-[4-[[2-(4-
methylphenoxy)pyridin-3-yl]carbonyl]piperazin-1-yl]pyrimidin-4-
vllaminolethvllacetamide 552874-01-8P,
N-[2-[2-(4-Chloropheny1)-6-[4-((2E)-3-(pyridin-2-y1)prop-2-
enov1)piperazin-1-v1|pvrimidin-4-v1|amino|ethv1|acetamide
552874-02-9P, N-|2-||2-(4-Chlorophenyl)-6-|4-(3-methyl-2-
nitrobenzovl)piperazin-1-vllpvrimidin-4-vllaminolethvllacetamide
552874-03-0P, N-|2-||2-(4-Chlorophenyl)-6-|4-|3-(thien-2-
yl)propanoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552874-04-1P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(5-methyl-2,4-dioxo-
3,4-dihydropyrimidin-1(2H)-yl)acetyl]piperazin-1-yl]pyrimidin-4-
vllaminolethvllacetamide
                           552874-05-29,
N-[2-[[2-(4-Chlorophenyl)-6-[4-[(4'-hydroxy[1,1'-biphenyl]-4-
v1) carbonv1 | piperazin-1-v1 | pvrimidin-4-v1 | amino | ethv1 | acetamide
552874-06-3P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(cyclohex-3-en-1-
yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552874-07-4P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[3-(4-
hydroxyphenyl)propanoyl]piperazin-1-yl]pyrimidin-4-
yl]amino]ethyl]acetamide 552374-08-5P,
N-[2-[[2-(4-Chlorophenyl)-6-[4-[3-(3,4,5-
trimethoxyphenyl)propanoyl]piperazin-1-yl]pyrimidin-4-
yl]amino]ethyl]acetamide
                          552874-09-6P,
N-[2-[16-(4-Acetylpiperazin-1-vl)-2-(4-chlorophenyl)pyrimidin-4-
vl]amino|ethvl|acetamide 552874-10-9P,
N-[2-[[2-(4-Chlorophenyl)-6-(4-propionylpiperazin-1-yl)pyrimidin-4-
vl]amino|ethvl|acetamide 552874-11-0P,
N-[2-[[2-(4-Chlorophenyl)-6-[4-[2-hydroxy-5-(1H-pyrrol-1-
v1)benzov1|piperazin-1-v1|pvrimidin-4-v1|amino|ethv1|acetamide
552874-12-1P, N-[2-[[2-(4-Chlorophenyl)-6-[4-(2-hydroxy-3-
nitrobenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
TRU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)
   (A2b antagonist; preparation of N-(pyrimidinyl)acetamides as A2b adenosine
   receptor selective antagonists for treatment of asthma, diabetes,
   tumors, and other A2b associated diseases)
83217-77-0P, 2-(4-Chlorophenvl)pyrimidine-4,6-diol
223659-76-5P, 2-(4-Chlorophenyl)-5-methylpyrimidine-4,6-diol
552872-56-7P, N-[2-[[2-(4-Chlorophenv1)-6-(piperazin-1-
vl)pyrimidin-4-vl]amino]ethyl]acetamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
   (intermediate; preparation of N-(pyrimidinyl)acetamides as A2b adenosine
   receptor selective antagonists for treatment of asthma, diabetes,
   tumors, and other A2b associated diseases)
552872-58-9P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(quinolin-2-
yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552872-59-0P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(isoquinolin-3-
yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552872-68-3P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(quinoxalin-2-
v1)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552872-61-4P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(isoquinolin-1-
yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552872-62-5P, N-[2-[[2-(4-Chlorophenyl)-6-[4-(2-hydroxy-5-
nitrobenzovl)piperazin-1-vl]pvrimidin-4-vl]amino]ethvl]acetamide
552872-63-6P, N-[2-[[2-(4-Chloropheny1)-6-[4-(2,5-
dihydroxybenzovl)piperazin-1-vl|pyrimidin-4-vl|amino|ethyl|acetamide
552872-64-7P, N-[2-[[2-(4-Chloropheny1)-6-[4-[(pyrazin-2-
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yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552872-65-8P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(pyridin-3-
yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552872-66-9P, N-[2-[[2-(4-Chloropheny1)-6-(4-
isonicotinoylpiperazin-1-yl)pyrimidin-4-yl]amino]ethyl]acetamide
552872-67-9P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2-hydroxypyridin-3-
yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552872-68-1P, N-|2-||2-(4-Chlorophenyl)-6-|4-|(1H-indol-2-
v1)carbonv1[piperazin-1-v1]pvrimidin-4-v1[amino]ethv1[acetamide
552872-69-2P, N-|2-||2-(4-Chlorophenyl)-6-|4-|(1H-indol-3-
yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552872-70-5P, N-[2-[[2-(4-Chlorophenv1)-6-[4-(3-
nitrobenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552872-71-6P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(1-methyl-6-oxo-
1', 4, 5, 6-tetrahydropyridazin-3-yl)carbonyl|piperazin-1-yl|pyrimidin-4-
vllaminolethvllacetamide
                          552872~72~79.
N-[2-[[2-(4-Chloropheny1)-6-[4-[1-(2,7-dimethylpyrazolo[1,5-a]pyrimidin-6-
yl)vinyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552872-73-8P, N-[2-[[2-(4-Chlorophenvl)-6-[4-[(3-hydroxy-4-
methoxyphenyl)acetyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552872-74-9P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2E)-3-(3-
nitrophenyl)prop-2-enoyl]piperazin-1-yl]pyrimidin-4-
yl]amino]ethyl]acetamide
                           552872-75-0P,
N = [2 - [6 - 4 - 3 - (1H - Benzimidazo1 - 2 - y1)] propanoy1 piperazin-1-y1 | -2 - (4 -
                                                   552872-76-1P
chlorophenvl)pvrimidin-4-vl]aminolethvl]acetamide
, N-[2-[[2-(4-Chlorophenv1)-6-[4-(2-hvdroxv-4-methvlbenzov1)piperazin-1-
yl]pyrimidin-4-yl]amino]ethyl]acetamide
                                          552872-77-2P.
N-[2-[[2-(4-Chlorophenv1)-6-[4-(2-hvdroxv-3-methoxvbenzov1)piperazin-1-
yl]pyrimidin-4-yl]amino]ethyl]acetamide
                                          552872-78-3P.
N-[2-[[2-(4-Chlorophenv1)-6-[4-(2-hvdroxv-3-methvlbenzov1)piperazin-1-
yl]pyrimidin-4-yl]amino]ethyl]acetamide
                                          552872-79-4P.
N-[2-[[2-(4-Chlorophenyl)-6-[4-[(1H-indol-3-yl)acetyl]piperazin-1-
yl]pyrimidin-4-yl]amino]ethyl]acetamide
                                          552872-80-7P,
N-[2-[[2-(4-Chlorophenyl)-6-[4-[3-(1H-indol-3-yl)propanoyl]piperazin-1-
yl]pyrimidin-4-yl]amino]ethyl]acetamide
                                          552872-81-8P,
N-[2-[[2-(4-Chlorophenyl)-6-[4-[(pyridin-2-yl)carbonyl]piperazin-1-
vl]pyrimidin-4-vl[amino]ethyl]acetamide
                                          552872-82-9P,
N-[2-[[2-(4-Chlorophenyl)-6-[4-[4-(1H-indol-3-yl)butanoyl]piperazin-1-
vl]pvrimidin-4-vl]amino|ethvl]acetamide
                                          552872-83-0P,
N-[2-[[2-(4-Chloropheny1)-6-[4-[(5-methylpyrazin-2-y1)carbony1]piperazin-1-
vl|pvrimidin-4-vl|amino|ethvl|acetamide
                                          552872-84-1P,
N-[2-[[2-(4-Chlorophenyl)-6-[4-[(5-methyl-2-phenyl-2H-1,2,3-triazol-4-
v1)carbonv1[piperazin-1-v1]pvrimidin-4-v1]amino[ethv1]acetamide
552872-85-2P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(4-oxo-4,5,6,7-
tetrahydro-1-benzofuran-3-yl)carbonyl]piperazin-1-yl]pyrimidin-4-
yl]amino]ethyl]acetamide
                          552872-86-3P,
N-[2-[2-(4-Chlorophenyl)-6-[4-[2-(methylsulfanyl)pyridin-3-
yl]carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552872-87-4F, N-[2-[[6-[4-[(1-tert-Butyl-3-methyl-1H-pyrazol-5-
v1)carbonv1[piperazin-1-v1]-2-(4-chlorophenv1)pvrimidin-4-
yl]amino]ethyl]acetamide
                         552872-88-5P,
N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2Z)-2-(3-oxo-2-benzofuran-1(3H)-
vlidene)ethanovl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552872-89-6P, N-[2-[[6-[4-(Benzothien-2-ylcarbonyl)piperazin-1-yl]-
2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide
552872-90-9P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[4-
(trifluoromethoxy)benzovl]piperazin-1-vl]pvrimidin-4-
vllaminolethvllacetamide 552872-91-0P.
N-[2-[[6-[4-](5-Chloro-2-hydroxypyridin-3-v1)carbonv1]piperazin-1-v1]-2-(4-
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N-[2-[2-(4-Chlorophenv1)-6-[4-(2E)-4-oxo-4-(2,3,4,5,6-
pentamethylphenyl)but-2-enoyl]piperazin-1-yl]pyrimidin-4-
                         552872-93-2P,
vllaminolethvllacetamide
N-[2-[[2-(4-Chlorophenyl)-6-[4-(4-(trifluoroacetyl)benzoyl]piperazin-1-
yl]pyrimidin-4-yl]amino]ethyl]acetamide 552872-94-3P,
N-[2-[4-[6-[[2-(Acetylamino)ethyl]amino]-2-(4-chlorophenyl)pyrimidin-4-
vllpiperazin-1-vll-2-oxoethvll-4-chlorobenzamide
                                                   552872-95-42,
N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2,4-dihydroxypyrimidin-5-
v1)carbonv1]piperazin-1-v1]pvrimidin-4-v1]amino]ethv1]acetamide
552872-96-5P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(1,2,3-thiadiazol-4-
yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552872-97-6P, N-[2-[[6-[4-[[5-Chloro-2-(methylsulfanyl)pyrimidin-4-
yl]carbonyl]piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-
yl]amino]ethyl]acetamide
                          552872-98-79,
N-[2-[2-(4-Chlorophenyl)-6-[4-[[1-(2-furylmethyl)-5-oxopyrrolidin-3-
yl]carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552872-99-3P, N-[2-[[6-[4-[(3-tert-Butyl-1-methyl-1H-pyrazol-5-
yl)carbonyl]piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-
vl]amino]ethyl]acetamide 552873-00-4P,
N-[2-[[2-(4-Chlorophenyl)-6-[4-[(4-nitrophenyl)acetyl]piperazin-1-
                                        552873-01-5P,
yl]pyrimidin-4-yl]amino]ethyl]acetamide
N-[2-[2-(4-Chloropheny1)-6-[4-(2,5-dimethoxypheny1)acety1]piperazin-1-
yl]pyrimidin-4-yl]amino]ethyl]acetamide
                                         552873-02-6P,
N-[2-[2-(4-Chlorophenyl)-6-[4-[(3-methoxyphenyl)acetyl]piperazin-1-
vl|pvrimidin-4-vl|amino|ethvl|acetamide
                                         552873-03-7P,
N-[2-[[2-(4-Chlorophenv1)-6-[4-[(4-methoxyphenv1)acetv1]piperazin-1-
yl]pyrimidin-4-yl]amino]ethyl]acetamide
                                         552873-04-8P.
N-[2-[[2-(4-Chlorophenv1)-6-[4-[(2-methoxyphenv1)acetv1]piperazin-1-
yl]pyrimidin-4-yl]amino]ethyl]acetamide
                                        552873-05-9P.
N-[2-[[2-(4-Chlorophenv1)-6-[4-[(1,2,3,4-tetrahydronaphthalen-2-
v1)carbonyl]piperazin-1-y1]pyrimidin-4-y1]amino]ethyl]acetamide
552873-06-0P, N-[2-[[2-(4-Chloropheny1)-6-[4-((2R)-2-hydroxy-3-
phenylpropanoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552873-07-19, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(1H-pyrrol-2-
v1) carbonyl]piperazin-1-y1]pyrimidin-4-y1]amino]ethyl]acetamide
552873-08-2P, N-[2-[[2-(4-Chlorophenyl)-6-[4-(4-
vinylbenzovl)piperazin-1-vl]pyrimidin-4-vl]aminolethyllacetamide
552873-09-3P, N-[2-[[2-(4-Chloropheny1)-6-[4-
(cyclohexylacetyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552873-10-6P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[4-(1H-pyrrol-1-
yl)benzoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552873-11-79, N-[2-[[2-(4-Chlorophenyl)-6-[4-[2-(1,3-dioxo-1,3-
dihydro-2H-isoindol-2-vl)propanovl|piperazin-1-vl|pyrimidin-4-
                          552873-12-8P.
yl]amino]ethyl]acetamide
N-[2-[[6-[4-([1,1'-Biphenyl-4-yl]acetyl)piperazin-1-yl]-2-(4-
chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873-13-92
, N-[2-[[2-(4-Chloropheny1)-6-[4-[(6-methoxy-3-oxo-2,3-dihydro-1H-inden-1-
yl)acetyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552873-14-0F, N-[2-[[2-(4-Chloropheny1)-6-[4-[(3-
nitrophenvl)acetvl]piperazin-1-vl]pvrimidin-4-vl]amino]ethvl]acetamide
552873-15-1P, N-[2-[[2-(4-Chloropheny1)-6-[4-[(2-
methylphenyl)acetyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552873-16-2P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(4-
methylphenyl)acetyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552873-17-3P, N-[2-[[2-(4-Chlorophenyl)-6-[4-(3-
methylbenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552873-18-4P, N-[2-[[2-(4-Chloropheny1)-6-[4-(4-
methylbenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552873-19-5P, N-[2-[[2-(4-Chlorophenv1)-6-[4-(2-
methylbenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
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552873-20-8P, N-[2-[[2-(4-Chlorophenv1)-6-[4-[(3-
methylphenyl)acetyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552873-21-9P, N-[2-[[6-[4-(4-Butylbenzoyl)piperazin-1-y1]-2-(4-
chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide
                                                  552873-22-0P
, N-[2-[[2-(4-Chlorophenyl)-6-[4-(4-nitrobenzoyl)piperazin-1-yl]pyrimidin-
4-yl]amino]ethyl]acetamide 552873-23-1P,
N-[2-[[2-(4-Chloropheny1)-6-[4-(2-phenoxypropanoy1)piperazin-1-
vl]pyrimidin-4-vl]amino]ethyl]acetamide 552873-24-2P,
N-[2-[[6-[4-[(1.3-Benzodioxol-5-v1)carbonv1]piperazin-1-v1]-2-[4-
chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide
                                                  552873-25-3P
, N-[2-[[2-(4-Chlorophenyl)-6-[4-(phenylacetyl)piperazin-1-yl]pyrimidin-4-
                          552873-26-4P,
vllaminolethvllacetamide
N-[2-[[6-[4-(Bicyclo[2.2.1]hept-5-en-2-ylcarbonyl)piperazin-1-yl]-2-(4-
chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873-27-5P
, N-[2-[[2-(4-Chlorophenyl)-6-[4-[hydroxy(phenyl)acetyl]piperazin-1-
yl]pyrimidin-4-yl]amino]ethyl]acetamide
                                        552873-28-6P.
N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2-naphthyloxy)acetyl]piperazin-1-
yl]pyrimidin-4-yl]amino]ethyl]acetamide
                                        552873-29-7P.
N-[2-[12-(4-Chlorophenv1)-6-[4-[(1-phenvlcvclopentv1)carbonv1]piperazin-1-
yl]pyrimidin-4-yl]amino]ethyl]acetamide
                                         552873-30-0P.
N-[2-[[2-(4-Chlorophenyl)-6-[4-(2-sulfanylbenzoyl)piperazin-1-yl]pyrimidin-
                           552873-31-1P,
4-yl]amino]ethyl]acetamide
N-[2-[[2-(4-Chlorophenyl)-6-[4-[(tetrahydrofuran-2-yl)carbonyl]piperazin-1-
vl]pyrimidin-4-vl]amino]ethyl]acetamide
                                        552873-32-29,
N-[2-[[2-(4-Chlorophenyl)-6-[4-[cyclopentyl(phenyl)acetyl]piperazin-1-
vl]pvrimidin-4-vl]amino]ethvl]acetamide
                                         552873-33-3P,
N-[2-[[6-[4-(4-tert-Butylbenzoyl)piperazin-1-y1]-2-(4-
                                                  552873-34-4P
chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide
, N-[2-[[6-[4-(1-Adamantylcarbonyl)piperazin-1-y1]-2-(4-
chlorophenvl)pvrimidin-4-vl]amino]ethvl]acetamide 552873-35-5P
, N-[2-[[2-(4-Chlorophenyl)-6-[4-(4-methoxybenzoyl)piperazin-1-
yl]pyrimidin-4-yl]amino]ethyl]acetamide
                                         552873-36-6P,
N-[2-[2-(4-Chlorophenyl)-6-[4-(4-cyclohexylbenzoyl)piperazin-1-
yl]pyrimidin-4-yl]amino]ethyl]acetamide
                                         552873-37-79,
N-[2-[[2-(4-Chlorophenyl)-6-[4-(1-naphthoyl)piperazin-1-yl]pyrimidin-4-
yl]amino]ethyl]acetamide
                         552873-38-8P.
N-[2-[[6-(4-Benzovlpiperazin-1-v1)-2-(4-chlorophenvl)pyrimidin-4-
yl]amino]ethyl]acetamide
                         552873-39-9P.
N-[2-[[2-(4-Chloropheny1)-6-[4-[3-(2,4-dihydroxypheny1)propanoy1]piperazin-
1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
                                          552873-40-2P,
N-[2-[[6-[4-(4-Bromo-3-methylbenzovl)piperazin-1-v1]-2-(4-
                                                   552873-41-3P
chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide
, N-[2-[[6-[4-(5-Chloro-2-hydroxybenzovl)piperazin-1-v1]-2-(4-
chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873-42-49
, N-[2-[[2-(4-Chlorophenyl)-6-[4-[4-(dimethylamino)benzoyl]piperazin-1-
vl]pyrimidin-4-vl]amino]ethvl]acetamide 552873-43-5P,
N-[2-[[6-[4-[(Acetylamino)acetyl]piperazin-1-y1]-2-(4-
chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873-44-69
, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(4-hydroxy-3-
methoxyphenyl)acetyl|piperazin-1-yl|pyrimidin-4-yl|amino|ethyl|acetamide
552873-45-7P, N-[2-[[2-(4-Chlorophenyl)-6-[4-(3-hydroxy-4-
methylbenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552873-46-8P, N-[2-[[2-(4-Chlorophenyl)-6-[4-(3-phenylprop-2-
ynoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552873-47-9P, N-[2-[[2-(4-Chlorophenyl)-6-[4-(4-fluoro-1-
naphthoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552873-48-0P, N-[2-[[2-(4-Chloropheny1)-6-[4-(5-formy1-2-
hydroxybenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552873-49-1F, N-[2-[[2-(4-Chlorophenyl])-6-[4-[(cyclohex-1-en-1-
yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
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552873-59-4P, N-[2-[[6-[4-([1,1'-Biphenv1-4-v1]carbonv1)piperazin-
1-y1]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide
552873-51-5P, N-[2-[[6-[4-[(4-Bromophenyl)acetyl]piperazin-1-y1]-2-
(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide
552873-52-6P, N-[2-[[2-(4-Chloropheny1)-6-[4-[2-
(methylsulfanyl)benzovl]piperazin-1-yl]pyrimidin-4-
vllaminolethvllacetamide
                                552873-53-72,
N-[2-[2-(4-Chlorophenv1)-6-[4-(4-(methvlsulfonv1)benzov1]piperazin-1-
vllpvrimidin-4-vllaminolethvllacetamide
                                                   552873-54-8P.
N-[2-[16-14-(4-Benzovlbenzovl)piperazin-1-vl]-2-(4-chlorophenvl)pyrimidin-
                                    552873-55-9P,
4-y1]amino]ethyl]acetamide
N-[2-[12-(4-Chlorophenyl)-6-[4-[4-(trifluoromethyl)benzoyl]piperazin-1-
yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-56-0P,
N-[2-[[6-[4-(4-Acetylbenzoyl)piperazin-1-y1]-2-(4-chlorophenyl)pyrimidin-4-
yl]amino]ethyl]acetamide 552873-57-1P,
N-[2-[[2-(4-Chloropheny1)-6-[4-(4-cyanobenzoy1)piperazin-1-y1]pyrimidin-4-
yl]aminoJethyl]acetamide 552873-58-2P,
N-[2-[[2-(4-Chloropheny1)-6-[4-[3-(trifluoromethy1)benzoy1]piperazin-1-
vl]pyrimidin-4-vl|amino|ethvl|acetamide 552873-59-3P,
N-[2-[[2-(4-Chloropheny1)-6-[4-(3-cyanobenzoy1)piperazin-1-y1]pyrimidin-4-
yl]amino]ethyl]acetamide 552873-60-6P,
N-[2-[6-4-(1H-Benzimidazo1-5-y1)carbony1]piperazin-1-y1]-2-(4-
chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873-61-7P
, N-[2-[[2-(4-Chlorophenyl)-6-[4-(diphenylacetyl)piperazin-1-yl]pyrimidin-
                                    552873-62-82,
4-vllaminolethvllacetamide
N-[2-[[2-(4-Chloropheny1)-6-[4-(4-ethylbenzoy1)piperazin-1-y1]pyrimidin-4-
yl]aminojethyljacetamide 552873-63-9P,
N-[2-[[2-(4-Chlorophenv1)-6-[4-(2-hvdroxybenzov1)piperazin-1-v1]pvrimidin-
4-yl]amino]ethyl]acetamide 552873-64-0P,
N-[2-[[6-[4-(3-Bromobenzov1)piperazin-1-v1]-2-(4-chlorophenv1)pvrimidin-4-
vl]amino]ethyl]acetamide 552873-65-1P,
N-[2-[[2-(4-Chlorophenyl)-6-[4-(4-oxopentanoyl)piperazin-1-yl]pyrimidin-4-
vl]amino]ethyl]acetamide 552873-66-2P,
N-[2-[[2-(4-Chlorophenyl)-6-(4-[[(4-chlorophenyl)sulfanyl]acetyl]piperazin-
1-yl)pyrimidin-4-yl]amino]ethyl]acetamide 552873-67-3P,
N-[2-[[6-[4-[(4-Acetyl-3,5-dimethyl-1H-pyrrol-2-yl)carbonyl]piperazin-1-
v1]-2-(4-chlorophenvl)pvrimidin-4-vl|amino|ethvl|acetamide
552873-68-4P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2E)-3-(thien-3-
y1)prop-2-enoy1]piperazin-1-y1]pyrimidin-4-y1]amino]ethy1]acetamide
552873-69-5P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[2-furyl(4-
phenylpiperazin-1-yl)acetyl]piperazin-1-yl]pyrimidin-4-
yl]amino]ethyl]acetamide
                                 552873-70-89,
N-[2-[12-(4-Chlorophenyl)-6-[4-[2-furyl(morpholin-4-yl)acetyl]piperazin-1-
yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-71-99,
N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2-methyl-1H-benzimidazol-5-
v1)carbonv1|piperazin-1-v1|pvrimidin-4-v1|amino|ethv1|acetamide
552873-72-0P, N-[2-[[2-(4-Chlorophenyl)-6-(4-isobutyrylpiperazin-1-
yl)pyrimidin-4-yl]amino]ethyl]acetamide 552873-73-1P,
N-[2-[6-[4-[(1H-Benzimidazol-2-y1)sulfanyl]acetyl]piperazin-1-y1]-2-(4-[(1H-Benzimidazol-2-y1)sulfanyl]acetyl]piperazin-1-y1]-2-(4-[(1H-Benzimidazol-2-y1)sulfanyl]acetyl]piperazin-1-y1]-2-(4-[(1H-Benzimidazol-2-y1)sulfanyl]acetyl]piperazin-1-y1]-2-(4-[(1H-Benzimidazol-2-y1)sulfanyl]acetyl]piperazin-1-y1]-2-(4-[(1H-Benzimidazol-2-y1)sulfanyl]acetyl]piperazin-1-y1]-2-(4-[(1H-Benzimidazol-2-y1)sulfanyl]acetyl]piperazin-1-y1]-2-(4-[(1H-Benzimidazol-2-y1)sulfanyl]acetyl]piperazin-1-y1]-2-(4-[(1H-Benzimidazol-2-y1)sulfanyl]acetyl]piperazin-1-y1]-2-(4-[(1H-Benzimidazol-2-y1)sulfanyl]acetyl]piperazin-1-y1]-2-(4-[(1H-Benzimidazol-2-y1)sulfanyl]acetyl]piperazin-1-y1]-2-(4-[(1H-Benzimidazol-2-y1)sulfanyl]acetyl]piperazin-1-y1]-2-(4-[(1H-Benzimidazol-2-y1)sulfanyl]acetyl]piperazin-1-y1]-2-(4-[(1H-Benzimidazol-2-y1)sulfanyl]acetyl]piperazin-1-y1]-2-(4-[(1H-Benzimidazol-2-y1)sulfanyl]acetyl]piperazin-1-y1]-2-(4-[(1H-Benzimidazol-2-y1)sulfanyl]acetyl]piperazin-1-y1]-2-(4-[(1H-Benzimidazol-2-y1)sulfanyl]acetyl]acetyl
                                                                 552873-74-2P
chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide
, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2-hydroxyquinolin-4-
yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552873-75-3P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(5-chlorothien-2-
yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552873-76-4P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2E)-3-(3-
cyanophenyl)prop-2-enoyl]piperazin-1-yl]pyrimidin-4-
vl|amino|ethvl|acetamide 552873-77-5P,
N-[2-[[2-(4-Chlorophenyl)-6-[4-[(5-nitrothien-3-yl)carbonyl]piperazin-1-
yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-78-6P,
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N-[2-[[2-(4-Chlorophenyl)-6-[4-[[4-

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(trifluoromethyl)cyclohexyl]carbonyl]piperazin-1-yl]pyrimidin-4-
    yl]amino]ethyl]acetamide 552873-79-7P,
    N-[2-[[2-(4-Chlorophenv1)-6-[4-[(3-ethoxythien-2-v1)carbonv1]piperazin-1-
    yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-80-0P,
    N-[2-[[2-(4-Chloropheny1)-6-[4-[(2E)-3-(2-fury1)prop-2-enoy1]piperazin-1-
    yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-81-1P,
    N-[2-[2-(4-Chlorophenyl)-6-[4-(2,3,5,6-tetrafluoro-4-
    methylbenzovl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
    $$2873~82~2P, N-[2-[[6-[4-(5-Bromo-2-furov1)piperazin-1-v1]-2-(4-
    chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide
                                                       552873-83-3P
     , N-[2-[[2-(4-Chlorophenyl)-6-[4-(4,4,4-trifluoro-3-hydroxy-3-
    methylbutanovl)piperazin-1-vl|pvrimidin-4-vl|amino|ethyl|acetamide
    552873-84-4P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2E)-3-(2,3,4-
    trifluorophenyl)prop-2-enoyl]piperazin-1-yl]pyrimidin-4-
                               552873-85-5P,
    yl]amino]ethyl]acetamide
    N-[2-[[2-(4-Chloropheny1)-6-[4-[(8-hydroxyquinolin-2-y1)carbony1]piperazin-
    1-v1]pyrimidin-4-v1]amino]ethv1]acetamide 552873-86-6P,
    N-[2-[[2-(4-Chlorophenyl)-6-[4-(6-hydroxy-2-naphthoyl)piperazin-1-
    vl]pyrimidin-4-vl]amino]ethvl]acetamide 552873-87-7P,
    N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2E)-3-(4-isopropylphenyl)prop-2-
    enoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
    552873-88-8P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2E)-3-(thien-2-
    yl)prop-2-enoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
    552873-89-9P, N-[2-[[2-(4-Chlorophenyl)-6-[4-((3E)-4-phenylbut-3-
    enovl)piperazin-1-vllpvrimidin-4-vllaminolethvllacetamide
    552873-90-2P, N-[2-[[6-[4-[(1-Benzoylpiperidin-4-
    yl)carbonyl]piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-
    vl]amino]ethvl]acetamide
                              552873-91-3P,
    N-[2-[6-[4-[4-[4-[Aminocarbothioy1)amino]benzoy1]piperazin-1-y1]-2-(4-
    chlorophenvl)pvrimidin-4-vl]amino]ethvl]acetamide 552873-92-4P
     , Methyl 3-[[4-[6-[[2-(acetylamino)ethyl]amino]-2-(4-
    chlorophenyl)pyrimidin-4-yl]piperazin-1-yl]carbonyl]isonicotinate
    552873-93-5P, N-[2-[[2-(4-Chlorophenyl)-6-[4-(2-oxo-3-
    phenylpropanoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
    552873-94-6P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[5-(1,2-dithiolan-3-
    y1)pentanoy1]piperazin-1-y1]pyrimidin-4-y1]amino]ethy1]acetamide
    552873-95-7P, N-[2-[[2-(4-Chlorophenvl)-6-[4-[(2-methyl-5,6-
    dihydro-1, 4-oxathiin-3-yl) carbonyl]piperazin-1-yl]pyrimidin-4-
    vl]amino]ethvl]acetamide
                               552873-96-8P,
    N-[2-[(2-(4-Chlorophenyl)-6-[4-((1H-tetrazol-1-yl)acetyl]piperazin-1-
    vllpvrimidin-4-vllaminolethvllacetamide
                                             552873-97-92,
    N-[2-[(2-(4-Chlorophenyl)-6-[4-[3-(2-furyl)propanoyl]piperazin-1-
    yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-98-0P,
    N-[2-[2-(4-Chlorophenyl)-6-[4-(2E, 4E)-5-phenylpenta-2, 4-
    dienoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
    552873-99-1P, N-[2-[[2-(4-Chlorophenyl)-6-[4-(3-
    nitropropanoy1)piperazin-1-y1]pyrimidin-4-y1]amino]ethy1]acetamide
    552874-00-7P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[[2-(4-
    methylphenoxy)pyridin-3-yl]carbonyl]piperazin-1-yl]pyrimidin-4-
    vl]amino]ethvl]acetamide
                               552874-01-8P,
    N-[2-[[2-(4-Chlorophenyl)-6-[4-((2E)-3-(pyridin-2-yl)prop-2-
    enoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
    552874-02-9P, N-[2-[[2-(4-Chlorophenyl)-6-[4-(3-methyl-2-
    nitrobenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
    552874-03-0P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[3-(thien-2-
    yl)propanoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
    552874-04-1P
, N-[2-[[2-(4-Chloropheny1)-6-[4-[(5-methy1-2,4-dioxo-3,4-dihydropyrimidin-
    1(2H)-v1)acetv1|piperazin-1-v1|pvrimidin-4-v1|amino|ethv1|acetamide
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biphenyl]-4-yl)carbonyl]piperazin-1-yl]pyrimidin-4-
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yl]amino]ethyl]acetamide 552874-06-3P,

N-[2-[[2-(4-Chloropheny1)-6-[4-[(cyclohex-3-en-1-y1)carbony1]piperazin-1-

yl]pyrimidin-4-yl]amino]ethyl]acetamide 552874-07-4P,

N-[2-[2-(4-Chloropheny1)-6-[4-[3-(4-hydroxypheny1)propanoy1]piperazin-1-

yl]pyrimidin-4-yl]amino]ethyl]acetamide 552874-08-5P,

N-[2-[[2-(4-Chloropheny1)-6-[4-[3-(3,4,5-

trimethoxyphenyl)propanoyl]piperazin-1-yl]pyrimidin-4-

yl]amino]ethyl]acetamide 552874-09-6P,

N-[2-[[6-(4-Acetylpiperazin-1-y1)-2-(4-chlorophenyl)pyrimidin-4-

yl]amino]ethyl]acetamide 552874-10-9P, N-[2-[[2-(4-Chlorophenyl)-6-(4-propionyl

 $\label{eq:new_prop} \verb|N-[2-[(2-(4-Chlorophenyl)-6-(4-propionylpiperazin-1-yl)pyrimidin-4-(4-pr$

yl]amino]ethyl]acetamide 552874-11-0P,

N-[2-[(2-(4-Chlorophenyl)-6-[4-[2-hydroxy-5-(1H-pyrrol-1-

yl)benzoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide

552874-12-1P, N-|2-||2-(4-Chlorophenyl)-6-|4-(2-hydroxy-3-

nitrobenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide

RL: FAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(A2b antagonist; preparation of N-(pyrimidinyl)acetamides as A2b adenosine receptor selective antagonists for treatment of asthma, diabetes, tumors, and other A2b associated diseases)

552872-58-9 HCAPLUS

RN

CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(2-quinolinylcarbonyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552872-59-0 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(3-isoquinolinylcarbonyl)-1piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552872-60-3 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(2-quinoxalinylcarbonyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

RN 552872-61-4 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(1-isoquinolinylcarbonyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

RN 552872-62-5 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(2-hydroxy-5-nitrobenzoyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

RN 552872-63-6 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(2,5-dihydroxybenzoyl)-1piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

RN 552872-64-7 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(2-pyrazinylcarbonyl)-1piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

RN 552872-65-8 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(3-pyridinylcarbonyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

RN 552872-66-9 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(4-pyridinylcarbonyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

RN 552872-67-0 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[(1,2-dihydro-2-oxo-3-pyridinyl)carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino[ethyl]- (CA INDEX NAME)

- RN 552872-68-1 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(1H-indol-2-ylcarbonyl)-1piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552872-69-2 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chloropheny1)-6-[4-(1H-indol-3-ylcarbony1)-1piperaziny1]-4-pyrimidiny1]amino]ethy1]- (CA INDEX NAME)

- RN 552872-70-5 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(3-nitrobenzoyl)-1-piperazinyl]4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552872-71-6 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[(1,4,5,6-tetrahydro-1-methyl-6-oxo-3-pyridazinyl)carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]-(CA INDEX NAME)

- RN 552872-72-7 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[1-(2,7-dimethylpyrazolo[1,5-a]pyrimidin-6-yl)ethenyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552872-73-8 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chloropheny1)-6-[4-[2-(3-hydroxy-4-methoxypheny1)acety1]-1-piperaziny1]-4-pyrimidiny1]amino]ethy1]- (CA INDEX NAME)

- RN 552872-74-9 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chloropheny1)-6-[4-[(2E)-3-(3-nitropheny1)-1-oxo-2-propen-1-y1]-1-piperaziny1]-4-pyrimidiny1]amino]ethy1]- (CA INDEX NAME)

Double bond geometry as shown.

- RN 552872-75-0 HCAPLUS
- CN Acetamide, N-[2-[[6-[4-[3-(1H-benzimidazol-2-y1)-1-oxopropy1]-1-piperaziny1]-2-(4-chloropheny1)-4-pyrimidiny1]amino]ethy1]- (CA INDEX

NAME)

RN 552872-76-1 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(2-hydroxy-4-methylbenzoyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

RN 552872-77-2 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(2-hydroxy-3-methoxybenzoyl)-1piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

RN 552872-78-3 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(2-hydroxy-3-methylbenzoyl)-1piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

RN 552872-79-4 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[2-(1H-indol-3-yl)acetyl]-1piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552872-80-7 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chloropheny1)-6-[4-[3-(1H-indol-3-y1)-1-oxopropy1]-1-piperaziny1]-4-pyrimidiny1]amino]ethy1]- (CA INDEX NAME)

- RN 552872-81-8 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(2-pyridinylcarbonyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552872-82-9 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[4-(1H-indol-3-yl)-1-oxobutyl]-1piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552872-83-0 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[(5-methyl-2-pyrazinyl)carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552872-84-1 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[(5-methyl-2-phenyl-2H-1,2,3triazol-4-yl)carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552872-85-2 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[(4,5,6,7-tetrahydro-4-oxo-3-benzofuranyl)carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552872-86-3 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[[2-(methylthio)-3-pyridinyl]carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[[1-(1,1-dimethylethyl)-3-methyl-H-pyrazol-5-yl]carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

RN 552872-88-5 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[(2Z)-2-(3-oxo-1(3H)isobenzofuranylidene)acetyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]-(CA INDEX NAME)

Double bond geometry as shown.

RN 552872-89-6 HCAPLUS

CN Acetamide, N-[2-[[6-[4-(benzo[b]thien-2-ylcarbony1)-1-piperaziny1]-2-(4-chloropheny1)-4-pyrimidiny1]amino]ethy1]- (CA INDEX NAME)

RN 552872-90-9 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[4-(trifluoromethoxy)benzoyl]-1piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

RN 552872-91-0 HCAPLUS

RN 552872-92-1 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[(2E)-1,4-dioxo-4-(2,3,4,5,6-pentamethylphenyl)-2-buten-1-yl]-1-piperazinyl]-4-pyrimidinyl]amino[sthyl](CA INDEX NAME)

Double bond geometry as shown.

RN 552872-93-2 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chloropheny1)-6-[4-[4-(2,2,2-trifluoroacety1)benzoy1]-1-piperaziny1]-4-pyrimidiny1]amino]ethy1]- (CA INDEX NAME)

- RN 552872-94-3 HCAPLUS
- CN Benzamide, N-[2-[4-[6-[[2-(acetylamino)ethyl]amino]-2-(4-chlorophenyl)-4-pyrimidinyl]-1-piperazinyl]-2-oxoethyl]-4-chloro- (CA INDEX NAME)

- RN 552872-95-4 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chloropheny1)-6-[4-[(1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidiny1)carbony1]-1-piperaziny1]-4-pyrimidiny1]amino]ethy1]- (CA INDEX NAME)

- RN 552872-96-5 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(1,2,3-thiadiazol-4-ylcarbonyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552872-97-6 HCAPLUS
- CN Acetamide, N-[2-[[6-[4-[[5-chloro-2-(methylthio)-4-pyrimidinyl]carbonyl]-1-piperazinyl]-2-(4-chlorophenyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX

NAME)

RN 552872-98-7 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chloropheny1)-6-[4-[[1-(2-furanylmethy1)-5-oxo-3-pyrrolidinyl]carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

RN 552872-99-8 HCAPLUS

RN 552873-00-4 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[2-(4-nitrophenyl)acetyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

RN 552873-01-5 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[2-(2,5-dimethoxyphenyl)acetyl]1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

RN 552873-02-6 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[2-(3-methoxyphenyl)acetyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

RN 552873-03-7 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[2-(4-methoxyphenyl)acetyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

RN 552873-04-8 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chloropheny1)-6-[4-[2-(2-methoxypheny1)acety1]-1piperaziny1]-4-pyrimidiny1]amino]ethy1]- (CA INDEX NAME)

RN 552873-05-9 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[(1,2,3,4-tetrahydro-2-naphthalenyl)carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

RN 552873-06-0 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[(2R)-2-hydroxy-1-oxo-3-phenylpropyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 552873-07-1 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(1H-pyrrol-2-ylcarbonyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-08-2 HCAPLUS
- CN Acetamide, N-[2-[(2-(4-chloropheny1)-6-[4-(4-etheny1benzoy1)-1-piperaziny1]-4-pyrimidiny1]amino]ethy1]- (CA INDEX NAME)

- RN 552873-09-3 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chloropheny1)-6-[4-(2-cyclohexylacety1)-1-piperaziny1]-4-pyrimidiny1]amino]ethy1]- (CA INDEX NAME)

- RN 552873-10-6 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[4-(1H-pyrrol-1-yl)benzoyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-11-7 HCAPLUS
- CN Acetamide, N-[2-[{2-(4-chlorophenyl)-6-[4-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-1-oxopropyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]-(CA INDEX NAME)

- RN 552873-12-8 HCAPLUS
- CN Acetamide, N-[2-[[6-[4-(2-[1,1'-biphenyl]-4-ylacetyl)-1-piperazinyl]-2-(4-chlorophenyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-13-9 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[2-(2,3-dihydro-6-methoxy-3-oxo-H-inden-1-yl)acetyl]-1-piperazinyl]-4-pyrimidinyl]amino[ethyl] (CA INDEX NAME)

- RN 552873-14-0 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[2-(3-nitrophenyl)acetyl]-1piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-15-1 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chloropheny1)-6-[4-[2-(2-methylpheny1)acety1]-1piperaziny1]-4-pyrimidiny1]amino]ethy1]- (CA INDEX NAME)

- RN 552873-16-2 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[2-(4-methylphenyl)acetyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-17-3 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chloropheny1)-6-[4-(3-methylbenzoy1)-1-piperaziny1]4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-18-4 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(4-methylbenzoyl)-1-piperazinyl]4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-19-5 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(2-methylbenzoyl)-1-piperazinyl]4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-20-8 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[2-(3-methylphenyl)acetyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-21-9 HCAPLUS
- CN Acetamide, N-[2-[[6-[4-(4-butylbenzoy1)-1-piperaziny1]-2-(4-chloropheny1)4-pyrimidiny1]amino]ethy1]- (CA INDEX NAME)

- RN 552873-22-0 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(4-nitrobenzoyl)-1-piperazinyl]4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-23-1 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chloropheny1)-6-[4-(1-oxo-2-phenoxypropy1)-1-piperaziny1]-4-pyrimidiny1]amino]ethy1]- (CA INDEX NAME)

- RN 552873-24-2 HCAPLUS
- CN Acetamide, N-[2-[[6-[4-(1,3-benzodioxol-5-ylcarbonyl)-1-piperazinyl]-2-(4-chlorophenyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-25-3 HCAPLUS
- $\label{eq:continuous} \text{CN} \quad \text{Acetamide, N-[2-[\{2-(4-\text{chlorophenyl})-6-[4-(2-\text{phenylacetyl})-1-\text{piperazinyl}]-1-piperazinyl]-1-piperazinyl} \\ \text{CN} \quad \text{Acetamide, N-[2-[\{2-(4-\text{chlorophenyl})-6-[4-(2-\text{phenylacetyl})-1-\text{piperazinyl}]-1-piperazinyl]-1-piperazinyl} \\ \text{CN} \quad \text{Acetamide, N-[2-[\{2-(4-\text{chlorophenyl})-6-[4-(2-\text{phenylacetyl})-1-\text{piperazinyl}]-1-piperazinyl$

4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-26-4 HCAPLUS
- CN Acetamide, N-[2-[[6-[4-(bicyclo[2.2.1]hept-5-en-2-ylcarbonyl)-1-piperazinyl]-2-(4-chlorophenyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-27-5 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(2-hydroxy-2-phenylacetyl)-1piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-28-6 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[2-(2-naphthalenyloxy)acetyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-29-7 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chloropheny1)-6-[4-[(1-phenylcyclopenty1)carbony1]-1-piperaziny1]-4-pyrimidiny1]amino]ethy1]- (CA INDEX NAME)

- RN 552873-30-0 HCAPLUS
 CN Acetamide, N-[2-[[2-(4-chloropheny1)-6-[4-(2-mercaptobenzoy1)-1piperaziny1]-4-pyrimidiny1]amino]ethy1]- (CA INDEX NAME)

- RN 552873-31-1 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[(tetrahydro-2-furanyl)carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-32-2 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(2-cyclopentyl-2-phenylacetyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-33-3 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[4-(1,1-dimethylethyl)benzoyl]-1piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-34-4 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(tricyclo[3.3.1.13,7]dec-1ylcarbonyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-35-5 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(4-methoxybenzoyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-36-6 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chloropheny1)-6-[4-(4-cyclohexylbenzoy1)-1-(4-cyclohexylbenzoy1)]

piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-37-7 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(1-naphthalenylcarbonyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-38-8 HCAPLUS

- RN 552873-39-9 HCAPLUS
- CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[3-(2,4-dihydroxyphenyl)-1-oxopropyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-40-2 HCAPLUS
- CN Acetamide, N-[2-[[6-[4-(4-bromo-3-methylbenzoyl)-1-piperazinyl]-2-(4-chlorophenyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-41-3 HCAPLUS
- CN Acetamide, N-[2-[[6-[4-(5-chloro-2-hydroxybenzoy1)-1-piperaziny1]-2-(4-chloropheny1)-4-pyrimidiny1]amino]ethy1]- (CA INDEX NAME)

- RN 552873-42-4 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[4-(dimethylamino)benzoyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-43-5 HCAPLUS
- CN Acetamide, N-[2-[[6-[4-[(acetylamino)acety1]-1-piperaziny1]-2-(4-chloropheny1)-4-pyrimidiny1]amino]ethy1]- (9CI) (CA INDEX NAME)

- RN 552873-44-6 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chloropheny1)-6-[4-[2-(4-hydroxy-3-methoxypheny1)acety1]-1-piperaziny1]-4-pyrimidiny1]amino]ethy1]- (CA INDEX NAME)

- RN 552873-45-7 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(3-hydroxy-4-methylbenzoyl)-1piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-46-8 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(1-oxo-3-phenyl-2-propyn-1-yl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-47-9 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[(4-fluoro-1naphthalenyl)carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-48-0 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(5-formyl-2-hydroxybenzoyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-49-1 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(1-cyclohexen-1-ylcarbonyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-50-4 HCAPLUS
- CN Acetamide, N-[2-[[6-[4-([1,1'-biphenyl]-4-ylcarbonyl)-1-piperazinyl]-2-(4chlorophenyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

RN 552873-51-5 HCAPLUS

CN Acetamide, N-[2-[16-[4-[2-(4-bromopheny1)acety1]-1-piperaziny1]-2-(4-chloropheny1)-4-pyrimidiny1]amino]ethy1]- (CA INDEX NAME)

RN 552873-52-6 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chloropheny1)-6-[4-[2-(methylthio)benzoy1]-1-piperaziny1]-4-pyrimidiny1]amino]ethy1]- (CA INDEX NAME)

RN 552873-53-7 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[4-(methylsulfonyl)benzoyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

RN 552873-54-8 HCAPLUS

CN Acetamide, N-[2-[[6-[4-(4-benzoy1benzoy1)-1-piperaziny1]-2-(4-

chlorophenyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-55-9 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[4-(trifluoromethyl)benzoyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-56-0 HCAPLUS
- CN Acetamide, N-[2-[[6-[4-(4-acetylbenzoyl)-1-piperazinyl]-2-(4-chlorophenyl)4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-57-1 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(4-cyanobenzoyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-58-2 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[3-(trifluoromethyl)benzoyl]-1piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-59-3 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(3-cyanobenzoyl)-1-piperazinyl]4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-60-6 HCAPLUS
- CN Acetamide, N-[2-[[6-[4-(1H-benzimidazol-6-ylcarbonyl)-1-piperazinyl]-2-(4chlorophenyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-61-7 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(2,2-diphenylacetyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

RN 552873-62-8 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(4-ethylbenzoyl)-1-piperazinyl]4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-63-9 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chloropheny1)-6-[4-(2-hydroxybenzoy1)-1-piperaziny1]-4-pyrimidiny1]amino]ethy1]- (CA INDEX NAME)

- RN 552873-64-0 HCAPLUS
- CN Acetamide, N-[2-[[6-[4-(3-bromobenzoy1)-1-piperaziny1]-2-(4-chloropheny1)4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-65-1 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(1,4-dioxopentyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

ACNH-CH2-CH2-NH

- RN 552873-66-2 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[2-[(4-chlorophenyl)thio]acetyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-67-3 HCAPLUS
- CN Acetamide, N-[2-[[6-[4-[(4-acetyl-3,5-dimethyl-1H-pyrrol-2-yl)carbonyl]-1piperaxinyl]-2-(4-chlorophenyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-68-4 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[(2E)-1-oxo-3-(3-thienyl)-2-propen-1-yl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-69-5 HCAPLUS
- $\label{eq:continuous} \begin{array}{lll} \text{CN} & \text{Acetamide, N-[2-[[2-(4-\text{chlorophenyl})-6-[4-[2-(2-\text{furanyl})-2-(4-\text{phenyl}-1-\text{piperazinyl})-acetyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- & (CA INDEX acetyl)-1-piperazinyl-4-pyrimidinyl]amino]ethyl-1-piperazinyl-4-pyrimidinyl-3-piperazinyl-4-pyrimidinyl-3-piperazinyl-4-pyrimidinyl-3-piperazinyl-4-pyrimidinyl-3-piperazinyl-4-pyrimidinyl-3-piperazinyl-4-pyrimidinyl-3-piperazinyl-4-pyrimidinyl-3-piperazinyl-4-pyrimidinyl-3-piperazinyl-4-pyrimidinyl-3-piperazinyl-4-pyrimidinyl-3-piperazinyl-4-pyrimidinyl-3-piperazinyl-4-pyrimidinyl-3-piperazinyl-4-pyrimidinyl-3-piperazinyl-4-pyrimidinyl-3-piperazinyl-4-pyrimidinyl-3-piperazinyl-4-pyrimidinyl-3-piperazinyl-4-pyrimidinyl-3-piperazinyl-4-pyrimidinyl-3-piperazinyl-4-pyrimidinyl-4-pyri$

NAME)

RN 552873-70-8 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[2-(2-furanyl)-2-(4-morpholinyl)acetyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

RN 552873-71-9 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[(2-methyl-1H-benzimidazol-6-yl)carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

RN 552873-72-0 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(2-methyl-1-oxopropyl)-1piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-73-1 HCAPLUS
- CN Acetamide, N-[2-[[6-[4-[2-(1H-benzimidazol-2-ylthio)acetyl]-1-piperazinyl]2-(4-chlorophenyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-74-2 HCAPLUS
- CN Acetamide, N-[2-[12-(4-chlorophenyl)-6-[4-[(1,2-dihydro-2-oxo-4quinolinyl)carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-75-3 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[(5-chloro-2-thienyl)carbonyl]-1piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

552873-76-4 HCAPLUS RN

Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[(2E)-3-(3-cyanophenyl)-1-oxo-2-CN propen-1-yl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

Double bond geometry as shown.

552873-77-5 HCAPLUS RN

Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[(5-nitro-3-thienyl)carbonyl]-1-CN piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

AcNH-CH2-CH2-NH

RN 552873-78-6 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[[4-(trifluoromethyl)cyclohexyl]carbonyl]-1-piperazinyl]-4pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-79-7 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[(3-ethoxy-2-thienyl)carbonyl]-1piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-80-0 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[(2E)-3-(2-furanyl)-1-oxo-2propen-1-yl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-81-1 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(2,3,5,6-tetrafluoro-4-methylbenzoyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-82-2 HCAPLUS
- CN Acetamide, N-[2-[[6-[4-[(5-bromo-2-furany1)carbony1]-1-piperaziny1]-2-(4chloropheny1)-4-pyrimidiny1]amino]ethy1]- (CA INDEX NAME)

- RN 552873-83-3 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chloropheny1)-6-[4-(4,4,4-trifluoro-3-hydroxy-3-methyl-1-oxobutyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-84-4 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[(2E)-1-oxo-3-(2,3,4-trifluorophenyl)-2-propen-1-yl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]-(CA INDEX NAME)

RN 552873-85-5 HCAPLUS

CN Acetamide, N-[2-[{2-(4-chlorophenyl)-6-[4-[(8-hydroxy-2-quinolinyl)carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

RN 552873-86-6 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[(6-hydroxy-2-naphthalenyl)carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

RN 552873-87-7 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[[2E)-3-[4-(1methylethyl)phenyl]-1-oxo-2-propen-1-yl]-1-piperazinyl]-4pyrimidinyl]aminojethyl]- (CA INDEX NAME)

- RN 552873-88-8 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[(2E)-1-oxo-3-(2-thienyl)-2-propen-1-yl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

Double bond geometry as shown.

- RN 552873-89-9 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[(3E)-1-oxo-4-phenyl-3-buten-1-yl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-90-2 HCAPLUS
- CN Acetamide, N-[2-[[6-[4-[(1-benzoyl-4-piperidinyl)carbonyl]-1-piperazinyl]2-(4-chlorophenyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-91-3 HCAPLUS
- CN Acetamide, N-[2-[[6-[4-[4-[(aminothioxomethyl)amino]benzoyl]-1piperazinyl]-2-(4-chlorophenyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-92-4 HCAPLUS
- CN 4-Pyridinecarboxylic acid, 3-[[4-[6-[[2-(acetylamino)ethyl]amino]-2-(4-chlorophenyl)-4-pyrimidinyl]-1-piperazinyl]carbonyl]-, methyl ester (CA INDEX NAME)

- RN 552873-93-5 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(1,2-dioxo-3-phenylpropyl)-1piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-94-6 HCAPLUS

- RN 552873-95-7 HCAPLUS
- CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[(5,6-dihydro-2-methyl-1,4-oxathin-3-yl)carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-96-8 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[2-(1H-tetrazol-1-yl)acetyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-97-9 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[3-(2-furanyl)-1-oxopropyl]-1piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-98-0 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[(2E,4E)-1-oxo-5-phenyl-2,4-pentadlen-1-yl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552873-99-1 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chloropheny1)-6-[4-(3-nitro-1-oxopropy1)-1-piperaziny1]-4-pyrimidiny1]amino]ethy1]- (CA INDEX NAME)

- RN 552874-00-7 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chloropheny1)-6-[4-[[2-(4-methylphenoxy)-3-pyridiny1]carbony1]-1-piperaziny1]-4-pyrimidiny1]amino]ethy1]- (CA INDEX

NAME)

RN 552874-01-8 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[(2E)-1-oxo-3-(2-pyridinyl)-2-propen-1-yl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552874-02-9 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(3-methyl-2-nitrobenzoyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552874-03-0 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[1-oxo-3-(2-thienyl)propyl]-1piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

RN 552874-04-1 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[2-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]-(CA INDEX NAME)

RN 552874-05-2 HCAPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[(4'-hydroxy[1,1'-biphenyl]-4-yl)carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

RN 552874-06-3 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(3-cyclohexen-1-ylcarbonyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

RN 552874-07-4 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[3-(4-hydroxyphenyl)-1-oxopropyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

RN 552874-08-5 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chloropheny1)-6-[4-[1-oxo-3-(3,4,5-trimethoxypheny1)propy1]-1-piperaziny1]-4-pyrimidiny1]amino]ethy1]- (CA INDEX NAME)

RN 552874-09-6 HCAPLUS

RN 552874-10-9 HCAPLUS

CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(1-oxopropyl)-1-piperazinyl]-4pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

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- RN 552874-11-0 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[2-hydroxy-5-(1H-pyrrol-1yl)benzoyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- RN 552874-12-1 HCAPLUS
- CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(2-hydroxy-3-nitrobenzoyl)-1piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

- IT 83217-77-0P, 2-(4-Chlorophenyl)pyrimidine-4,6-diol
 - 223659-76-5P, 2-(4-Chlorophenyl)-5-methylpyrimidine-4,6-diol
 - 552872-56-7P, N-[2-[[2-(4-Chlorophenyl)-6-(piperazin-1-
 - yl)pyrimidin-4-yl]amino]ethyl]acetamide
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 - (intermediate; preparation of N-(pyrimidinyl) acetamides as A2b adenosine receptor selective antagonists for treatment of asthma, diabetes,
 - tumors, and other A2b associated diseases)
- RN 83217-77-0 HCAPLUS
- CN 4(3H)-Pyrimidinone, 2-(4-chlorophenyl)-6-hydroxy- (CA INDEX NAME)

- RN 223659-76-5 HCAPLUS
- CN 4(3H)-Pyrimidinone, 2-(4-chlorophenyl)-6-hydroxy-5-methyl- (CA INDEX

NAME)

CN

RN 552872-56-7 HCAPLUS

Acetamide, N-[2-[[2-(4-chlorophenyl)-6-(1-piperazinyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD

(10 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 25 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2003:472388 HCAPLUS Full-text

DOCUMENT NUMBER: 139:53030

TITLE: Pyrimidine-based and quinazoline-based compounds

useful as GSK-3 inhibitors

INVENTOR(S): Choquette, Deborah; Davies, Robert J.; Wannamaker,

Marion W.

PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 102 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. KIND | | | | | | D | DATE | | | APPLICATION NO. | | | | | DATE | | |
|-----------------|------------|-----|-----|-----|-----|-----|----------|------|-----|-----------------|-----|-----|-----|-----|------------|-----|-----|
| | | | | | - | | | | | | | | | | | | |
| WO | 2003049739 | | | | A1 | | 20030619 | | | WO 2002-US39190 | | | | | 20021209 < | | |
| | ₩: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, |
| | | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, |
| | | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KP, | KR, | KZ, | LC, | LK, | LR, |
| | | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NO, | NZ, | OM, | PH, |
| | | PL, | PT, | RO, | RU, | SD, | SE, | SG, | SK, | SL, | TJ, | TM, | TN, | TR, | TT, | TZ, | UA, |
| | | UG, | US, | UZ, | VN, | YU, | ZA, | ZM, | ZW | | | | | | | | |
| | RW: | GH, | GM, | KE, | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | AZ, | BY, |
| | | KG, | KZ, | MD, | RU, | TJ, | TM, | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, |
| | | FI, | FR, | GB, | GR, | IE, | IT, | LU, | MC, | NL, | PT, | SE, | SI, | SK, | TR, | BF, | BJ, |
| | | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG | | |
| CA | CA 2469316 | | | | A1 | | 2003 | 0619 | | CA 2002-2469316 | | | | | 20021209 < | | |

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| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, | |
| | | IE, | SI, | LT, | LV, | FI, | RO, | MK, | CY, | AL, | TR, | BG, | CZ, | EE, | SK | | | |
| JP | 20055 | 51600 |)5 | | T | - 2 | 2005 | 0602 | | JP 2 | 003- | 5507 | 88 | | 2 | 0021 | 209 | < |
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| | R: | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | ΙE, | IT, | |
| | | LI, | LU, | MC, | NL, | PT, | SE, | SI, | SK, | TR | | | | | | | | |
| | 20040 | | | | A | | 2006 | 0224 | | MX 2 | 004- | 5510 | | | 2 | 0040 | 607 | < |
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| PRIORIT? | Y APPI | LN. | INFO | . : | | | | | | US 2 | 001- | 3388 | 57P | | | 0011 | | |
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| | | | | | | | | | | WO 2 | 002-1 | 11539 | 190 | | W 2 | 0021 | 209 | < |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 139:53030

ED Entered STN: 20 Jun 2003

GI Entered SIN:

- The invention provides a compound of formula I or a pharmaceutically AB acceptable derivative thereof [wherein: R1 = (un)substituted 5- to 6-membered monocyclic or 8- to 10-membered bicyclic (hetero)aryl with 0-4 N/O/S atom(s); Q = (un)substituted C1-4 alkylene chain with 0-2 non-adjacent CH2 optionally replaced by SO2 or CO; R2 = certain (un)substituted Ph, thienyl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ra, Rb = -T-R3; or RaRb = atoms to complete fused, partially saturated or aromatic, 5- to 8membered ring with 0-3 N/O/S atom(s) and optionally substituted by oxo, -T-R3, etc.; T = bond or C1-4 alkylene chain; R3 = H, halo, OH or derivs., NH2 or derivs., CN, SH or derivs., CHO or derivs., CO2H or derivs., etc.; including pharmaceutically acceptable derivs. and prodrugs]. The compds. are inhibitors of protein kinases, particularly GSK-3 (glycogen synthase kinase 3) mammalian protein kinases. The invention also provides pharmaceutically acceptable compns. comprising the compds. of the invention, and methods of utilizing the compds. and compns. in the treatment of various protein kinase-mediated disorders, such as diabetes, cancer, stroke, and Alzheimer's disease. A table of over 200 compds. I is given in claims. Prepns. of 37 compds. are described in detail. For instance, 4-chloro-2-(2-trifluoromethylphenyl)quinazoline was thermally condensed with 6-(2-aminoethylamino)nicotinonitrile (neat, approx. 140°) to give 49% title compound II. In a test for inhibition of GSK-3 β in vitro, 17 compds, I, including II, had Ki < 0.1 uM, and 16 compds, had Ki of 0.1 to 1.0 µM.
- IIT 544677-99-8P, 6-[2-[2-(2-Chloropheny1)-5,6-dimethylpyrimidin-4ylamino]ethylamino]nicotinonitrile 544678-13-9P

544678-36-6P 544678-37-7P 544678-49-1P, 6-[2-[6-Phenyl-2-(2-trifluoromethylphenyl)pyrimidin-4vlamino|ethvlamino|nicotinonitrile 544678-50-4P 544678-51-5P, 6-[2-[6-Trifluoromethy1-2-(2trifluoromethylphenyl)pyrimidin-4-ylamino]ethylamino]nicotinonitrile 544678-52-6P, 6-[2-[6-(2-Methoxyphenyl)-2-(2trifluoromethylphenyl)pyrimidin-4-ylamino]ethylamino]nicotinonitrile RL: FAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (drug candidate; preparation of pyrimidine-based compds. useful as GSK-3 inhibitors) 544677-99-8P, 6-[2-[2-(2-Chlorophenyl)-5,6-dimethylpyrimidin-4-544678-13-9P ylamino]ethylamino]nicotinonitrile 544678-36-6P 544678-37-7P 544678-49-1P. 6-[2-[6-Phenv1-2-(2-trifluoromethylphenyl)pyrimidin-4vlamino|ethylamino|nicotinonitrile 544678-50-4P 544678-51-5P, 6-[2-[6-Trifluoromethyl-2-(2trifluoromethylphenyl)pyrimidin-4-vlamino|ethylamino|nicotinonitrile 544678-52-6P, 6-[2-[6-(2-Methoxyphenyl)-2-(2trifluoromethylphenyl)pyrimidin-4-ylamino]ethylamino]nicotinonitrile RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

(drug candidate; preparation of pyrimidine-based compds. useful as GSK-3

inhibitors) RN 544677-99-8 HCAPLUS

CN 3-Pyridinecarbonitrile, 6-[[3-[[2-(2-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]propyl]amino]- (CA INDEX NAME)

THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

RN 544678-13-9 HCAPLUS

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- RN 544678-37-7 HCAPLUS
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- RN 544678-49-1 HCAPLUS
- CN 3-Pyridinecarbonitrile, 6-[[2-[[6-phenyl-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]ethyl]amino]- (CA INDEX NAME)

- RN 544678-50-4 HCAPLUS
- CN 3-Pyridinecarbonitrile, 6-[[2-[[2-(2-chloropheny1)-5,6-dimethy1-4-pyrimidiny1]amino]ethy1]amino]- (CA INDEX NAME)

- RN 544678-51-5 HCAPLUS
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RN 544678-52-6 HCAPLUS

CN 3-Pyridinecarbonitrile, 6-[[2-[[6-(2-methoxyphenyl)-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]ethyl]amino]- (CA INDEX NAME)

OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (17 CITINGS)

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 26 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2002:465821 HCAPLUS Full-text DOCUMENT NUMBER: 137:47211

DOCUMENT NUMBER: 137:47211
TITLE: Substituted 2-aryl-4-arylaminopyrimidines and analogs as activators of caspases and inducers of apoptosis,

their preparation, and the use thereof as, e.g., anticancer agents

anticancer agents
INVENTOR(S): Cai, Sui Xiong; Drewe, John A.; Nguyen, Bao; Reddy, P.

PATENT ASSIGNEE(S): Cytovia, Inc., USA

PATENT ASSIGNEE(S): Cytovia, Inc., USA
SOURCE: PT Int. Appl., 210 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 137:47211

ED Entered STN: 21 Jun 2002

GI

AΒ The invention is directed to substituted 2-aryl-4-(arylamino)pyrimidines I and analogs thereof [Ar1, Ar2 = (independently) optionally substituted aryl or heteroaryl; A = N or C-R2; R1, R2 = (independently) H, halo, haloalkyl, aryl, fused aryl, carbocyclic, heterocyclic, heteroaryl, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, heteroarylalkyl, heteroarylalkenyl, heteroarylalkynyl, carbocycloalkyl, heterocycloalkyl, hydroxyalkyl, nitro, amino, cyano, acylamido, OH, SH, acyloxy, N3, alkoxy, aryloxy, arylalkoxy, haloalkoxy, CO2H, carbonylamido, or alkylthio; and R3 = H, optionally substituted alkyl or cycloalkyl]. The invention also relates to the discovery that compds. I are activators of caspases and inducers of apoptosis. I may be used to induce cell death in a variety of clin. conditions in which uncontrolled growth and spread of abnormal cells occurs. In particular, a method of treating disorders responsive to the induction of apoptosis, comprising administration of I, or a pharmaceutically acceptable salt or prodrug thereof, is claimed. Over 200 specific examples of I are described. For instance, condensation of 4-chloro-6-methy1-2-(2-pyridiny1)pyrimidine with 2-chloro-5-methoxyaniline gave title compound II in 44% yield. This compound induced apoptosis and activated caspase cascade in human breast cancer cell lines T-47D and ZR-75-1. Another compound I also showed marked selectivity for human breast cancer cells over other, non-breast cancer cell lines. 300359-08-4P, 4-(4-Methoxyanilino)-6-methyl-2-phenylpyrimidine

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438247-48-4P, 4-(4-Methoxyanilino)-6-(methoxymethyl)-2-(3-
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use); BIOL (Biological study); USES (Uses)
   (drug candidate; preparation of substituted aryl(arylamino)pyrimidines and
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THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)
   (drug candidate; preparation of substituted aryl(arylamino)pyrimidines and
   analogs as caspase activators, apoptosis inducers, and anticancer
   agents)
300359-08-4 HCAPLUS
4-Pyrimidinamine, N-(4-methoxyphenyl)-6-methyl-2-phenyl- (CA INDEX NAME)
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RN

- RN 438247-48-4 HCAPLUS
- CN 4-Pyrimidinamine, 6-(methoxymethyl)-N-(4-methoxyphenyl)-2-(3-methylphenyl)- (CA INDEX NAME)

- RN 438247-49-5 HCAPLUS
- CN 4-Pyrimidinamine, N-(4-methoxyphenyl)-6-methyl-2-(3-methylphenyl)- (CA INDEX NAME)

- RN 438247-50-8 HCAPLUS
- CN 1,4-Benzenediamine, N4-[6-(methoxymethyl)-2-(3-methylphenyl)-4pyrimidinyl]-N1,N1-dimethyl- (CA INDEX NAME)

- RN 438247-51-9 HCAPLUS
- CN 1,4-Benzenediamine, N1,N1-dimethyl-N4-[6-methyl-2-(3-methylphenyl)-4pyrimidinyl]- (CA INDEX NAME)

RN 438247-54-2 HCAPLUS

CN 4-Pyrimidinamine, N-(3-methoxyphenyl)-6-methyl-2-(3-methylphenyl)- (CA INDEX NAME)

RN 438247-57-5 HCAPLUS

CN 4-Pyrimidinamine, 6-(methoxymethy1)-N-(3-methoxypheny1)-2-(3-methylpheny1)(CA INDEX NAME)

RN 438247-74-6 HCAPLUS

CN 4-Pyrimidinamine, N-(2,5-dimethoxyphenyl)-6-(methoxymethyl)-2-(3methylphenyl)- (CA INDEX NAME)

RN 438247-91-7 HCAPLUS

 ${\tt CN-4-Pyrimidinamine, N-(2-chloro-5-methoxypheny1)-6-(methoxymethy1)-2-(3-methoxymethy1)-6-(methoxymethy1)-2-(3-methoxymethy1)-6-(meth$

methylphenyl) - (CA INDEX NAME)

RN 438247-92-8 HCAPLUS

CN 4-Pyrimidinamine, 6-(methoxymethyl)-N-(5-methoxy-2-methylphenyl)-2-(3-methylphenyl)- (CA INDEX NAME)

methylpyrimidine

RL: PAC (Pharmacological activity); TRU (Therapeutic

use); BIOL (Biological study); USES (Uses)

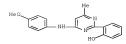
(drug candidate; preparation of substituted aryl(arylamino)pyrimidines and analogs as caspase activators, apoptosis inducers, and anticancer agents)

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CN 4-Pyrimidinamine, 6-methyl-N-(2-methylphenyl)-2-phenyl- (CA INDEX NAME)

RN 331648-44-3 HCAPLUS

CN Phenol, 2-[4-[(4-methoxyphenyl)amino]-6-methyl-2-pyrimidinyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS

RECORD (10 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 27 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2002:220580 HCAPLUS Full-text

DOCUMENT NUMBER:

136:247606

TITLE:

Preparation of 3-(4-pyrimidinylamino)pyrazole

derivatives as protein kinase inhibitors, especially of Aurora-2 and GSK-3, for treating cancer, diabetes

and Alzheimer's disease.

INVENTOR(S): Davies, Robert; Bebbington, David; Binch, Haley;

Knegtel, Ronald; Golec, Julian M. C.; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 357 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 15 PATENT INFORMATION:

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| AU | 2006201266 | B2 | 20091217 | | | | |
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| JP 2008247921 | A | 20081016 | 2008-121727 | | 20080507 < |
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| PRIORITY APPLN. INFO.: | | | 2000-232795P | P | 20000915 < |
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IN 2003-KN795

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JP 2004-366925

A3 20030619 <--

A3 20030722 <--

A1 20040210 <--A3 20041217 <--

AU 2006-201396 A3 20060404 <-ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 136:247606

ED Entered STN: 22 Mar 2002

GI

AB The preparation of title compds. I and their pharmaceutically acceptable salts or prodrugs is described (wherein: R1, R2 = dependently form (un)substituted fused, unsatd. or partially unsatd., 5-8 membered carbocyclo ring; R3, R4 = independently H, aliphatic, arvl, heteroarvl, heterocyclyl, or wide variety of functionalized sidechains; or dependently form a fused, 5-8 membered, unsatd. or partially unsatd, ring having 0-3 ring heteroatoms (N, S, O); R5 = fused, (un)substituted 5-7 membered monocyclic ring or 8-10 membered bicyclic ring (arvl, heteroarvl, heterocyclyl or carbocyclyl, said heteroaryl or heterocyclyl ring having 1-4 ring heteroatoms (N, S, O))]. For example, chlorination of quinazolone II with phosphorus oxychloride, followed by condensation with 3-amino-5-methylpyrazole afforded claimed compound III. Compds. I are inhibitors of GSK-3 and Aurora-2 protein kinases. The invention also relates to methods of treating diseases associated with these protein kinases, such as diabetes, cancer and Alzheimer's disease. In bioassays, compds. I inhibited the following kinases with Kis reported < 100 nM: GSK-3B (163 compds.), AURORA-2 (65 compds.), CDK-2 (no data), ERK2 (8 compds.), AKT (no data), and Human Src kinase (21 compds.). Claims included 146 specific compds., and 188 examples were given. The syntheses of 6 compds. and 46 intermediates are described.

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404826-28-4P
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                             404826-47-72
404826-48-8P
              404826-49-9P
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404826-54-6P
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404826-57-99
              404826-58-0P
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                             404829-37-42
404829-39-6P
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404829-46-5P
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                             404829-51-29
404829-52-3P
             404829-79-4P
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RL: PAC (Fharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 3-(4-pyrimidinylamino)pyrazole compds. as protein kinase inhibitors)

404828-01-9P 404828-02-0P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 3-(4-pyrimidinylamino)pyrazole compds. as protein kinase inhibitors)

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                              404826-53-5P
404826-54-6P
              404826-55-72
                              404826-56-8P
404826-57-9P
              404826-58-0P
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404827-53-8P
              404829-36-3P
                              404829-37-4P
404829-39-6P
              404829-40-9P
                             404829-45-4P
404829-46-5P
              404829-50-1P
                              404829-51-2P
404829-52-39
              404829-79-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)
   (preparation of 3-(4-pyrimidinylamino)pyrazole compds. as protein kinase
```

inhibitors) RN 404826-28-4 HCAPLUS

RN 404826-28-4 HCAPLUS
CN 4-Pyrimidinamine, 2-(2-chlorophenyl)-5,6-dimethyl-N-(5-methyl-1H-pyrazol-3v1)- (CA INDEX NAME)

- RN 404826-46-6 HCAPLUS
- CN 1H-Indazol-3-amine, N-[6-methyl-2-[2-(trifluoromethyl)phenyl]-4pyrimidinyl]- (CA INDEX NAME)

- RN 404826-47-7 HCAPLUS
- CN 1H-Indazol-3-amine, N-[6-phenyl-2-[2-(trifluoromethyl)phenyl]-4pyrimidinyl]- (CA INDEX NAME)

- RN 404826-48-8 HCAPLUS
- CN 1H-Indazol-3-amine, N-[6-(4-pyridinyl)-2-[2-(trifluoromethyl)phenyl]-4-

pyrimidinyl]- (CA INDEX NAME)

RN 404826-49-9 HCAPLUS

CN 1H-Indazol-3-amine, N-[6-(2-pyridinyl)-2-[2-(trifluoromethyl)phenyl]-4pyrimidinyl]- (CA INDEX NAME)

RN 404826-50-2 HCAPLUS

CN 1H-Indazol-3-amine, N-[6-(2-chlorophenyl)-2-[2-(trifluoromethyl)phenyl]-4pyrimidinyl]- (CA INDEX NAME)

RN 404826-51-3 HCAPLUS

CN 1H-Indazol-3-amine, N-[5,6-dimethyl-2-[2-(trifluoromethyl)phenyl]-4pyrimidinyl]- (CA INDEX NAME)

- RN 404826-52-4 HCAPLUS
- CN 1H-Indazol-3-amine, N-[5,6-dimethyl-2-[2-(trifluoromethyl)phenyl]-4pyrimidinyl]-5-fluoro- (CA INDEX NAME)

- RN 404826-53-5 HCAPLUS
- CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]-(CA INDEX NAME)

- RN 404826-54-6 HCAPLUS
- CN 1H-Indazol-3-amine, N-[5,6-dimethyl-2-[2-(trifluoromethyl)phenyl]-4pyrimidinyl]-7-fluoro- (CA INDEX NAME)

- RN 404826-55-7 HCAPLUS
- CN 1H-Indazol-3-amine, N-[5,6-dimethyl-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl]-5,7-difluoro- (CA INDEX NAME)

- RN 404826-56-8 HCAPLUS
- CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]-5,7-difluoro- (CA INDEX NAME)

- RN 404826-57-9 HCAPLUS
- CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]-7fluoro- (CA INDEX NAME)

- RN 404826-58-0 HCAPLUS
- CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]-5fluoro- (CA INDEX NAME)

- RN 404827-52-7 HCAPLUS
- CN 1H-Indazol-3-amine, N-[6-cyclohexyl-2-[2-(trifluoromethyl)phenyl]-4pyrimidinyl]- (CA INDEX NAME)

- RN 404827-53-8 HCAPLUS
- CN 1H-Indazol-3-amine, N-[6-(2-fluorophenyl)-2-[2-(trifluoromethyl)phenyl]-4pyrimidinyl]- (CA INDEX NAME)

- RN 404829-36-3 HCAPLUS
- CN 4-Pyrimidinamine, 6-methyl-2-(4-methylphenyl)-N-(5-phenyl-1H-pyrazol-3-yl)(CA INDEX NAME)

- RN 404829-37-4 HCAPLUS
- CN 4-Pyrimidinamine, 2-(4-chlorophenyl)-N-[5-(2-furanyl)-1H-pyrazol-3-yl]-6-methyl- (CA INDEX NAME)

- RN 404829-39-6 HCAPLUS
- CN 4-Pyrimidinamine, 6-methyl-N-(5-phenyl-1H-pyrazol-3-yl)-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 404829-40-9 HCAPLUS

CN 4-Pyrimidinamine, N-[5-(2-furanyl)-1H-pyrazol-3-yl]-6-methyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 404829-45-4 HCAPLUS

CN 4-Pyrimidinamine, 6-ethyl-N-(5-methyl-1H-pyrazol-3-yl)-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 404829-46-5 HCAPLUS

CN 4-Pyrimidinamine, N-[5-(2-furanyl)-1H-pyrazol-3-yl]-6-methyl-2-(4-methylphenyl)- (CA INDEX NAME)

RN 404829-50-1 HCAPLUS

CN 4-Pyrimidinamine, 6-ethyl-2-(4-methylphenyl)-N-(5-methyl-1H-pyrazol-3-yl)-(CA INDEX NAME)

RN 404829-51-2 HCAPLUS

CN 4-Pyrimidinamine, 2-(4-chlorophenyl)-6-ethyl-N-(5-methyl-1H-pyrazol-3-yl)-(CA INDEX NAME)

RN 404829-52-3 HCAPLUS

CN 4-Pyrimidinamine, 6-methyl-2-(4-methylphenyl)-N-(5-methyl-1H-pyrazol-3-yl)-(CA INDEX NAME)

RN 404829-79-4 HCAPLUS

CN 1H-Indazol-3-amine, N-[5-methyl-6-(4-morpholinyl)-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl]- (CA INDEX NAME)

404828-01-9P 404828-02-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 3-(4-pyrimidinylamino)pyrazole compds. as protein kinase inhibitors)

404828-01-9 HCAPLUS RN

CN 4(3H)-Pyrimidinone, 6-methyl-2-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 404828-02-0 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-cyclohexyl-2-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)

OS.CITING REF COUNT: THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD

(14 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 28 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2001:589762 HCAPLUS Full-text

DOCUMENT NUMBER:

135:166837 Preparation of

TITLE:

6-heteroary1-2-(4-trifluoromethylphenyl)pyrimidines

and medicine compositions thereof Murata, Akiya; Kondo, Masanori; Ito, Masato

INVENTOR(S): PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkvo Koho, 9 pp.

CODEN: JKXXAF DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|------------|
| | | | | |
| JP 2001220389 | A | 20010814 | JP 2000-30187 | 20000208 < |
| PRIORITY APPLN. INFO.: | | | JP 2000-30187 | 20000208 < |

OTHER SOURCE(S): MARPAT 135:166837 ED Entered STN: 15 Aug 2001

GI

AB Title compds. [I, R1 = H, alkyl; R2 = alkyl cycloalkyl; R3 = H, alkyl; R4 = halo, R5 = heteroaryl| and biol. acceptable salts are prepared and are useful as therapeutic or preventive remedies for rheumatism and inflammation diseases, such as Behcet syndrome, ankylosing spondylitis, multiple sclerosis, systemic lupus erythematodes, Sjoegren syndrome, and autoimmune inflammation. The title compound II was prepared and biol. tested for Behcet syndrome. Thus, the title compound II showed 50% antiarthritic effect at 1 mg/kg.

IT 353755-69-8P 353755-71-2P 353755-73-4P 353755-77-8P 353755-79-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PRDP (Preparation); USES (Uses)

(preparation of heteroaryltrifluoromethylphenylpyrimidines and medicine compns. thereof)

T 263243-73-8P 350490-63-0P 350490-64-1P

350490-84-5p 350490-87-8p 350490-90-3p

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of heteroaryltrifluoromethylphenylpyrimidines and medicine compns. thereof)

IT 353755-69-8P 353755-71-2P 353755-73-4P

353755-77-8p 353755-79-0p

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic uses); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heteroaryltrifluoromethylphenylpyrimidines and medicine compns. thereof)

RN 353755-69-8 HCAPLUS

CN Acetamide, 2-[[5-chloro-6-(2-pyridinyl)-2-[4-(trifluoromethyl)phenyl]-4pyrimidinyl]amino]-N,N-dimethyl- (CA INDEX NAME)

CN Acetamide, 2-[[5-bromo-6-(2-pyridinyl)-2-[4-(trifluoromethyl)phenyl]-4pyrimidinyl]amino]-N,N-dimethyl- (CA INDEX NAME)

- RN 353755-73-4 HCAPLUS
- CN Acetamide, 2-[[5-chloro-6-(3-pyridiny1)-2-[4-(trifluoromethy1)pheny1]-4pyrimidiny1]amino]-N,N-dimethy1- (CA INDEX NAME)

- RN 353755-77-8 HCAPLUS
- CN Acetamide, 2-[[5-bromo-6-(3-pyridinyl)-2-[4-(trifluoromethyl)phenyl]-4pyrimidinyl]amino]-N,N-dimethyl- (CA INDEX NAME)

- RN 353755-79-0 HCAPLUS
- CN Acetamide, 2-[[5-chloro-6-(4-pyridinyl)-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]-N,N-dimethyl- (CA INDEX NAME)

- IT 263243-73-8P 350490-63-0P 350490-64-1P
 - 350490-84-5P 350490-87-8P 350490-90-3P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of heteroaryltrifluoromethylphenylpyrimidines and medicine compns. thereof)

- RN 263243-73-8 HCAPLUS
- CN 4(3H)-Pyrimidinone, 6-(4-pyridinyl)-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

- RN 350490-63-0 HCAPLUS
- CN 4(3H)-Pyrimidinone, 6-(2-pyridiny1)-2-[4-(trifluoromethy1)pheny1]- (CA INDEX NAME)

- RN 350490-64-1 HCAPLUS
- CN 4(3H)-Pyrimidinone, 6-(3-pyridinyl)-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

- RN 350490-84-5 HCAPLUS
- CN Acetamide, N,N-dimethyl-2-[[6-(3-pyridinyl)-2-[4-(trifluoromethyl)phenyl]4-pyrimidinyl]amino]- (CA INDEX NAME)

RN 350490-87-8 HCAPLUS

CN Acetamide, N,N-dimethyl-2-[[6-(2-pyridinyl)-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]- (CA INDEX NAME)

RN 350490-90-3 HCAPLUS

CN Acetamide, N,N-dimethyl-2-[[6-(4-pyridinyl)-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]- (CA INDEX NAME)

L55 ANSWER 29 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER:

2001:380560 HCAPLUS Full-text DOCUMENT NUMBER: 135:5621

TITLE: Preparation of

[5-chloro-6-phenyl-2-(4-trifluoromethylphenyl)-4-

pyrimidinylamino]acetamide derivatives as

antirheumatic agents, process for producing the same, medicinal compositions containing the same and

intermediate of these compounds INVENTOR(S):

Murata, Teruya; Ohno, Kazunori; Tanaka, Masayasu;

Itoh, Mari

PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 25 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PA: | PATENT NO. | | | | KIND DATE | | | APPLICATION NO. | | | | | DATE | | | | | |
|----------|------------|------|------|-----|-----------|-----|------|-----------------|-----|------|------|------|------|-----|-----|------|-----|---|
| WO | 2001 | | | | | | 2001 | 0525 | | | | | | | 2 | 0001 | 109 | < |
| | W: | AE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, | |
| | | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EE, | ES, | FI, | GB, | GD, | GE, | GH, | GM, | HR, | |
| | | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KR, | KZ, | LC, | LK, | LR, | LS, | LT, | LU, | |
| | | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NO, | NZ, | PL, | PT, | RO, | RU, | SD, | |
| | | SE, | SG, | SI, | SK, | SL, | TJ, | TM, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VN, | YU, | |
| | | ZA, | ZW | | | | | | | | | | | | | | | |
| | RW: | GH, | GM, | KE, | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | UG, | ZW, | AT, | BE, | CH, | CY, | |
| | | DE, | DK, | ES, | FI, | FR, | GB, | GR, | IE, | IT, | LU, | MC, | NL, | PT, | SE, | TR, | BF, | |
| | | ΒJ, | CF, | CG, | CI, | CM, | GA, | GN, | GW, | ML, | MR, | NE, | SN, | TD, | TG | | | |
| CA | 2390 | 259 | | | A1 | | 2001 | 0525 | | CA 2 | 000- | 2390 | 259 | | 2 | 0001 | 109 | < |
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| AU | 7800 | 48 | | | B2 | | 2005 | 0224 | | | | | | | | | | |
| EP | 1236 | 721 | | | A1 | | 2002 | 0904 | | EP 2 | 000- | 9748 | 34 | | 2 | 0001 | 109 | < |
| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, | |
| | | IE, | SI, | LT, | LV, | FI, | RO, | MK, | CY, | AL, | TR | | | | | | | |
| NZ | 5187 | 02 | | | A | | 2004 | 0430 | | NZ 2 | 000- | 5187 | 02 | | 2 | 0001 | 109 | < |
| CN | 1170 | | | | C | | 2004 | 1013 | | CN 2 | 000- | 8157 | 58 | | 2 | 0001 | 109 | < |
| US | 6620 | 817 | | | B1 | | 2003 | 0916 | | US 2 | 002- | 1301 | 51 | | 2 | 0020 | 513 | < |
| PRIORIT: | Y APP | LN. | INFO | . : | | | | | | JP 1 | 999- | 3262 | 90 | | A 1 | 9991 | 117 | < |
| | | | | | | | | | | wo 2 | 000- | JP78 | 54 | 1 | w 2 | 0001 | 109 | < |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT ED Entered STN: 27 May 2001

GI

AB [5-Chloro-6-phenyl-2-(4-trifluoromethylphenyl)-4- pyrimidinylamino|acetamide derivs. represented by general formula (I; Rl = Me, cyclopropyl; X = Cl) are prepared by chlorination of I (Rl = same as above; X = H]. Because of having a potent antirheumatic effect and a low toxicity, these compds. are useful as remedies and preventives for rheumatic diseases such as rheumatism. Behcet's disease and ankylosing spondylitis, and inflammatory immunol. diseases such as multiple sclerosis, systemic lupus erythematosus and inflammatory autoimmunol. diseases such as Sjoegren's syndrome. Thus, a mixture of 15.9 g I (Rl = Me, X = H) (preparation given), 6.4 g N-chlorosuccinimide, and 80 mL ACOH was stirred at 90° for 1.5 h to give 16 g I (Rl = Me, X = Cl) (II). II and I (Rl = cyclopropyl, X = Cl) (III) inhibited at 10 mg/kg per day for 5 days inhibited the collagen-induced arthritis in mice by 96.0 and 96.6%, resp. A tablet containing II and capsule and dispersant containing III were formulated.

T 340810-44-8P 340810-45-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of [chlorophenyl(fluoromethylphenyl)pyrimidinylamino]acetamide derivs. as antirheumatic agents)

IT 340011-60-1P 340011-61-2P 340011-65-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of [chloropheny1(fluoromethylpheny1)pyrimidinylamino]acetamide derivs, as antirheumatic agents)

IT 340810-44-8P 340810-45-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic

use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of [chlorophenyl(fluoromethylphenyl)pyrimidinylamino]acetamide derivs. as antirheumatic agents)

RN 340810-44-8 HCAPLUS

CN Acetamide, 2-[[5-chloro-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4pyrimidinyl]amino]-N,N-dimethyl- (CA INDEX NAME)

RN 340810-45-9 HCAPLUS

CN Acetamide, 2-[[5-chloro-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4pyrimidinyl]amino]-N-cyclopropyl-N-methyl- (CA INDEX NAME)

IT 340011-60-1P 340011-61-2P 340011-65-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of [chlorophenyl(fluoromethylphenyl)pyrimidinylamino]acetamide derivs. as antirheumatic agents)

340011-60-1 HCAPLUS

RN

CN 4(3H)-Pyrimidinone, 6-phenyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 340011-61-2 HCAPLUS

Acetamide, N,N-dimethyl-2-[[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-CN pyrimidinyl]amino]- (CA INDEX NAME)

340011-65-6 HCAPLUS DM

CN Acetamide, N-cyclopropyl-N-methyl-2-[[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]- (CA INDEX NAME)

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 30 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN 2001:372157 HCAPLUS Full-text ACCESSION NUMBER:

DOCUMENT NUMBER: 134:366894 TITLE:

Preparation of 2-(4-trifluoromethylphenyl)-4-aminopyrimidines as

remedies for autoimmune inflammatory diseases

INVENTOR(S): Murata, Akiya; Kondo, Masanori; Ohno, Kazunori; Tanaka, Masayasu; Ito, Masato

PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.

CODEN: JKXXAF DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|------------|-----------------|------------|
| | | | | |
| JP 2001139560 | A | 20010522 | JP 1999-326299 | 19991117 < |
| PRIORITY APPLN. INFO.: | | | JP 1999-326299 | 19991117 < |
| | | 134:366894 | | |
| | | | | |

ED Entered STN: 24 May 2001

AB The title compds. I [R1 = H, alkyl, etc.; R2 = alkyl, etc.; further detail on R1 and R2 is given; R3 = halo, etc.; R4 = alkyl, (un)substituted Ph, etc.] are prepared I [NR1R2 = NHCH2CH(OH)Me; R3 = C1; R4 = phenyl] at 3 mg/kg/day orally (5 days/wk; for 7.4 wk) gave 98.2 % inhibition of collagen-induced arthritis in mice. Formulations are given.

ΙT 340149-33-92 340149-37-3P 340149-40-8P 340149-43-1P 340149-45-3P 340149-47-52 340149-49-7P 340149-51-1P 340149-53-3P 340149-55-5P 340149-57-7P 340149-59-9P 340149-61-3P 340149-63-5P 340149-65-7P

340149-67-9P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); TRU (Therapeutic

use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of aminopyrimidines as remedies for autoimmune

inflammatory diseases)

340011-60-1P 340149-71-5P 340149-73-72 340149-75-9P 340149-77-1P 340149-79-3P 340149-81-7P 340149-83-9P 340149-85-1P 340149-87-3P 340149-89-5P 340149-91-92 340149-93-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aminopyrimidines as remedies for autoimmune inflammatory diseases)

340149-33-92 340149-37-3P ΙT 340149-40-8P 340149-43-1P 340149-45-3P 340149-47-5P 340149-49-7P 340149-51-1P 340149-53-3P 340149-55-5P 340149-57-7P 340149-59-9P 340149-61-3P 340149-63-5P 340149-65-7P 340149-67-99

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); TRU (Thexapautic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminopyrimidines as remedies for autoimmune inflammatory diseases)

RN 340149-33-9 HCAPLUS

CN Ethanol, 2-[[5-chloro-6-phenvl-2-[4-(trifluoromethvl)phenvl]-4-

pyrimidinyl]amino]- (CA INDEX NAME)

RN 340149-37-3 HCAPLUS

CN 4-Pyrimidinamine, 5-chloro-N-(2-methoxyethy1)-6-pheny1-2-[4-(trifluoromethy1)pheny1]- (CA INDEX NAME)

RN 340149-40-8 HCAPLUS

CN 4-Pyrimidinamine, 5-bromo-N-(2-methoxyethyl)-6-phenyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 340149-43-1 HCAPLUS

CN 2-Propanol, 1-[[5-chloro-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]- (CA INDEX NAME)

RN 340149-45-3 HCAPLUS

CN 2-Propanol, 1-[[5-bromo-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4pyrimidinyl]amino]- (CA INDEX NAME)

- RN 340149-47-5 HCAPLUS
- CN 1-Propanol, 3-[[5-chloro-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 340149-49-7 HCAPLUS
- CN 1,2-Propanediol, 3-[[5-chloro-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4pyrimidinyl]amino]- (CA INDEX NAME)

- RN 340149-51-1 HCAPLUS
- CN Ethanol, 2,2'-[[5-chloro-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4pyrimidinyl]imino]bis- (CA INDEX NAME)

- RN 340149-53-3 HCAPLUS
- CN Ethanol, 2-[[5-chloro-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl](1-methylethyl)amino]- (CA INDEX NAME)

- RN 340149-55-5 HCAPLUS
- CN 3-Pyrrolidinol, 1-[5-chloro-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4pyrimidinyl]- (CA INDEX NAME)

- RN 340149-57-7 HCAPLUS
- CN 3-Piperidinol, 1-[5-chloro-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4pyrimidinyl]- (CA INDEX NAME)

- RN 340149-59-9 HCAPLUS
- CN 2-Piperidinemethanol, 1-[5-chloro-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4pyrimidinyl]- (CA INDEX NAME)

- RN 340149-61-3 HCAPLUS
- CN 1,2-Ethanediamine, N2-[5-chloro-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4pyrimidinyl]-N1,N1-dimethyl-, hydrochloride (1:1) (CA INDEX NAME)

RN 340149-63-5 HCAPLUS

CN 1,2-Ethanediamine, N2-[5-bromo-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4pyrimidinyl]-N1,N1-dimethyl-, hydrochloride (1:1) (CA INDEX NAME)

RN 340149-65-7 HCAPLUS

CN 4-Pyrimidinamine, 5-chloro-N-(2-methylpropyl)-6-phenyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 340149-67-9 HCAPLUS

CN 1,2-Ethanediamine, N2-[5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]-4pyrimidinyl]-N1,N1-dimethyl- (CA INDEX NAME)

IT 340011-60-1P 340149-71-5P 340149-73-7P 340149-73-7P 340149-77-1P 340149-79-3P 340149-81-7P 340149-83-9P 340149-85-1P 340149-87-3P 340149-89-5P 340149-91-9P

340149-93-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aminopyrimidines as remedies for autoimmune inflammatory diseases)

RN 340011-60-1 HCAPLUS

NAME)

NAME

(CA INDEX NAME)

RN 340149-71-5 HCAPLUS

CN 4-Pyrimidinamine, N-(2-methoxyethyl)-6-phenyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 340149-73-7 HCAPLUS

CN 2-Propanol, 1-[[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4pyrimidinyl]amino]- (CA INDEX NAME)

RN 340149-75-9 HCAPLUS

CN 1-Propanol, 3-[[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4pyrimidinyl]amino]- (CA INDEX NAME)

- RN 340149-77-1 HCAPLUS
- CN 1,2-Propanediol, 3-[[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 340149-79-3 HCAPLUS
- CN Ethanol, 2,2'-[[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4pyrimidinyl]imino]bis- (CA INDEX NAME)

- RN 340149-81-7 HCAPLUS
- CN Ethanol, 2-[(1-methylethyl)[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4pyrimidinyl]amino]- (CA INDEX NAME)

- RN 340149-83-9 HCAPLUS
- CN 3-Pyrrolidinol, 1-[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]-(CA INDEX NAME)

RN 340149-85-1 HCAPLUS

CN 3-Piperidinol, 1-[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]-(CA INDEX NAME)

RN 340149-87-3 HCAPLUS

CN 2-Piperidinemethanol, 1-[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4pyrimidinyl]- (CA INDEX NAME)

RN 340149-89-5 HCAPLUS

CN 1,2-Ethanediamine, N1,N1-dimethyl-N2-[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]- (CA INDEX NAME)

RN 340149-91-9 HCAPLUS

CN 4-Pyrimidinamine, N-(2-methylpropy1)-6-pheny1-2-[4-

(trifluoromethyl)phenyl]- (CA INDEX NAME)

340149-93-1 HCAPLUS

CN Ethanol, 2-[[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]-(CA INDEX NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L55 ANSWER 31 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2001:369711 HCAPLUS Full-text

DOCUMENT NUMBER: 134:366892 TITLE:

Preparation of

5-halogeno-6-phenyl-2-(4-trifluoromethylphenyl)-4pyrimidinylamino]acetamides and compositions for

treatment of immune inflammation INVENTOR(S): Murata, Akiya; Ohno, Kazunori; Tanaka, Masayasu; Ito,

Mari

PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan

Jpn. Kokai Tokkyo Koho, 10 pp. SOURCE: CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE --------------JP 2001139559 A 20010522 JP 1999-326295 19991117 <--PRIORITY APPLN. INFO.: JP 1999-326295 19991117 <--

OTHER SOURCE(S): MARPAT 134:366892

ED Entered STN: 23 May 2001

GΙ

Title compds. I [R1 = Me, Et; R2 = Me, Et, iso-Pr, cyclopropyl; X = C1, Br; (R1, R2, X) ≠ (Me, Me, C1), (Me, cyclopropyl, C1)], useful for treatment of rheumatoid arthritis, Behcet's disease, myelitis, multiple sclerosis, systemic lupus erythematosus, Sjogren's syndrome, are prepared N,N-dimethyl-2-[6phenyl-2-(4-trifluoromethylphenyl)-4- pyrimidinylaminolacetamide (1.1 q) was reacted with N-bromosuccinimide in AcOH at 90° for 1 h to give 1 g 2-[5-bromo-6-phenyl-2-(4-trifluoromethylphenyl)-4-pyrimidinylamino]-N, Ndimethylacetamide showing 96.0% inhibitory activity against arthritis in mouse.

340011-66-7P 340011-67-8P 340011-68-9P 340011-69-0P 340011-70-3P 340011-71-4P 340011-72-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of

halophenyl(trifluoromethylphenyl)pyrimidinylamino]acetamides and compns. for treatment of immune inflammation)

340011-60-1P 340011-61-2P 340011-62-3P 340011-64-5P 340011-63-4P 340011-65-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of

halophenvl(trifluoromethylphenvl)pyrimidinylaminolacetamides

and compns. for treatment of immune inflammation)

340011-66-7P 340011-67-8P 340011-68-9P 340011-69-0P 340011-70-3P 340011-71-4P

340011-72-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of

halophenvl(trifluoromethvlphenvl)pvrimidinvlaminolacetamides and compns. for treatment of immune inflammation)

RN 340011-66-7 HCAPLUS

CN Acetamide, 2-[[5-bromo-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4pyrimidinyllaminol-N, N-dimethyl- (CA INDEX NAME)

- RN 340011-67-8 HCAPLUS
- CN Acetamide, 2-[[5-chloro-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4pyrimidinyl]amino]-N,N-diethyl- (CA INDEX NAME)

- RN 340011-68-9 HCAPLUS
- CN Acetamide, 2-[[5-bromo-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4pyrimidinyl]amino]-N,N-diethyl- (CA INDEX NAME)

- RN 340011-69-0 HCAPLUS
- CN Acetamide, 2-[[5-bromo-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]-N-cyclopropyl-N-methyl- (CA INDEX NAME)

- RN 340011-70-3 HCAPLUS
- CN Acetamide, 2-[[5-chloro-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4pyrimidinyl]amino]-N-methyl-N-(1-methylethyl)- (CA INDEX NAME)

- RN 340011-71-4 HCAPLUS
- CN Acetamide, 2-[[5-bromo-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4pyrimidinyl]amino]-N-methyl-N-(1-methylethyl)- (CA INDEX NAME)

- RN 340011-72-5 HCAPLUS
- CN Acetamide, 2-[[5-bromo-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]-N-ethyl-N-(1-methylethyl)- (CA INDEX NAME)

IT 340011-60-1p 340011-61-2p 340011-62-3p 340011-63-4p 340011-64-5p 340011-65-6p

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of

halophenyl(trifluoromethylphenyl)pyrimidinylamino]acetamides and compns. for treatment of immune inflammation)

- RN 340011-60-1 HCAPLUS
- CN 4(3H)-Pyrimidinone, 6-phenyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

- RN 340011-61-2 HCAPLUS
- CN Acetamide, N,N-dimethyl-2-[[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]- (CA INDEX NAME)

RN 340011-62-3 HCAPLUS

CN Acetamide, N,N-diethyl-2-[[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4pyrimidinyl]amino]- (CA INDEX NAME)

RN 340011-63-4 HCAPLUS

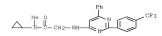
CN Acetamide, N-methyl-N-(1-methylethyl)-2-[[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]- (CA INDEX NAME)

RN 340011-64-5 HCAPLUS

CN Acetamide, N-ethyl-N-(1-methylethyl)-2-[[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]- (CA INDEX NAME)

RN 340011-65-6 HCAPLUS

CN Acetamide, N-cyclopropyl-N-methyl-2-[[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]- (CA INDEX NAME)



L55 ANSWER 32 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2001:366094 HCAPLUS Full-text

DOCUMENT NUMBER: 134:366890

TITLE:

Preparation of

[2-(4-trifluoromethylphenyl)-4-

pyrimidinylaminolacetamides for treatment of immune inflammation

INVENTOR(S): Murata, Akiva; Kondo, Masanori; Ohno, Kazunori;

Tanaka, Masayasu; Ito, Mari

PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.

CODEN: JKXXAF DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | | | |
|------------------------|--------|------------|-----------------|------------|--|--|--|
| | | | | | | | |
| JP 2001139558 | A | 20010522 | JP 1999-324719 | 19991115 < | | | |
| PRIORITY APPLN. INFO.: | | | JP 1999-324719 | 19991115 < | | | |
| OTHER SOURCE(S): | MARPAT | 134:366890 | | | | | |

ED Entered STN: 22 May 2001

- Title compds. I (A = H, lower alkyl, cycloalkyl, F3C, halo, etc.; X = H, halo, AB lower alkyl, HOCH2, lower alkoxymethyl, NO2, etc.; R = H, lower alkyl), useful for treatment of rheumatoid arthritis, Behcet's disease, myelitis, multiple sclerosis, systemic lupus erythematosus, Sjogren's syndrome, are prepared Et 2-[5,6-dimethyl-2-(4-trifluoromethylphenyl)-4- pyrimidinylaminolacetate (1.1 q) was treated with aqueous NH3 in at room temperature for 48 h to give 0.8 q 2-[5,6-dimethyl-2-(4-trifluoromethylphenyl)-4- pyrimidinylaminolacetamide showing 100% inhibitory activity against arthritis in mouse.
- 340008-61-9P 340008-62-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of [(trifluoromethylphenyl)pyrimidinylamino]acetamides for treatment of immune inflammation)

T 340008-56-2P 340008-57-3P 340008-59-5P

340008-60-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of [(trifluoromethylphenyl)pyrimidinylamino]acetamides for treatment of immune inflammation)

IT 340008-61-9P 340008-62-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of [(trifluoromethylphenyl)pyrimidinylamino]acetamides for treatment of immune inflammation)

RN 340008-61-9 HCAPLUS

CN Acetamide, 2-[[5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]-4pyrimidinyl]amino]- (CA INDEX NAME)

RN 340008-62-0 HCAPLUS

CN Acetamide, 2-[[5-chloro-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4pyrimidinyl]amino]- (CA INDEX NAME)

II 340008-56-2P 340008-57-3P 340008-59-5P

340008-60-8P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(preparation of [(trifluoromethylphenyl)pyrimidinylamino]acetamides for treatment of immune inflammation)

RN 340008-56-2 HCAPLUS

CN Glycine, N-[5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]-, ethyl ester (CA INDEX NAME)

RN 340008-57-3 HCAPLUS

CN Glycine, N-[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]-, ethyl ester (CA INDEX NAME)

RN 340008-59-5 HCAPLUS

CN Glycine, N-[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]- (CA INDEX NAME)

RN 340008-60-8 HCAPLUS

CN Glycine, N-[5-chloro-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]- (CA INDEX NAME)

L55 ANSWER 33 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 1999:511159 HCAPLUS Full-text DOCUMENT NUMBER: 131:157709

TITLE:

derivatives as neuropeptide Y receptor antagonists

Norman, Mark H.; Chen, Ning; Han, Nianhe; Liu,
Longbin; Hurt, Clarence R.; Fotsch, Christopher H.;

Jenkins, Tracy J.; Moreno, Ofir A.

Preparation of bicyclic pyridine and pyrimidine

PATENT ASSIGNEE(S): Amgen Inc., USA

SOURCE: PCT Int. Appl., 469 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| | | | | | | KIND DATE APPLICATION NO. | | | | | | | | | | | | |
|---------|------------------|------|------|-----|-----|---------------------------|------|--------------|-----|------|------|------|-----|-----|-----|------|-----|---|
| | | | | | | | | WO 1999-US25 | | | | | | | | | | |
| | W: | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | CA, | CH, | CN, | CU, | CZ, | DE, | |
| | | DK, | EE, | ES, | FI, | GB, | GD, | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | |
| | | KE, | KG, | KP, | KR, | KZ, | LC, | LK, | LR, | LS, | LT, | LU, | LV, | MD, | MG, | MK, | MN, | |
| | | MW, | MX, | NO, | NZ, | PL, | PT, | RO, | RU, | SD, | SE, | SG, | SI, | SK, | SL, | TJ, | TM, | |
| | | TR, | TT, | UA, | UG, | UZ, | VN, | YU, | ZW | | | | | | | | | |
| | RW: | GH, | GM, | KE, | LS, | MW, | SD, | SZ, | UG, | ZW, | AT, | BE, | CH, | CY, | DE, | DK, | ES, | |
| | | FI, | FR, | GB, | GR, | IE, | IT, | LU, | MC, | NL, | PT, | SE, | BF, | BJ, | CF, | CG, | CI, | |
| | | | | | | | MR, | | | | | | | | | | | |
| U | S 6187 | 777 | | | B1 | | 2001 | 0213 | | US 1 | 999- | 2467 | 75 | | 1 | 9990 | 204 | < |
| C | A 2319 | 275 | | | A1 | | 1999 | 0812 | | CA 1 | 999- | 2319 | 275 | | 1 | 9990 | 205 | < |
| CZ | A 2319 | 275 | | | C | | 2007 | 1016 | | | | | | | | | | |
| A | J 9926 J 7479 | 590 | | | A | | 1999 | 0823 | | AU 1 | 999- | 2659 | 0 | | 1 | 9990 | 205 | < |
| A | J 7479 | 20 | | | B2 | | 2002 | 0530 | | | | | | | | | | |
| E | P 1054 | 887 | | | A1 | | 2000 | 1129 | | EP 1 | 999- | 9067 | 56 | | 1 | 9990 | 205 | < |
| E | P 1054 | 887 | | | B1 | | 2006 | 0412 | | | | | | | | | | |
| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, | |
| | | | | | | | RO, | | | | | | | | | | | |
| JI | P 2003 | 5022 | 72 | | T | | 2003 | 0121 | | JP 2 | 000- | 5305 | 20 | | 1 | 9990 | 205 | < |
| A. | г 3230 | 88 | | | T | | 2006 | 0415 | | AT 1 | 999- | 9067 | 56 | | 1 | 9990 | 205 | < |
| P. | г 1054 | 887 | | | Ε | | 2006 | 0630 | | PT 1 | 999- | 9067 | 56 | | 1 | 9990 | 205 | < |
| E: | S 2257 | 851 | | | Т3 | | 2006 | 0801 | | ES 1 | 999- | 9067 | 56 | | 1 | 9990 | 205 | < |
| Z | A 9900 | 1967 | | | A | | 1999 | 0806 | | ZA 1 | 999- | 967 | | | 1 | 9990 | 208 | < |
| M | X 2000 | 0076 | 62 | | A | | 2001 | 0219 | | MX 2 | 000- | 7662 | | | 2 | 0000 | 804 | < |
| U | 5 6583 | 154 | | | B1 | | 2003 | 0624 | | | | | | | | | | |
| PRIORI: | TY APP | LN. | INFO | .: | | | | | | US 1 | | | | | | | | |
| | | | | | | | | | | US 1 | | | | | | | | |
| | | | | | | | | | | US 1 | | | | | | | | |
| | | | | | | | | | | US 1 | | | | | | | | |
| | | | | | | | | | | US 1 | 999- | 2467 | 75 | | A 1 | 9990 | 204 | < |
| | | | | | | | | | | WO 1 | | | | | | 9990 | 205 | < |
| | | | | | | | | | | | | | | | | | | |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 131:157709

ED Entered STN: 18 Aug 1999 GI

$$\begin{array}{c|c} R & & \\ & & \\ & & \\ R^3 & & \\ \end{array}$$

AB

Title compds.[I; R = H, CH3, (CH3)2CH, SCH3, CH3CH2, NH2, CF3, NHCOC6H5, cyclopropyl, CH2OH, (CH3)2CH2CH2, N(CH3)2, OCH3, NHCH3, NH(CH2)4NH2; R1 = NH, S, NCH3, O; R2 = H, COCH3, C6H5, CH3, CH3CH2; R3 = NH2, CH3, NHC6H5,

10/595.734

N(CH2CH3)2, (CH3CH2)N(CH2)3CH3, (CH3)N(CH2)2NHCH3, N(CH3)CH(CH3)CH(Ph)OH, (CH3CH2)NCH2C(CH3):CH2, NHCH2CF3, NHCH2CH2C6H5, NH(CH2)3OCH2CH3, 4-C1C6H4, 4-CH30C6H5, 2-thienyl, 1-pyrrolidinyl, 1-piperidinyl, 4-morpholinyl, 1piperazinyl, 3-pyridyl; R4 = C6H5, 4-CH3C6H4, 4-ClC6H4, (CH3)3C, 4-FC6H4, 3-HOC6H4, 2-pyridyl, cyclohexyl, 2-furyl, 2-FC6H4 2-thienyl, 1-adamantyl, CH3, 4-CH30C6H4; X = N, CH; etc.], pharmaceutical acceptable salts, ester, solvate, and N-oxide are prepared and tested as neuropeptide Y receptor antagonists in the modulation of feeding behavior, obesity, diabetes, cancer, inflammatory disorders, depression, stress related disorders, Alzheimer's disease and other disease conditions. Thus, the title compound I (R = CH3; R1 = NH; X = N; R2 = H; R3 = N(CH2CH3)2; R4 = C6H5) was prepared

237435-23-32

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrrolopyridine and pyrrolopyrimidine derivs. as neuropeptide Y receptor antagonists)

ΤТ 237435-23-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrrolopyridine and pyrrolopyrimidine derivs. as neuropeptide Y receptor antagonists)

237435-23-3 HCAPLUS RN

CN Benzamide, N-[2-(4-chlorophenyl)-1,6-dihydro-4-methyl-6-oxo-5-pyrimidinyl]-(CA INDEX NAME)

OS.CITING REF COUNT: 26 THERE ARE 26 CAPLUS RECORDS THAT CITE THIS

RECORD (30 CITINGS)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 34 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1998:314282 HCAPLUS Full-text DOCUMENT NUMBER: 129:54385

ORIGINAL REFERENCE NO.: 129:11337a,11340a

TITLE: Preparation of acetic acid amide derivatives as drugs INVENTOR(S): Murata, Akiya; Hino, Katsuhiko; Furukawa, Kiyoshi;

Oka, Makoto; Ito, Mari

PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan

Jpn. Kokai Tokkyo Koho, 44 pp. SOURCE:

CODEN: JKXXAF Patent DOCUMENT TYPE:

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--------|-----------|------------------|------------|
| | | | | |
| JP 10130150 | A | 19980519 | JP 1997-257573 | 19970905 < |
| PRIORITY APPLN. INFO.: | | | JP 1996-257704 A | 19960905 < |
| OTHER SOURCE(S): | MARPAT | 129:54385 | | |

AB The title compds. [I; X = 0, NR4; Rl = H, (un)substituted lower alkyl or alkenyl, etc.; R2 = cycloalkyl, lower alkyl, (un)substituted Ph, etc.; R3 = H, alkyl, hydroxyalkyl, etc.; R4 = H, alkyl, or combine with R3 and N to form a pyrrolidine or piperidine; R5 = H, lower alkyl or alkenyl, hydroxyalkyl, CF3, etc.; R6 = H, lower alkyl, CF3, etc.; R7 = H, halo, lower alkyl, etc.; R8 = H, halo, lower alkyl, etc.; R8 = H, halo, lower alkyl, etc.; R6 = H, halo, lower alkyl, etc.] are prepared I, possessing affinity toward the benzodiazepine receptor, are useful for prevention and treatment of melancholia, insecure related diseases, central nervous system diseases, and immunity inflammation diseases. Thus, 4-chloro-5,6-dimethyl-2-phenylpyrimidine was reacted with 2-mino-N, N-dipropylacetamide in the presence of Et3N to give I (R1 = R2 = n-Pr, R3 = R7 = R8 = H, R5 = R6 = Me, X = NH), which showed IC50 of 3.10 nM with abenzodiazepine receptor (BZ03) when tested with rat. A formulation containing I was also prepared

184107-66-2P 184107-67-3P 184107-68-4P 184107-70-8P 184107-69-5P 184107-71-9P 184107-74-2P 184107-75-3P 184107-76-4P 184107-79-7P 184107-80-0P 184107-83-3P 184107-84-4P 184107-85-5P 184107-86-6P 184107-87-7P 184107-92-4P 184107-96-8P 184107-97-9P 184107-98-0P 184108-00-7P 184108-03-0P 184108-04-1P 184108-05-2P 184108-07-4P 184108-08-5P 184108-10-9P 184108-11-0P 184108-12-1P 184108-13-2P 184108-14-3P 184108-15-4P 184108-18-7P 184108-19-8P 184108-20-1P 184108-24-5P 184108-25-6P 184108-27-8P 184108-33-6P 184108-36-9P 184108-37-0P 184108-39-2P 184108-59-6P 184108-40-5P 184108-43-8P 184108-63-2P 184109-03-3P 184109-04-4P 184109-05-5P 184109-06-6P 184109-07-79 184109-08-8P 184109-10-2P 184109-11-3P 184109-12-4P 184109-13-5P 184109-14-6P 184109-16-8P 184109-18-0P 184109-19-1P 184109-20-4P 184109-21-5P 184109-30-6P 184109-31-7P 184109-42-0P 184109-64-6P 184109-65-7P 184109-66-8P 184109-67-9P 184109-68-0P 184109-69-1P 184109-70-4P 208467-84-9P 208467-85-0P 208467-87-2P 208468-43-3P 208468-61-5P 208468-62-6P 208468-63-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

```
(preparation of acetic acid amide derivs. as drugs)
92577-32-7
RL: RCT (Reactant); RACT (Reactant or reagent)
   (preparation of acetic acid amide derivs. as drugs)
19927-82-3P 36935-59-8P 180606-46-6P
184109-72-6P
              184109-73-7P 184109-74-8P
184109-76-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
   (preparation of acetic acid amide derivs. as drugs)
184107-66-2P 184107-67-3P 184107-68-4P
184107-69-5P
             184107-70-82
                           184107-71-9p
184107-74-29
              184107-75-3P
                            184107-76-4P
184107-79-7P
              184107-80-0P
                             184107-83-3P
184107-84-4P 184107-85-5P
                            184107-86-6P
                            184107-96-8P
184107-87-7P 184107-92-4P
184107-97-9P 184107-98-0P
                            184108-00-7P
                            184108-05-2P
184108-03-0P
             184108-04-1P
184108-07-4P
             184108-08-5P
                            184108-10-9P
184108-11-0P
              184108-12-1P
                            184108-13-2P
184108-14-3P 184108-15-4P
                            184108-18-7P
184108-19-8P 184108-20-1P 184108-24-5P
184108-25-6P 184108-27-8P 184108-33-6P
184108-36-9P 184108-37-0P 184108-39-2P
184108-40-5P
             184108-43-8P 184108-59-6P
184108-63-2P
             184109-03-3P
                            184109-04-4P
184109-05-5P
              184109-06-6P
                            184109-07-7P
184109-08-8P
             184109-10-2P
                            184109-11-3P
184109-12-4P 184109-13-5P
                            184109-14-60
184109-16-8P 184109-18-0P 184109-19-1P
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184109-68-0P 208467-84-9P 208468-43-3P 208468-63-7P

184109-31-7P 184109-65-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

184109-67-9P

184109-70-4P

208467-87-22

(preparation of acetic acid amide derivs, as drugs)

184109-42-0P 184109-64-6P

208468-61-5P 208468-62-6P

184109-20-4P 184109-21-5P 184109-30-6P

184109-66-8P

184109-69-1P

208467-85-0P

184107-66-2 HCAPLUS RN

CM Acetamide, 2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]aminol-N,Ndipropyl- (CA INDEX NAME)

¹⁸⁴¹⁰⁷⁻⁶⁷⁻³ HCAPLUS RN

CM Acetamide, 2-[[2-(3-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N,Ndipropyl- (CA INDEX NAME)

- RN 184107-68-4 HCAPLUS
- CN Acetamide, 2-[[2-(4-fluorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N,N-dipropyl- (CA INDEX NAME)

- RN 184107-69-5 HCAPLUS
- CN Acetamide, 2-[[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N,Ndipropyl- (CA INDEX NAME)

- RN 184107-70-8 HCAPLUS
- CN Acetamide, 2-[[5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]-N,N-dipropyl- (CA INDEX NAME)

- RN 184107-71-9 HCAPLUS
- CN Acetamide, 2-[[5,6-dimethyl-2-(4-nitrophenyl)-4-pyrimidinyl]amino]-N,N-dipropyl- (CA INDEX NAME)

- RN 184107-74-2 HCAPLUS
- CN Acetamide, 2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N,N-diethyl- (CA INDEX NAME)

- RN 184107-75-3 HCAPLUS
- CN Acetamide, N,N-diethyl-2-[[2-(4-fluorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 184107-76-4 HCAPLUS
- CN Acetamide, N,N-diethyl-2-[[2-(4-methoxyphenyl)-5,6-dimethyl-4pyrimidinyl]amino]- (CA INDEX NAME)

- RN 184107-79-7 HCAPLUS
- CN Acetamide, N,N-dibutyl-2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 184107-80-0 HCAPLUS
- CN Acetamide, N,N-dibutyl-2-[[2-(4-fluorophenyl)-5,6-dimethyl-4pyrimidinyl]amino]- (CA INDEX NAME)

- RN 184107-83-3 HCAPLUS
- CN Acetamide, 2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-methyl-N-phenyl- (CA INDEX NAME)

- RN 184107-84-4 HCAPLUS
- CN Acetamide, 2-[[2-(3-chloropheny1)-5,6-dimethy1-4-pyrimidiny1]amino]-N-methy1-N-pheny1- (CA INDEX NAME)

- RN 184107-85-5 HCAPLUS
- CN Acetamide, 2-[[2-(4-fluorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-methyl-N-phenyl- (CA INDEX NAME)

- RN 184107-86-6 HCAPLUS
- CN Acetamide, 2-[[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-methyl-N-phenyl- (CA INDEX NAME)

- RN 184107-87-7 HCAPLUS
- CN Acetamide, 2-[[5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]-4pyrimidinyl]amino]-N-methyl-N-phenyl- (CA INDEX NAME)

- RN 184107-92-4 HCAPLUS
- CN Acetamide, 2-[[5,6-dimethyl-2-(4-nitrophenyl)-4-pyrimidinyl]amino]-N-methyl-N-phenyl- (CA INDEX NAME)

- RN 184107-96-8 HCAPLUS
- CN Acetamide, N-(4-chloropheny1)-2-[[2-(4-chloropheny1)-5,6-dimethy1-4-pyrimidiny1]amino]-N-methy1- (CA INDEX NAME)

- RN 184107-97-9 HCAPLUS
- CN Acetamide, N-(4-chloropheny1)-2-[[2-(4-fluoropheny1)-5,6-dimethy1-4-pyrimidiny1]amino]-N-methyl- (CA INDEX NAME)

- RN 184107-98-0 HCAPLUS
- CN Acetamide, N-(4-chlorophenyl)-2-[[2-(4-methoxyphenyl)-5,6-dimethyl-4pyrimidinyl]amino]-N-methyl- (CA INDEX NAME)

- RN 184108-00-7 HCAPLUS
- CN Acetamide, 2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-(4-fluorophenyl)-N-methyl- (CA INDEX NAME)

- RN 184108-03-0 HCAPLUS
- CN Acetamide, N-(4-bromophenyl)-2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-methyl- (CA INDEX NAME)

- RN 184108-04-1 HCAPLUS
- CN Acetamide, N-(4-bromophenyl)-2-[[2-(4-fluorophenyl)-5,6-dimethyl-4pyrimidinyl]amino]-N-methyl- (CA INDEX NAME)

- RN 184108-05-2 HCAPLUS
- CN Acetamide, N-(4-bromophenyl)-2-[[2-(4-methoxyphenyl)-5,6-dimethyl-4pyrimidinyl]amino]-N-methyl- (CA INDEX NAME)

- RN 184108-07-4 HCAPLUS
- CN Acetamide, 2-[[2-(4-chloropheny1)-5,6-dimethy1-4-pyrimidiny1]amino]-N-(4-methoxypheny1)-N-methy1- (CA INDEX NAME)

- RN 184108-08-5 HCAPLUS
- CN Acetamide, 2-[[2-(4-fluorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-(4-methoxyphenyl)-N-methyl- (CA INDEX NAME)

- RN 184108-10-9 HCAPLUS
- CN Acetamide, 2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-ethyl-N-phenyl- (CA INDEX NAME)

- RN 184108-11-0 HCAPLUS
- CN Acetamide, 2-[[2-(3-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-Nethyl-N-phenyl- (CA INDEX NAME)

- RN 184108-12-1 HCAPLUS
- CN Acetamide, N-ethyl-2-[[2-(4-fluorophenyl)-5,6-dimethyl-4pyrimidinyl]amino]-N-phenyl- (CA INDEX NAME)

- RN 184108-13-2 HCAPLUS
- CN Acetamide, N-ethyl-2-[[2-(4-methoxyphenyl)-5,6-dimethyl-4pyrimidinyl]amino]-N-phenyl- (CA INDEX NAME)

- RN 184108-14-3 HCAPLUS
- CN Acetamide, 2-[[5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]-4pyrimidinyl]amino]-N-ethyl-N-phenyl- (CA INDEX NAME)

- RN 184108-15-4 HCAPLUS
- CN Acetamide, 2-[[5,6-dimethyl-2-(4-nitrophenyl)-4-pyrimidinyl]amino]-N-ethyl-N-phenyl- (CA INDEX NAME)

- RN 184108-18-7 HCAPLUS
- CN Acetamide, 2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-phenyl-N-propyl- (CA INDEX NAME)

- RN 184108-19-8 HCAPLUS
- CN Acetamide, 2-[[2-(4-fluorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-Nphenyl-N-propyl- (CA INDEX NAME)

- RN 184108-20-1 HCAPLUS
- CN Acetamide, 2-[[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-phenyl-N-propyl- (CA INDEX NAME)

- RN 184108-24-5 HCAPLUS
- CN Acetamide, 2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-

phenyl-N-2-propen-1-yl- (CA INDEX NAME)

- RN 184108-25-6 HCAPLUS
- CN Acetamide, 2-[[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-phenyl-N-2-propen-1-yl- (CA INDEX NAME)

- RN 184108-27-8 HCAPLUS

- RN 184108-33-6 HCAPLUS
- CN Morpholine, 4-[[[2-(4-chlorophenyl)-5,6-dimethyl-4 pyrimidinyl]amino]acetyl]-2,6-dimethyl- (9CI) (CA INDEX NAME)

- RN 184108-36-9 HCAPLUS
- CN Acetamide, 2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]ethylamino]-N,N-dipropyl- (CA INDEX NAME)

$$(n-\text{Pr})\,2N - C - CH_2 - N - N - C$$

- RN 184108-37-0 HCAPLUS
- CN Acetamide, 2-[ethyl[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N,N-dipropyl- (CA INDEX NAME)

- RN 184108-39-2 HCAPLUS
- CN Acetamide, 2-[[2-(4-fluoropheny1)-5,6-dimethyl-4-pyrimidiny1]methylamino]-N-methyl-N-phenyl- (CA INDEX NAME)

- RN 184108-40-5 HCAPLUS
- CN Acetamide, 2-[[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]methylamino]-N-methyl-N-phenyl- (CA INDEX NAME)

- RN 184108-43-8 HCAPLUS
- CN Acetamide, 2-[[2-(4-chloropheny1)-5,6-dimethy1-4-pyrimidiny1]ethylamino]-N-

methyl-N-phenyl- (CA INDEX NAME)

RN 184108-59-6 HCAPLUS

CN Acetamide, 2-[[2-(4-chloropheny1)-6-pheny1-4-pyrimidiny1]amino]-N,N-dipropy1- (CA INDEX NAME)

RN 184108-63-2 HCAPLUS

CN Acetamide, 2-[[2-(4-chlorophenyl)-5-methyl-6-phenyl-4-pyrimidinyl]amino]-N,N-dipropyl- (CA INDEX NAME)

RN 184109-03-3 HCAPLUS

CN Acetamide, 2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N,N-dipropyl- (CA INDEX NAME)

RN 184109-04-4 HCAPLUS

CN Acetamide, 2-[[2-(4-fluorophenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N,N-

dipropyl- (CA INDEX NAME)

- RN 184109-05-5 HCAPLUS
- CN Acetamide, 2-[[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N,N-dipropyl- (CA INDEX NAME)

- RN 184109-06-6 HCAPLUS
- CN Acetamide, 2-[[2-(3-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N,Ndipropyl- (CA INDEX NAME)

- RN 184109-07-7 HCAPLUS
- CN Acetamide, 2-[[5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]oxy]-N,N-dipropyl- (CA INDEX NAME)

- RN 184109-08-8 HCAPLUS
- CN Acetamide, 2-[[5,6-dimethyl-2-(4-nitrophenyl)-4-pyrimidinyl]oxy]-N,N-dipropyl- (CA INDEX NAME)

10/595.734

- RN 184109-10-2 HCAPLUS
- CN Acetamide, 2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N-methyl-N-phenyl- (CA INDEX NAME)

- RN 184109-11-3 HCAPLUS
- CN Acetamide, 2-[[2-(3-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N-methyl-N-phenyl- (CA INDEX NAME)

- RN 184109-12-4 HCAPLUS
- CN Acetamide, 2-[[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-Nmethyl-N-phenyl- (CA INDEX NAME)

- RN 184109-13-5 HCAPLUS
- CN Acetamide, 2-[[5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]oxy]-N-methyl-N-phenyl- (CA INDEX NAME)

- RN 184109-14-6 HCAPLUS
- CN Acetamide, 2-[[5,6-dimethyl-2-(4-nitrophenyl)-4-pyrimidinyl]oxy]-N-methyl-N-phenyl- (CA INDEX NAME)

- RN 184109-16-8 HCAPLUS
- CN Acetamide, N-(4-chlorophenyl)-2-[[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N-methyl- (CA INDEX NAME)

- RN 184109-18-0 HCAPLUS
- CN Acetamide, 2-[[2-(4-chloropheny1)-5,6-dimethyl-4-pyrimidiny1]oxy]-N-ethyl-N-phenyl- (CA INDEX NAME)

- RN 184109-19-1 HCAPLUS
- CN Acetamide, 2-[[2-(3-chloropheny1)-5,6-dimethy1-4-pyrimidiny1]oxy]-N-ethy1-N-pheny1- (CA INDEX NAME)

- RN 184109-20-4 HCAPLUS
- CN Acetamide, N-ethyl-2-[[2-(4-fluorophenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]N-phenyl- (CA INDEX NAME)

- RN 184109-21-5 HCAPLUS
- CN Acetamide, 2-[[5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]-4pyrimidinyl]oxy]-N-ethyl-N-phenyl- (CA INDEX NAME)

- RN 184109-30-6 HCAPLUS
- CN Acetamide, 2-[[5,6-dimethyl-2-(4-nitrophenyl)-4-pyrimidinyl]oxy]-N-ethyl-Nphenyl- (CA INDEX NAME)

- RN 184109-31-7 HCAPLUS
- CN Acetamide, 2-[[2-(4-aminopheny1)-5,6-dimethyl-4-pyrimidinyl]oxy]-N-ethyl-N-phenyl- (CA INDEX NAME)

- RN 184109-42-0 HCAPLUS
- CN Acetamide, 2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N,Ndiethyl- (CA INDEX NAME)

- RN 184109-64-6 HCAPLUS
- CN Acetamide, 2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]methylamino]-N,N-diethyl- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} & \text{Me} \\ & \text{Me} & \text{N} \\ & \text{Et}_{2N-C-CH2-N-Me} & \text{N} \end{array}$$

- RN 184109-65-7 HCAPLUS
- CN Acetamide, 2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]methylamino]-N,N-dipropyl- (CA INDEX NAME)

- RN 184109-66-8 HCAPLUS
- CN Acetamide, 2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]methylamino]-N-methyl-N-phenyl- (CA INDEX NAME)

- RN 184109-67-9 HCAPLUS
- CN Acetamide, 2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]methylamino]N-ethyl-N-phenyl- (CA INDEX NAME)

- RN 184109-68-0 HCAPLUS
- CN Acetamide, 2-[[2-(4-chloropheny1)-5,6-dimethy1-4-pyrimidiny1]methylamino]-N-pheny1-N-propy1- (CA INDEX NAME)

$$\begin{array}{c} \text{Ph} \\ \text{n-Pr-N-C-CH2-N-N} \end{array}$$

- RN 184109-69-1 HCAPLUS
- CN Acetamide, 2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]methylamino]-N-phenyl-N-2-propen-1-yl- (CA INDEX NAME)

$$\begin{array}{c} \text{Ph} \\ \text{H}_2\text{C} = \text{CH} - \text{CH}_2 - \text{N} - \text{C} - \text{CH}_2 - \text{N} \\ \text{Me} \end{array}$$

- RN 184109-70-4 HCAPLUS
- CN Acetamide, 2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-Nphenyl- (CA INDEX NAME)

- RN 208467-84-9 HCAPLUS
- CN Acetamide, 2-[[2-(4-chloropheny1)-5,6-dimethy1-4-pyrimidiny1]amino]-Nethy1-N-propy1- (CA INDEX NAME)

RN 208467-85-0 HCAPLUS

CN Acetamide, N-ethyl-2-[[2-(4-fluorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-propyl- (CA INDEX NAME)

RN 208467-87-2 HCAPLUS

CN Acetamide, N-ethyl-2-[[2-(4-methoxyphenyl)-5,6-dimethyl-4pyrimidinyl]amino]-N-propyl- (CA INDEX NAME)

RN 208468-43-3 HCAPLUS

CN Acetamide, 2-[[2-(4-chlorophenyl)-6-phenyl-4-pyrimidinyl]amino]-N-methyl-N-phenyl-, hydrochloride (10:1) (CA INDEX NAME)

●1/10 HC1

RN 208468-61-5 HCAPLUS

CN Acetamide, 2-[[5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]-4-

pyrimidinyl]amino]-N, N-dimethyl- (CA INDEX NAME)

- RN 208468-62-6 HCAPLUS
- CN Acetamide, 2-[[5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]-4pyrimidinyl]amino]-N,N-diethyl- (CA INDEX NAME)

- RN 208468-63-7 HCAPLUS
- CN Acetamide, 2-[[5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]-4pyrimidinyl]methylamino]-N-methyl- (CA INDEX NAME)

IT 92577-32-7

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of acetic acid amide derivs. as drugs)

- RN 92577-32-7 HCAPLUS
- CN 4(3H)-Pyrimidinone, 5,6-dimethyl-2-(4-nitrophenyl)- (CA INDEX NAME)

IT 19927-82-3p 36935-59-8p 180606-46-6p 184109-72-6p 184109-73-7p 184109-74-8p

184109-76-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of acetic acid amide derivs. as drugs) 19927-82-3 HCAPLUS

RN 19927-82-3 HCAPLUS CN 4(3H)-Pyrimidinone, 2-(4-chlorophenyl)-5,6-dimethyl- (CA INDEX NAME)

RN 36935-59-8 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-(4-chlorophenyl)-6-phenyl- (CA INDEX NAME)

RN 180606-46-6 HCAPLUS

CN 4(3H)-Pyrimidinone, 5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 184109-72-6 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-(3-chlorophenyl)-5,6-dimethyl- (CA INDEX NAME)

RN 184109-73-7 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-(4-fluorophenyl)-5,6-dimethyl- (CA INDEX NAME)

$$\stackrel{\text{Me}}{\longrightarrow} \stackrel{\circ}{\longrightarrow} \stackrel{\text{N}}{\longrightarrow} \stackrel{\text{F}}{\longrightarrow} \stackrel{\text{F}}{\longrightarrow}$$

RN 184109-74-8 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-(4-methoxyphenyl)-5,6-dimethyl- (CA INDEX NAME)

184109-76-0 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-(4-chlorophenyl)-5-methyl-6-phenyl- (CA INDEX NAME)

OS.CITING REF COUNT: THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

L55 ANSWER 35 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 1998:175920 HCAPLUS Full-text

DOCUMENT NUMBER: 128:230383

ORIGINAL REFERENCE NO.: 128:45634h,45635a

TITLE: Preparation and formulation of pyrimidine derivatives

as pharmaceuticals with affinity for peripheral

benzodiazepine receptors

INVENTOR(S): Murata, Teruya; Kondo, Katsunori; Furukawa, Kiyoshi;

Oka, Makoto

PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 107 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PAT | ENT | NO. | | | KINI |) | DATE | | | APPL | ICAT. | I NOI | NO. | | D | ATE | | |
|-----|-------|-----|-----|-----|------|-----|-------|-----|-----|------|-------|-------|-----|-----|------|------|-----|---|
| | | | | | | - | | | | | | | | | | | | |
| WO | 9809 | 960 | | | A1 | | 19980 | 312 | | WO 1 | 997- | JP30 | 79 | | 19 | 9970 | 903 | < |
| | tar - | AL. | AM. | AT. | AII. | AZ. | BA. | BB. | BG. | BR. | BY. | CA. | CH. | CN. | CII. | CZ. | DE. | |

10/595.734

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             LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT,
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         RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,
             GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,
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                                                                  19970819 <---
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                                                                  19970903 <--
PRIORITY APPLN. INFO .:
                                           JP 1996-255420
                                                               A 19960904 <--
                                           WO 1997-JP3079
                                                              W 19970903 <--
                        MARPAT 128:230383
OTHER SOURCE(S):
ED Entered STN: 25 Mar 1998
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The title compds. I [X represents O or NR4; R1 represents H, lower alkyl, AB etc.; R2 represents lower alkyl, lower alkenyl, etc.; R3 represents H, lower alkyl, etc.; R4 represents H or lower alkyl; R5 represents H, lower alkyl, etc. or halogeno, hydroxy(lower)alkyl, lower alkoxy(lower)alkyl, etc.; R6 represents H, lower alkyl, etc. or hydroxy(lower)alkyl, lower alkoxy(lower)alkyl, etc., or R5 and R6 may form together (CH2)n (wherein n is 3 to 6); and A represents optionally substituted heteroaryl or optionally substituted Ph] are prepared These compds. are expected to be useful as remedies and preventives for central diseases, for example, diseases associated with anxiety, such as neurosis and psychosomatic disorder, depression and epilepsy; circulatory diseases such as angina pectoris and hypertension; immunol, nervous diseases such as multiple sclerosis; or immunol. inflammatory diseases such as rheumatism. In an in vitro test for affinity for the peripheral benzodiazepine receptors, the title compound II showed IC50 of 0.25 nM.

IT 204394-08-1P 204394-09-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (USes) (preparation of pyrimidine derivs. as pharmaceuticals with affinity for peripheral benzodiazeoine receptors)

IT 19927-32-3P 180606-46-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidine derivs. as pharmaceuticals with affinity for peripheral benzodiazepine receptors)

IT 204394-08-1P 204394-09-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (USE)

(preparation of pyrimidine derivs. as pharmaceuticals with affinity for peripheral benzodiazepine receptors)

RN 204394-08-1 HCAPLUS

CN Acetamide, 2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-methyl-N-3-pyridinyl- (CA INDEX NAME)

RN 204394-09-2 HCAPLUS

CN Acetamide, 2-[[5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]-N-methyl-N-3-pyridinyl- (CA INDEX NAME)

IT 19927-82-3P 180606-46-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidine derivs. as pharmaceuticals with affinity for peripheral benzodiazepine receptors)

RN 19927-82-3 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-(4-chlorophenyl)-5,6-dimethyl- (CA INDEX NAME)

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RN 180606-46-6 HCAPLUS

4(3H)-Pyrimidinone, 5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX CN

OS.CITING REF COUNT: THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD

(5 CITINGS)

THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 16 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 36 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 1996:753799 HCAPLUS Full-text 126:18884

DOCUMENT NUMBER:

ORIGINAL REFERENCE NO.: 126:3925a,3928a

TITLE:

Preparation and formulation of pyrimidine derivatives as agents with effect on the peripheral benzodiazepine

receptors INVENTOR(S): Murata, Teruya; Hino, Katsuhiko; Furukawa, Kiyoshi;

Oka, Makoto: Itoh, Mari

PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 110 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent. LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION: D3.00010 NO

| WO 9632383 A1 19961017 WO 1996-JP9 | |
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| ES, FI, GB, GE, HU, IS, JP, KE, KG, KR, KZ | |
| LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PI | r, RO, RU, SD, SE, SG, |
| SI, SK | , EG ET ED OD OD |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK | |
| IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG | |
| IL 117659 A 20001206 IL 1996-117 | |
| ZA 9602438 A 19961001 ZA 1996-243 | 38 19960327 < |
| CA 2218033 A1 19961017 CA 1996-221 | 18033 19960410 < |
| AU 9652874 A 19961030 AU 1996-528 | 374 19960410 < |
| AU 694647 B2 19980723 | |
| EP 826673 A1 19980304 EP 1996-909 | 9327 19960410 < |
| EP 826673 B1 20021120 | |
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| IE, SI, LT, LV, FI | |
| CN 1186487 A 19980701 CN 1996-194 | 1408 19960410 < |
| CN 1094929 C 20021127 | |
| BR 9604894 A 19980714 BR 1996-489 | 19960410 < |

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| | HU | 9801688 | | A2 | 19990329 | HU | 1998-1688 | | 19960410 | < |
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| | RO | 117532 | | B1 | 20020430 | RO | 1997-1858 | | 19960410 | < |
| | ΑT | 228113 | | T | 20021215 | ΑT | 1996-909327 | | 19960410 | < |
| | PT | 826673 | | E | 20030228 | PT | 1996-909327 | | 19960410 | < |
| | ES | 2187644 | | Т3 | 20030616 | ES | 1996-909327 | | 19960410 | < |
| | TW | 450963 | | В | 20010821 | TW | 1996-85104372 | | 19960412 | < |
| | NO | 9704685 | | A | 19971212 | NO | 1997-4685 | | 19971010 | < |
| | NO | 310619 | | B1 | 20010730 | | | | | |
| | US | 5972946 | | A | 19991026 | US | 1997-930604 | | 19971014 | < |
| IOF | RITY | APPLN. | INFO.: | | | JP | 1995-113937 | Α | 19950413 | < |
| | | | | | | WO | 1996-JP977 | W | 19960410 | < |
| | | | | | | | | | | |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 126:18884 ED Entered STN: 25 Dec 1996

GI

PRT

AB The title compds. I [X represents O or NR4; R1 represents H, lower alkyl, lower alkenyl or cycloalkyl(lower)alkyl; R2 represents lower alkyl, cycloalkyl, optionally substituted Ph, etc.; R3 represents H, lower alkyl or hydroxy(lower)alkyl; R4 represents H, lower alkyl, etc.; R5 represents hydroxy(lower)alkyl, etc.; R6 represents H, lower alkyl, CF3 or optionally substituted Ph, or R5 and R6 together form (CH2)n; n = 3 - 6; R7 represents H, halogeno, lower alkyl, lower alkoxy, CF3, OH, NH2, etc.; and R8 represents H, halogeno, lower alkyl or lower alkoxy] are prepared In an in vitro test for affinity for the peripheral benzodiazepine receptors, the title compound II in vitro showed IC50 of 0.89 mM.

184107-66-2P 184107-67-3P 184107-68-4P 184107-69-5P 184107-70-8P 184107-71-9P 184107-74-2P 184107-75-3P 184107-76-4P 184107-79-7P 184107-83-3P 184107-80-09 184107-84-4P 184107-85-5P 184107-86-6P 184107-87-7P 184107-88-8P 184107-89-99 184107-90-22 184107-92-4P 184107-96-8P 184107-97-9P 184107-98-0P 184108-00-7P 184108-03-0P 184108-04-1P 184108-05-2P 184108-07-4P 184108-08-5P 184108-10-9P 184108-11-0P 184108-12-1P 184108-13-2P 184108-14-3P 184108-15-4P 184108-18-7P 184108-19-8P 184108-24-5P 184108-20-1P 184108-25-6P 184108-27-8P 184108-33-69 184108-36-9P 184108-37-0P 184108-39-2P 184108-40-5P 184108-43-8P 184108-59-6P

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184109-03-3P

184108-60-9P 184108-63-2P

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184109-04-4P 184109-05-5P 184109-06-6P
184109-07-7P 184109-08-8P 184109-10-2P
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184109-67-9P 184109-68-0P 184109-69-1P
184109-70-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic
use); BIOL (Biological study); PREP (Preparation); USES (Uses)
   (preparation of pyrimidine derivs. as agents with effect on peripheral
   benzodiazepine receptors)
                         92577-32-72
19927-82-3P 36935-59-8P
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184109-74-8P 184109-76-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
   (preparation of pyrimidine derivs. as agents with effect on peripheral
  benzodiazepine receptors)
184107-66-2P 184107-67-3P 184107-68-4P
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184109-67-9P 184109-68-0P 184109-69-1P
184109-70-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
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study, unclassified); SPN (Synthetic preparation); TRU (Thexapeutic
use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of pyrimidine derivs. as agents with effect on peripheral
benzodiazepine receptors)

RN 184107-66-2 HCAPLUS CN Acetamide, 2-112-14-

Acetamide, 2-[[2-(4-chloropheny1)-5,6-dimethyl-4-pyrimidinyl]amino]-N,N-dipropyl- (CA INDEX NAME)

- RN 184107-67-3 HCAPLUS
- CN Acetamide, 2-[[2-(3-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N,N-dipropyl- (CA INDEX NAME)

- RN 184107-68-4 HCAPLUS
- CN Acetamide, 2-[[2-(4-fluorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N,N-dipropyl- (CA INDEX NAME)

- RN 184107-69-5 HCAPLUS
- CN Acetamide, 2-[[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N,Ndipropyl- (CA INDEX NAME)

- RN 184107-70-8 HCAPLUS
- CN Acetamide, 2-[[5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]-4pyrimidinyl]amino]-N,N-dipropyl- (CA INDEX NAME)

- RN 184107-71-9 HCAPLUS
- CN Acetamide, 2-[[5,6-dimethyl-2-(4-nitrophenyl)-4-pyrimidinyl]amino]-N,N-dipropyl- (CA INDEX NAME)

- RN 184107-74-2 HCAPLUS
- CN Acetamide, 2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N,Ndiethyl- (CA INDEX NAME)

- RN 184107-75-3 HCAPLUS
- CN Acetamide, N,N-diethyl-2-[[2-(4-fluorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 184107-76-4 HCAPLUS
- CN Acetamide, N,N-diethyl-2-[[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{N} \\ \text{Et}_2 \text{N} \\ \text{H} \end{array} \\ \begin{array}{c} \text{OMe} \\ \text{OMe}$$

- RN 184107-79-7 HCAPLUS
- CN Acetamide, N,N-dibuty1-2-[[2-(4-chlorophenyl)-5,6-dimethy1-4-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 184107-80-0 HCAPLUS
- CN Acetamide, N,N-dibutyl-2-[[2-(4-fluorophenyl)-5,6-dimethyl-4pyrimidinyl]amino]- (CA INDEX NAME)

- RN 184107-83-3 HCAPLUS
- CN Acetamide, 2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-methyl-N-phenyl- (CA INDEX NAME)

- RN 184107-84-4 HCAPLUS
- CN Acetamide, 2-[[2-(3-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-methyl-N-phenyl- (CA INDEX NAME)

RN 184107-85-5 HCAPLUS

CN Acetamide, 2-[[2-(4-fluorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-methyl-N-phenyl- (CA INDEX NAME)

RN 184107-86-6 HCAPLUS

CN Acetamide, 2-[[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-methyl-N-phenyl- (CA INDEX NAME)

RN 184107-87-7 HCAPLUS

CN Acetamide, 2-[[5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]-N-methyl-N-phenyl- (CA INDEX NAME)

RN 184107-88-8 HCAPLUS

CN Acetamide, 2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-methyl-N-propyl- (CA INDEX NAME)

- RN 184107-89-9 HCAPLUS
- CN Acetamide, 2-[[2-(4-fluorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-methyl-N-propyl- (CA INDEX NAME)

- RN 184107-90-2 HCAPLUS
- CN Acetamide, 2-[[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-methyl-N-propyl- (CA INDEX NAME)

- RN 184107-92-4 HCAPLUS
- CN Acetamide, 2-[[5,6-dimethyl-2-(4-nitrophenyl)-4-pyrimidinyl]amino]-N-methyl-N-phenyl- (CA INDEX NAME)

- RN 184107-96-8 HCAPLUS
- CN Acetamide, N-(4-chloropheny1)-2-[[2-(4-chloropheny1)-5,6-dimethy1-4-pyrimidiny1]amino]-N-methy1- (CA INDEX NAME)

- RN 184107-97-9 HCAPLUS
- CN Acetamide, N-(4-chloropheny1)-2-[[2-(4-fluoropheny1)-5,6-dimethy1-4-pyrimidiny1]amino]-N-methyl- (CA INDEX NAME)

- RN 184107-98-0 HCAPLUS
- CN Acetamide, N-(4-chlorophenyl)-2-[[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-methyl- (CA INDEX NAME)

- RN 184108-00-7 HCAPLUS
- CN Acetamide, 2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-(4-fluorophenyl)-N-methyl- (CA INDEX NAME)

- RN 184108-03-0 HCAPLUS
- CN Acetamide, N-(4-bromophenyl)-2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-methyl- (CA INDEX NAME)

- RN 184108-04-1 HCAPLUS
- CN Acetamide, N-(4-bromophenyl)-2-[[2-(4-fluorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-methyl- (CA INDEX NAME)

- RN 184108-05-2 HCAPLUS
- CN Acetamide, N-(4-bromopheny1)-2-[[2-(4-methoxypheny1)-5,6-dimethy1-4-pyrimidiny1]amino]-N-methyl- (CA INDEX NAME)

RN 184108-07-4 HCAPLUS

CN Acetamide, 2-[[2-(4-chloropheny1)-5,6-dimethy1-4-pyrimidiny1]amino]-N-(4-methoxypheny1)-N-methy1- (CA INDEX NAME)

RN 184108-08-5 HCAPLUS

CN Acetamide, 2-[[2-(4-fluorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-(4-methoxyphenyl)-N-methyl- (CA INDEX NAME)

RN 184108-10-9 HCAPLUS

CN Acetamide, 2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-ethyl-N-phenyl- (CA INDEX NAME)

- RN 184108-11-0 HCAPLUS
- CN Acetamide, 2-[[2-(3-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-Nethyl-N-phenyl- (CA INDEX NAME)

- RN 184108-12-1 HCAPLUS
- CN Acetamide, N-ethyl-2-[[2-(4-fluorophenyl)-5,6-dimethyl-4pyrimidinyl]amino]-N-phenyl- (CA INDEX NAME)

- RN 184108-13-2 HCAPLUS
- CN Acetamide, N-ethyl-2-[[2-(4-methoxyphenyl)-5,6-dimethyl-4pyrimidinyl]amino]-N-phenyl- (CA INDEX NAME)

- RN 184108-14-3 HCAPLUS
- CN Acetamide, 2-[[5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]-4pyrimidinyl]amino]-N-ethyl-N-phenyl- (CA INDEX NAME)

- RN 184108-15-4 HCAPLUS
- CN Acetamide, 2-[[5,6-dimethyl-2-(4-nitrophenyl)-4-pyrimidinyl]amino]-N-ethyl-N-phenyl- (CA INDEX NAME)

- RN 184108-18-7 HCAPLUS
- CN Acetamide, 2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-phenyl-N-propyl- (CA INDEX NAME)

- RN 184108-19-8 HCAPLUS
- CN Acetamide, 2-[[2-(4-fluorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-phenyl-N-propyl- (CA INDEX NAME)

- RN 184108-20-1 HCAPLUS
- CN Acetamide, 2-[[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-phenyl-N-propyl- (CA INDEX NAME)

- RN 184108-24-5 HCAPLUS
- CN Acetamide, 2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-

phenyl-N-2-propen-1-yl- (CA INDEX NAME)

- RN 184108-25-6 HCAPLUS
- CN Acetamide, 2-[[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-Nphenyl-N-2-propen-1-yl- (CA INDEX NAME)

- RN 184108-27-8 HCAPLUS
- CN Acetamide, 2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-(cyclopropylmethyl)-N-phenyl- (CA INDEX NAME)

- RN 184108-33-6 HCAPLUS
- CN Morpholine, 4-[[[2-(4-chlorophenyl)-5,6-dimethyl-4 pyrimidinyl]amino]acetyl]-2,6-dimethyl- (9CI) (CA INDEX NAME)

- RN 184108-36-9 HCAPLUS
- CN Acetamide, 2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]ethylamino]-N,N-dipropyl- (CA INDEX NAME)

$$(n-\text{Pr})\,2N - C - CH_2 - N - N - C$$

RN 184108-37-0 HCAPLUS

CN Acetamide, 2-[ethyl[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N,N-dipropyl- (CA INDEX NAME)

RN 184108-39-2 HCAPLUS

CN Acetamide, 2-[[2-(4-fluoropheny1)-5,6-dimethyl-4-pyrimidiny1]methylamino]-N-methyl-N-phenyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Ph} \\ \text{Me-N-C-CH}_2-\text{N-N-N-F} \\ \end{array}$$

RN 184108-40-5 HCAPLUS

CN Acetamide, 2-[[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]methylamino]-N-methyl-N-phenyl- (CA INDEX NAME)

RN 184108-43-8 HCAPLUS

CN Acetamide, 2-[[2-(4-chloropheny1)-5,6-dimethy1-4-pyrimidiny1]ethylamino]-N-

methyl-N-phenyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Ph} \\ \text{Me} \\ \text{N} \end{array} = \begin{array}{c} \text{Ne} \\ \text{Ne} \\ \text{Ne} \end{array} = \begin{array}{c} \text{Cl} \\ \text{Ne} \\ \text{Ne} \end{array}$$

RN 184108-59-6 HCAPLUS

CN Acetamide, 2-[[2-(4-chloropheny1)-6-pheny1-4-pyrimidiny1]amino]-N,N-dipropy1- (CA INDEX NAME)

RN 184108-60-9 HCAPLUS

CN Acetamide, 2-[[2-(4-chlorophenyl)-6-phenyl-4-pyrimidinyl]amino]-N-methyl-N-phenyl- (CA INDEX NAME)

RN 184108-63-2 HCAPLUS

CN Acetamide, 2-[[2-(4-chlorophenyl)-5-methyl-6-phenyl-4-pyrimidinyl]amino]-N,N-dipropyl- (CA INDEX NAME)

- RN 184109-03-3 HCAPLUS
- CN Acetamide, 2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N,N-dipropyl- (CA INDEX NAME)

- RN 184109-04-4 HCAPLUS
- CN Acetamide, 2-[[2-(4-fluorophenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N,N-dipropyl- (CA INDEX NAME)

- RN 184109-05-5 HCAPLUS
- CN Acetamide, 2-[[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N,N-dipropyl- (CA INDEX NAME)

- RN 184109-06-6 HCAPLUS
- CN Acetamide, 2-[[2-(3-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N,N-dipropyl- (CA INDEX NAME)

- RN 184109-07-7 HCAPLUS
- CN Acetamide, 2-[[5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]-4pyrimidinyl]oxy]-N,N-dipropyl- (CA INDEX NAME)

- RN 184109-08-8 HCAPLUS
- CN Acetamide, 2-[[5,6-dimethyl-2-(4-nitrophenyl)-4-pyrimidinyl]oxy]-N,N-dipropyl- (CA INDEX NAME)

- RN 184109-10-2 HCAPLUS
- CN Acetamide, 2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N-methyl-N-phenyl- (CA INDEX NAME)

- RN 184109-11-3 HCAPLUS
- CN Acetamide, 2-[[2-(3-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N-methyl-N-phenyl- (CA INDEX NAME)

- RN 184109-12-4 HCAPLUS
- CN Acetamide, 2-[[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N-methyl-N-phenyl- (CA INDEX NAME)

- RN 184109-13-5 HCAPLUS
- CN Acetamide, 2-[[5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]-4pyrimidinyl]oxy]-N-methyl-N-phenyl- (CA INDEX NAME)

- RN 184109-14-6 HCAPLUS
- CN Acetamide, 2-[[5,6-dimethyl-2-(4-nitrophenyl)-4-pyrimidinyl]oxy]-N-methyl-N-phenyl- (CA INDEX NAME)

- RN 184109-16-8 HCAPLUS
- CN Acetamide, N-(4-chlorophenyl)-2-[[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N-methyl- (CA INDEX NAME)

- RN 184109-18-0 HCAPLUS
- CN Acetamide, 2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N-ethyl-N-phenyl- (CA INDEX NAME)

- RN 184109-19-1 HCAPLUS
- CN Acetamide, 2-[[2-(3-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N-ethyl-N-phenyl- (CA INDEX NAME)

- RN 184109-20-4 HCAPLUS
- CN Acetamide, N-ethyl-2-[[2-(4-fluorophenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]N-phenyl- (CA INDEX NAME)

- RN 184109-21-5 HCAPLUS
- CN Acetamide, 2-[[5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]-4pyrimidinyl]oxy]-N-ethyl-N-phenyl- (CA INDEX NAME)

- RN 184109-30-6 HCAPLUS
- CN Acetamide, 2-[[5,6-dimethyl-2-(4-nitrophenyl)-4-pyrimidinyl]oxy]-N-ethyl-N-phenyl- (CA INDEX NAME)

- RN 184109-31-7 HCAPLUS
- CN Acetamide, 2-[[2-(4-aminopheny1)-5,6-dimethyl-4-pyrimidinyl]oxy]-N-ethyl-N-phenyl- (CA INDEX NAME)

- RN 184109-42-0 HCAPLUS
- CN Acetamide, 2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N,N-diethyl- (CA INDEX NAME)

- RN 184109-64-6 HCAPLUS
- CN Acetamide, 2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]methylamino]-N,N-diethyl- (CA INDEX NAME)

- RN 184109-65-7 HCAPLUS
- CN Acetamide, 2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]methylamino]-N,N-dipropyl- (CA INDEX NAME)

$$(n-\Pr)\,2N-C-CH_2-N$$

- RN 184109-66-8 HCAPLUS
- CN Acetamide, 2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]methylamino]-N-methyl-N-phenyl- (CA INDEX NAME)

- RN 184109-67-9 HCAPLUS
- CN Acetamide, 2-[[2-(4-chloropheny1)-5,6-dimethy1-4-pyrimidiny1]methylamino]-N-ethy1-N-pheny1- (CA INDEX NAME)

$$\begin{array}{c} \text{Ph} \\ \text{Et-N-C-CH2-N-N-N} \end{array}$$

- RN 184109-68-0 HCAPLUS
- CN Acetamide, 2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]methylamino]-N-phenyl-N-propyl- (CA INDEX NAME)

- RN 184109-69-1 HCAPLUS
- CN Acetamide, 2-[[2-(4-chloropheny1)-5,6-dimethyl-4-pyrimidiny1]methylamino]-N-phenyl-N-2-propen-1-yl- (CA INDEX NAME)

$$\begin{array}{c} Ph \\ H_2C = CH - CH_2 - N - C - CH_2 - N \\ \end{array}$$

- RN 184109-70-4 HCAPLUS
- CN Acetamide, 2-[[2-(4-chloropheny1)-5,6-dimethy1-4-pyrimidiny1]amino]-N-pheny1- (CA INDEX NAME)

IT 19927-82-3P 36935-59-8P 92577-32-7P

180606-46-6P 184109-72-6P 184109-73-7P

184109-74-8P 184109-76-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of pyrimidine derivs. as agents with effect on peripheral

(preparation of pyrimidine derivs. as agents with effect on periphera benzodiazepine receptors)

RN 19927-82-3 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-(4-chlorophenyl)-5,6-dimethyl- (CA INDEX NAME)

RN 36935-59-8 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-(4-chlorophenyl)-6-phenyl- (CA INDEX NAME)

RN 92577-32-7 HCAPLUS

CN 4(3H)-Pyrimidinone, 5,6-dimethyl-2-(4-nitrophenyl)- (CA INDEX NAME)

RN 180606-46-6 HCAPLUS

CN 4(3H)-Pyrimidinone, 5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 184109-72-6 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-(3-chlorophenyl)-5,6-dimethyl- (CA INDEX NAME)

RN 184109-73-7 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-(4-fluorophenyl)-5,6-dimethyl- (CA INDEX NAME)

$$\stackrel{\text{Me}}{\underbrace{\hspace{1.5cm}}}\stackrel{\circ}{\underset{\mathbb{N}}{\bigvee}} \stackrel{\circ}{\underset{\mathbb{N}}{\bigvee}} \stackrel{F}{\underset{\mathbb{N}}{\bigvee}} \stackrel{F}{\underset{\mathbb{N}}{\bigvee}}$$

RN 184109-74-8 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-(4-methoxyphenyl)-5,6-dimethyl- (CA INDEX NAME)

RN 184109-76-0 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-(4-chlorophenyl)-5-methyl-6-phenyl- (CA INDEX NAME)

OS.CITING REF COUNT: 16 THERE ARE 16 CAPLUS RECORDS THAT CITE THIS

RECORD (25 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 37 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 1987:67341 HCAPLUS Full-text

DOCUMENT NUMBER: 106:67341

ORIGINAL REFERENCE NO.: 106:11079a,11082a

TITLE: 2,6-Diaryl-(4-arylamino)-5-pyrimidinecarboxylic acid

esters
INVENTOR(S): Briel, Detlef; Wagner, Guenther

INVENTOR(5): Brief, Decier; wagner, Guencher

PATENT ASSIGNEE(S): Karl-Marx-Universitaet Leipzig, Ger. Dem. Rep.

SOURCE: Ger. (East), 4 pp. CODEN: GEXXA8

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PATENT NO. | KIND | DATE | API | PLICATION NO. | DATE | |
|------------------------|--------|--------------|-----|---------------|----------|---|
| | | | | | | |
| DD 236310 | A1 | 19860604 | DD | 1984-266541 | 19840823 | < |
| PRIORITY APPLN. INFO.: | | | DD | 1984-266541 | 19840823 | < |
| OTHER SOURCE(S): | CASREA | CT 106:67341 | | | | |

ED Entered STN: 07 Mar 1987

GI

- AB Pyrimidines I [R1 = C1-6 alkyl; R2, R3 = (un)substituted aryl], of pharmaceutical interest, were prepared by cyclization of NCC(COZR1):CR2NHC(S)R2 (II) with H2NR3. A mixture of II (R1 = Et, R2 = Ph) 1 and PhNH2 0.28 part in MeCH(OH)CH2OH was kept 7 days at room temperature to give 528 I (R3 = Et, R2 = R3 = Ph).
- IT 105849-70-5P 105849-71-6P 106393-89-9P 106393-90-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of, as pharmaceutical) IT 105849-70-5P 105849-71-6P 106393-89-9P

IT 105849-70-5P 105849-71-6P 106393-89-9i

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); TRU (Therapeutic uses); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as pharmaceutical)

RN 105849-70-5 HCAPLUS

EN 5-Pyrimidinecarboxylic acid, 4-[(4-methoxyphenyl)amino]-2,6-bis(4-

methylphenyl)-, ethyl ester (CA INDEX NAME)

- RN 105849-71-6 HCAPLUS
- CN 5-Pyrimidinecarboxylic acid, 4-[(4-methoxyphenyl)amino]-2,6-bis(3-methylphenyl)-, ethyl ester (CA INDEX NAME)

- RN 106393-89-9 HCAPLUS
- CN 5-Pyrimidinecarboxylic acid, 2,4-bis(4-methoxyphenyl)-6-[(4-methoxyphenyl)amino]-, ethyl ester (CA INDEX NAME)

- RN 106393-90-2 HCAPLUS
- CN 5-Pyrimidinecarboxylic acid, 2,4-bis(4-chlorophenyl)-6-[(4-methoxyphenyl)amino]-, ethyl ester (CA INDEX NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L55 ANSWER 38 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 1975:443373 HCAPLUS Full-text DOCUMENT NUMBER: 83:43373

ORIGINAL REFERENCE NO.: 83:6871a,6874a

TITLE: (Phenylamino)pyrimidine pharmaceuticals

INVENTOR(S): Fauran, Claude; Bourgery, Guy; Raynaud, Guy; Gouret,

Claude

PATENT ASSIGNEE(S): Delalande S. A., Fr. SOURCE: Ger. Offen., 49 pp.

CODEN: GWXXBX DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|---------------|
| | | | | |
| DE 2444426 | A1 | 19750327 | DE 1974-2444426 | 19740917 < |
| FR 2244459 | A1 | 19750418 | FR 1973-33831 | 19730920 < |
| FR 2265386 | A2 | 19751024 | FR 1974-10327 | 19740326 < |
| FR 2265386 | B2 | 19780929 | | |
| BE 819057 | A1 | 19750221 | BE 1974-147794 | 19740821 < |
| CH 593266 | A5 | 19771130 | CH 1974-11401 | 19740821 < |
| GB 1430729 | A | 19760407 | GB 1974-37550 | 19740828 < |
| US 3978055 | A | 19760831 | US 1974-502285 | 19740903 < |
| ZA 7405741 | A | 19751029 | ZA 1974-5741 | 19740910 < |
| JP 50088079 | A | 19750715 | JP 1974-105900 | 19740913 < |
| AU 7473441 | A | 19760325 | AU 1974-73441 | 19740918 < |
| CA 1008074 | A1 | 19770405 | CA 1974-209631 | 19740918 < |
| SE 7411806 | A | 19750321 | SE 1974-11806 | 19740919 < |
| SE 410600 | В | 19791022 | | |
| NL 7412494 | A | 19750324 | NL 1974-12494 | 19740920 < |
| US 4025514 | A | 19770524 | US 1976-714472 | 19760816 < |
| US 4041030 | A | 19770809 | US 1976-714473 | 19760816 < |
| SU 698531 | A3 | 19791115 | SU 1977-2558803 | 19771228 < |
| PRIORITY APPLN. INFO.: | | | FR 1973-33831 | A 19730920 < |
| | | | FR 1974-10327 | A 19740326 < |
| | | | US 1974-502285 | A2 19740903 < |
| | | | FR 1976-20775 | A 19760707 < |

ED Entered STN: 12 May 1984

GI For diagram(s), see printed CA Issue.

Pyrimidines I (R = Ph, 4-ClC6H4, 3-FC6H4, 3-F3CC6H4, 3,4-methylenedioxyphenyl, AB 3,4,5-(MeO)3C6H2; R1 = 4-CONH2, 4-substituted carbamoy1, 2-carboxylic ester,

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10/595.734
2-CONH2, 4-CO2Et, 4-aminoethoxy) (77 compds.) were prepared Thus, I [R =
3,4,5-(MeO)3C6H2, R1 = 4-pyrrolidinylcarbonyl] was obtained by treating the 4-
chloropyrimidine with 4-pyrrolidinocarbonylaniline. Various I demonstrated
sedative, antihypotesive, antiulcer, vasodilator, bronchodilator, diuretic,
antihypertensive, pos. inotropic, analgesic, muscle relaxant, and
antiinflammatory activities.
            56303-03-8P
                           56303-05-0P
56303-02-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); BIOL (Biological
study); PREP (Preparation)
   (preparation and analgesic activity of)
            56302-55-7P 56302-64-8P
56302-54-6P
56303-01-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); BIOL (Biological
study); PREP (Preparation)
   (preparation and antiinflammatory activity of)
56302-61-50
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); TRU (Therapeutic
use); BIOL (Biological study); PREP (Preparation); USES (Uses)
   (preparation and diuretic activity of)
56302-44-4P 56302-45-5P
                          56302-46-6P
56302-47-7P 56302-49-9P 56302-51-3P
56302-52-4P 56302-53-5P 56302-59-1P
56302-62-6P 56302-66-0P
                          56302-67-1P
56302-71-7P 56302-72-8P 56302-99-9P
56303-06-1P 56303-07-2P 56328-03-1P
56328-04-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
   (preparation and pharmacological activity of)
56302-43-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
   (preparation and reaction of, with dioxolanemethanol)
56302-63-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
   (preparation and sedative activity of)
56302-65-97
            56302-73-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
   (preparation and vasodilator activity of)
56302-48-8P 56302-50-2P 56302-56-8P
56302-57-9P 56302-58-0P 56302-60-4P
56302-68-2P 56302-69-3P 56302-70-6P
56303-00-5P 56303-09-4P 56303-10-7P
56303-11-8P 56303-12-9P
                          56328-02-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
   (preparation of)
```

IT 56303-02-7P 56303-03-8P 56303-05-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and analgesic activity of)

RN 56303-02-7 HCAPLUS

CN 4-Pyrimidinamine, N-[4-[2-(dimethylamino)ethoxy]phenyl]-2-(3-fluorophenyl)-6-methyl- (CA INDEX NAME)

RN 56303-03-8 HCAPLUS

CN 4-Pyrimidinamine, 2-(3-chlorophenyl)-N-[4-[2-(dimethylamino)ethoxy]phenyl]-6-methyl- (CA INDEX NAME)

RN 56303-05-0 HCAPLUS

CN 4-Pyrimidinamine, 2-(4-chlorophenyl)-6-methyl-N-[4-[2-(4-morpholinyl)ethoxy]phenyl]- (CA INDEX NAME)

IT 56302-54-6P 56302-55-7P 56302-64-8P

56303-01-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antiinflammatory activity of)

RN 56302-54-6 HCAPLUS

CN Benzoic acid, 4-[[2-(4-chloropheny1)-6-methyl-4-pyrimidinyl]amino]-, ethyl ester (CA INDEX NAME)

RN 56302-55-7 HCAPLUS

CN Benzoic acid, 2-[[2-(4-chlorophenyl)-6-methyl-4-pyrimidinyl]amino]-, methyl ester (CA INDEX NAME)

RN 56302-64-8 HCAPLUS

CN Benzoic acid, 2-[[2-(3-fluoropheny1)-6-methy1-4-pyrimidiny1]amino]-, methyl ester (CA INDEX NAME)

RN 56303-01-6 HCAPLUS

CN 4-Pyrimidinamine, 6-methyl-N-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-2-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

IT 56302-61-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and diuretic activity of)

RN 56302-61-5 HCAPLUS

CN Benzamide, 2-[[2-(3-fluorophenyl)-6-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

```
ΙT
    56302-44-42
                 56302-45-5P
                              56302-46-69
    56302-47-7P
                 56302-49-9P
                               56302-51-3P
    56302-52-4P
                  56302-53-5P
                                56302-59-1P
    56302-62-6P
                                56302-67-1P
                  56302-66-0P
    56302-71-7P
                 56302-72-8P
                               56302-99-92
    56303-06-1P
                  56303-07-2P
                               56328-03-1P
    56328-04-29
```

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and pharmacological activity of)

RN 56302-44-4 HCAPLUS

CN Benzoic acid, 2-[[6-methyl-2-[3-(trifluoromethyl)phenyl]-4pyrimidinyl]amino]-, (2,2-dimethyl-1,3-dioxolan-4-yl)methyl ester (CA INDEX NAME)

- RN 56302-45-5 HCAPLUS
- CN Benzoic acid, 2-[[6-methyl-2-[3-(trifluoromethyl)phenyl]-4pyrimidinyl]amino]-, 2,3-dihydroxypropyl ester (CA INDEX NAME)

- RN 56302-46-6 HCAPLUS
- CN Benzamide, 4-[[2-(4-chloropheny1)-6-methyl-4-pyrimidiny1]amino]-N,N-dimethyl- (CA INDEX NAME)

- RN 56302-47-7 HCAPLUS
- CN Methanone, [4-[[2-(4-chlorophenyl)-6-methyl-4-pyrimidinyl]amino]phenyl]-1pyrrolidinyl- (CA INDEX NAME)

RN 56302-49-9 HCAPLUS

CN Methanone, [4-[[2-(4-chlorophenyl)-6-methyl-4-pyrimidinyl]amino]phenyl]-1-piperidinyl- (CA INDEX NAME)

RN 56302-51-3 HCAPLUS

CN Methanone, [4-[[2-(4-chlorophenyl)-6-methyl-4-pyrimidinyl]amino]phenyl](4phenyl-1-piperazinyl)- (CA INDEX NAME)

RN 56302-52-4 HCAPLUS

CN Benzamide, 2-[[2-(4-chlorophenyl)-6-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

RN 56302-53-5 HCAPLUS

CN Benzamide, 4-[[2-(4-chlorophenyl)-6-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 56302-59-1 HCAPLUS
- CN Methanone, [4-[[2-(3-fluorophenyl)-6-methyl-4-pyrimidinyl]amino]phenyl]-4-morpholinyl- (CA INDEX NAME)

- RN 56302-62-6 HCAPLUS
- CN Benzamide, 4-[[2-(3-fluorophenyl)-6-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 56302-66-0 HCAPLUS
- CN Benzoic acid, 2-[[2-(3-fluorophenyl)-6-methyl-4-pyrimidinyl]amino]-, 2,3-dihydroxypropyl ester (CA INDEX NAME)

- RN 56302-67-1 HCAPLUS
- CN Benzeneacetic acid, 4-[[2-(3-fluorophenyl)-6-methyl-4-pyrimidinyl]amino]-(CA INDEX NAME)

RN 56302-71-7 HCAPLUS

RN 56302-72-8 HCAPLUS

RN 56302-99-9 HCAPLUS

CN 4-Pyrimidinamine, 2-(4-chlorophenyl)-N-[4-[2-(dimethylamino)ethoxy]phenyl]-6-methyl- (CA INDEX NAME)

RN 56303-06-1 HCAPLUS

CN 4-Pyrimidinamine, 2-(3-chloropheny1)-6-methy1-N-[4-[2-(4morpholiny1)ethoxy]pheny1]- (CA INDEX NAME)

- RN 56303-07-2 HCAPLUS
- CN 4-Pyrimidinamine, 6-methyl-N-[4-[2-(4-morpholinyl)ethoxy]phenyl]-2-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

- RN 56328-03-1 HCAPLUS
- CN 4-Pyrimidinamine, 2-(3-fluorophenyl)-6-methyl-N-[4-[2-(1-piperidinyl)ethoxy]phenyl]- (CA INDEX NAME)

- RN 56328-04-2 HCAPLUS
- CN 4-Pyrimidinamine, 2-(3-chloropheny1)-N-[4-[2-(hexahydro-1H-azepin-1-yl)ethoxy]pheny1]-6-methyl- (CA INDEX NAME)

- IT 56302-43-3P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
- (preparation and reaction of, with dioxolanemethanol)
- RN 56302-43-3 HCAPLUS
- CN Benzoic acid, 2-[[6-methyl-2-[3-(trifluoromethyl)phenyl]-4pyrimidinyl]amino]-, methyl ester (CA INDEX NAME)

IT 56302-63-7P RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and sedative activity of)

RN 56302-63-7 HCAPLUS

CN Benzoic acid, 4-[[2-(3-fluoropheny1)-6-methy1-4-pyrimidiny1]amino]-, ethyl ester (CA INDEX NAME)

IT 56302-65-9P 56302-73-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and vasodilator activity of)

RN 56302-65-9 HCAPLUS

CN Benzoic acid, 2-[[2-(3-fluorophenyl)-6-methyl-4-pyrimidinyl]amino]-, (2,2-dimethyl-1,3-dioxolan-4-yl)methyl ester (CA INDEX NAME)

RN 56302-73-9 HCAPLUS

CN Benzoic acid, 4-[[6-methyl-2-[3-(trifluoromethyl)phenyl]-4pyrimidinyl]amino]-, ethyl ester (CA INDEX NAME)

IT 56302-48-8P 56302-50-2P 56302-56-8P

| 56302-57-9 | P 56302-58-0P | 56302-60-4P |
|------------|---------------|-------------|
| 56302-68-2 | P 56302-69-3P | 56302-70-6P |
| 56303-00-5 | P 56303-09-4P | 56303-10-79 |
| 56303-11-8 | P 56303-12-9P | 56328-02-0P |

- RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
- RN 56302-48-8 HCAPLUS
- CN Methanone, [4-[[2-(4-chlorophenyl)-6-methyl-4-pyrimidinyl]amino]phenyl]-4-morpholinyl- (CA INDEX NAME)

- RN 56302-50-2 HCAPLUS
- CN Methanone, [4-[[2-(4-chlorophenyl)-6-methyl-4-pyrimidinyl]amino]phenyl](4-methyl-1-piperazinyl)- (CA INDEX NAME)

- RN 56302-56-8 HCAPLUS
- CN Benzoic acid, 2-[[2-(4-chlorophenyl)-6-methyl-4-pyrimidinyl]amino]-, (2,2-dimethyl-1,3-dioxolan-4-yl)methyl ester (CA INDEX NAME)

- RN 56302-57-9 HCAPLUS
- CN Benzoic acid, 2-[[2-(4-chlorophenyl)-6-methyl-4-pyrimidinyl]amino]-, 2,3-dihydroxypropyl ester (CA INDEX NAME)

RN 56302-58-0 HCAPLUS

CN Methanone, [4-[[2-(3-fluorophenyl)-6-methyl-4-pyrimidinyl]amino]phenyl]-1-pyrrolidinyl- (CA INDEX NAME)

RN 56302-60-4 HCAPLUS

CN Methanone, [4-[[2-(3-fluorophenyl)-6-methyl-4-pyrimidinyl]amino]phenyl]-1-piperidinyl- (CA INDEX NAME)

RN 56302-68-2 HCAPLUS

CN Methanone, [4-[[6-methyl-2-[3-(trifluoromethyl)phenyl]-4pyrimidinyl]amino]phenyl]-1-pyrrolidinyl- (CA INDEX NAME)

RN 56302-69-3 HCAPLUS

CN Methanone, [4-[[6-methyl-2-[3-(trifluoromethyl)phenyl]-4pyrimidinyl]amino]phenyl]-4-morpholinyl- (CA INDEX NAME)

RN 56302-70-6 HCAPLUS

CN Methanone, [4-[[6-methyl-2-[3-(trifluoromethyl)phenyl]-4pyrimidinyl]amino]phenyl]-1-piperidinyl- (CA INDEX NAME)

RN 56303-00-5 HCAPLUS

CN 4-Pyrimidinamine, N-[4-[2-(dimethylamino)ethoxy]phenyl]-6-methyl-2-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 56303-09-4 HCAPLUS

CN 4-Pyrimidinamine, 2-(3-fluorophenyl)-6-methyl-N-[4-[2-(4-morpholinyl)ethoxy]phenyl]- (CA INDEX NAME)

RN 56303-10-7 HCAPLUS

CN 4-Pyrimidinamine, 2-(4-methoxyphenyl)-6-methyl-N-[4-[2-(4morpholinyl)ethoxy]phenyl]- (CA INDEX NAME)

RN 56303-11-8 HCAPLUS

4-Pyrimidinamine, 6-methyl-2-(4-methylphenyl)-N-[4-[2-(4-CN morpholinyl)ethoxy[phenyl]- (CA INDEX NAME)

56303-12-9 HCAPLUS RN

4-Pyrimidinamine, 2-[4-(dimethylamino)phenyl]-6-methyl-N-[4-[2-(4morpholinyl)ethoxy|phenyl]- (CA INDEX NAME)

RN 56328-02-0 HCAPLUS

CN Methanone, [4-[[6-methvl-2-[3-(trifluoromethvl)phenvl]-4pyrimidinyl]amino]phenyl](4-phenyl-1-piperazinyl)- (CA INDEX NAME)

OS.CITING REF COUNT: THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L55 ANSWER 39 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 1973:12020 HCAPLUS Full-text

DOCUMENT NUMBER: 78:12020

ORIGINAL REFERENCE NO.: 78:1911a,1914a

TITLE: Metabolism of 2-(4-chlorophenyl)thiazol-4-ylacetic

acid (fenclozic acid) and related compounds by

microorganisms

AUTHOR(S): Howe, Ralph; Moore, Ronald H.; Rao, Balbir S.; Wood, Park/Macclesfield/Cheshire, UK

Alan H.

CORPORATE SOURCE: Pharm. Div., Imp. Chem. Ind. Ltd., Alderley

SOURCE: Journal of Medicinal Chemistry (1972),

15(10), 1040-5

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal LANGUAGE: English

ED Entered STN: 12 May 1984

AB Microorganisms (mostly fungi) generally attacked the acetic acid side chain of fenclozic acid (I) [17969-20-9], in contrast to mammals, which hydroxylated the 4-chlorophenyl ring. The most common microbial metabolite was 2-(4-chlorophenyl)-4-thiazoleethanol (II) [27473-03-6] which had similar antiinflammatory activity to I. Some I amides formed as metabolites were also active. Penicillium duclauxi converted II stereospecifically to the 1,2-diol. The structures of the metabolites were confirmed by synthesis.

IT 27473-04-7

RL: PRP (Properties)
(as methyl 2-(4-chlorophenyl)-6-methoxypyrimidin-4-ylacetate

metabolite) IT 19899-98-0

RI: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process) (metabolism of, bw microorganisms)

40361-67-9P

RL: PREP (Preparation) (preparation of)

IT 27473-04-7

RL: PRP (Properties)

(as methyl 2-(4-chlorophenyl)-6-methoxypyrimidin-4-ylacetate metabolite)

RN 27473-04-7 HCAPLUS

CN 4-Pyrimidineethanol, 2-(4-chlorophenyl)-6-methoxy- (CA INDEX NAME)

IT 19899-98-0

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(metabolism of, by microorganisms)

RN 19899-98-0 HCAPLUS CN 4-Pyrimidineacetic

CN 4-Pyrimidineacetic acid, 2-(4-chlorophenyl)-6-methoxy-, methyl ester (CA INDEX NAME)

IT 40361-67-9P

RL: PREP (Preparation) (preparation of)

RN 40361-67-9 HCAPLUS

CN 4-Pyrimidineacetamide, 2-(4-chlorophenyl)-6-methoxy- (CA INDEX NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

Inventor search history

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(FILE 'HCAPLUS' ENTERED AT 12:15:55 ON 12 AUG 2010) L65 $24\ {\rm S}\ {\rm L63-L64}$
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L57
          849 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON MOHAN R?/AU
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L58
L59
            5 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L56 AND L57 AND L58
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L64
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              PYRET?))
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           849 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON MOHAN R?/AU
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                AND EXELIXIS?/CO,CS,PA,SO
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L66
L67
             13 SEA L62
L69
             22 SEA (L66 OR L67)
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L72 30 DUP REM L65 L69 (16 DUPLICATES REMOVED) ANSWERS '1-24' FROM FILE HCAPLUS ANSWERS '25-30' FROM FILE BIOSIS

Inventor search results

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CORPORATE SOURCE:

L72 ANSWER 1 OF 30 HCAPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 2009:104320 HCAPLUS Full-text

DOCUMENT NUMBER: 150:229205

TITLE: Discovery of XL335 (WAY-362450), a Highly Potent,

Selective, and Orally Active Agonist of the Farnesoid

X Receptor (FXR)

AUTHOR(S): Flatt, Brenton; Martin, Richard; Wang,

Tie-Lin; Mahaney, Paige; Murphy, Brett; Gu, Xiao-Hui; Foster, Paul; Li, Jialli; Pircher, Parinaz; Petrowski, Mary; Schulman, Ira; Westin, Stefan; Wrobel, Jay; Yan,

Grace; Bischoff, Eric; Daige, Chris; Mohan,

Raju

Departments of Medicinal Chemistry, Structural Biology, Molecular Biology, Lead Discovery and

Pharmacology, Exelixis Inc., San Diego, CA,

92121, USA

SOURCE: Journal of Medicinal Chemistry (2009), 52(4), 904-907

CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society

DOCUMENT TYPE: American Chemical

LANGUAGE: English

OTHER SOURCE(S): CASREACT 150:229205

AB Azepino[4,5-b]indoles have been identified as potent agonists of the farnesoid X receptor (FXR). In vitro and in vivo optimization has led to the

discovery of 6m (XL335, WAY-362450) as a potent, selective, and orally bioavailable FXR agonist (BC50 = 4 nM, Eff = 149%). Oral administration of 6m to LDLR-/- mice results in lowering of cholesterol and triglycerides. Chronic administration in an atherosclerosis model results in significant reduction in aortic arch lesions.

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
(4 CITINGS)

(4 011100

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L72 ANSWER 2 OF 30 HCAPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 2005:689233 HCAPLUS Full-text

DOCUMENT NUMBER: 143:259283

TITLE: FXR, a therapeutic target for bile acid and lipid

disorders

AUTHOR(S): Westin, Stefan; Heyman, Richard A.; Martin,

Richard

CORPORATE SOURCE: Exelixis Inc., San Diego, CA, 92121, USA

SOURCE: Mini-Reviews in Medicinal Chemistry (2005), 5(8),

719-727

CODEN: MMCIAE; ISSN: 1389-5575

PUBLISHER: Bentham Science Publishers Ltd.

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review. The farnesoid X receptor (FXR) is a nuclear receptor expressed in tissues exposed to high concns. of bile acids such as the liver, kidney and intestine and functions as a bile acid sensor. FXR regulates the expression of various transport proteins and biosynthetic enzymes crucial to the physiol. maintenance of lipids, cholesterol and bile acid homeostasis. The concept of reverse endocrinol., whereby the receptor is identified first, followed by the identification of ligands and the sequential elucidation of the physiol. role of the receptor has been widely used for a number of orphan nuclear receptors. The

design of synthetic high affinity ligands acting via these receptors not only helps to decipher the function of the receptor, but also should lead to the development of novel and highly specific drugs. The bile acid receptor FXR is a perfect example where this strategy helped with understanding the role of this receptor in cholesterol and bile acid homeostasis. Regulation of FXR through small-mol. drugs represents a promising therapy for diseases resulting from lipid, cholesterol and bile acid abnormalities.

OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)

REFERENCE COUNT: 60 THERE ARE 60 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L72 ANSWER 3 OF 30 HCAPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 3

ACCESSION NUMBER: 2004:531903 HCAPLUS Full-text

DOCUMENT NUMBER: 141:134344

TITLE: Regulation of PPARy coactivator 1lpha

(PGC- 1α) signaling by an estrogen-related

receptor α (ERR α) ligand

AUTHOR(S): Willy, Patricia J.; Murray, Ian R.; Qian, Jing; Busch,

Brett B.; Stevens, William C., Jr.; Martin, Richard; Mohan, Raju; Zhou, Sihong;

Ordentlich, Peter; Wei, Ping; Sapp, Douglas W.; Horlick, Robert A.; Heyman, Richard A.; Schulman,

Ira G.

CORPORATE SOURCE: Department of Biology, X-Ceptor Therapeutics, Inc.,

San Diego, CA, 92121, USA

SOURCE: Proceedings of the National Academy of Sciences of the United States of America (2004), 101(24), 8912-8917

CODEN: PNASA6; ISSN: 0027-8424 National Academy of Sciences

PUBLISHER: National DOCUMENT TYPE: Journal

LANGUAGE: English

ΔR Peroxisome proliferator-activated receptor γ (PPARy) coactivator 1α (PGC- 1α) is a transcriptional coactivator that is a key component in the regulation of energy production and utilization in metabolic tissues. Recent work has identified PGC-1α as a strong coactivator of the orphan nuclear receptor estrogen-related receptor α (ERR α), implicating ERR α as a potential mediator of PGC-1 α action. To understand the role of ERR α in PGC-1 α signaling, a parallel approach of highthroughput screening and gene-expression anal, was used to identify ERRa small-mol. regulators and target genes. We report here the identification of a potent and selective ERRa inverse agonist that interferes effectively with PGC-la/ERRadependent signaling. This inverse agonist inhibits the constitutive activity of ERRa in both biochem. and cell-based assays. Also, we demonstrate that monoamine oxidase B is an ERR α target gene whose expression is regulated by PGC-1 α and ERR α and inhibited by the ERR α inverse agonist. The discovery of potent and selective ERR α modulators and their effect on PGC-1 α signaling provides mechanistic insight into gene regulation by PGC-1 α . These findings validate ERR α as a promising therapeutic target in the treatment of metabolic disorders, including diabetes and

OS.CITING REF COUNT: 62 THERE ARE 62 CAPLUS RECORDS THAT CITE THIS

RECORD (62 CITINGS)

REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L72 ANSWER 4 OF 30 HCAPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 4

ACCESSION NUMBER: 2004:800209 HCAPLUS Full-text

DOCUMENT NUMBER: 141:424151

TITLE: Identification of a Selective Inverse Agonist for the

Orphan Nuclear Receptor Estrogen-Related Receptor

α

AUTHOR(S): Busch, Brett B.; Stevens, William C., Jr.;

Martin, Richard; Ordentlich, Peter;

Zhou, Sihong; Sapp, Douglas W.; Horlick, Robert A.;

Mohan, Raju

CORPORATE SOURCE: Departments of Medicinal Chemistry and Lead Discovery, X-Ceptor Therapeutics Inc., San Diego, CA, 92121, USA

SOURCE: Journal of Medicinal Chemistry (2004), 47(23),

SOURCE: Journal of Medicinal Chemistry (2004), 47(23),

5593-5596

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:424151

AB The estrogen-related receptor α (ERR α) is an orphan receptor belonging to the nuclear receptor superfamily. The physiol. role of ERR α has yet to be established primarily because of lack of a natural ligand. Herein, we describe the discovery of the first potent and selective inverse agonist (I) of ERR α . Through in vitro and in vivo studies, these ligands will elucidate the endocrine signaling pathways mediated by ERR α including association with human disease states. OS.CITING ERF

COUNT: 35 THERE ARE 35 CAPLUS RECORDS THAT CITE THIS RECORD (35 CITINGS)

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L72 ANSWER 5 OF 30 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2010:474402 HCAPLUS Full-text

DOCUMENT NUMBER: 152:476951

TITLE: 1-Phenylpyrrole compounds as mineralocorticoid

receptor antagonists and their preparation and use in the treatment of cardiovascular diseases

Nuss, John; Williams, Matthew; Mohan, Raju;

Martin, Richard; Wang, Tie-Lin; Tsuruoka, Hirovuki; Aoki, Kazumasa; Honzumi, Masatoshi; Asoh,

Yusuke; Saito, Keiji; Homma, Tsuyoshi

PATENT ASSIGNEE(S): Exelixis, Inc., USA; Daiichi Sankyo Co.,

Ltd.

SOURCE: PCT Int. Appl., 168pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

INVENTOR(S):

| PAT | ENT I | .OV | | | KIN | D | DATE | | | APPL | ICAT: | I NOI | NO. | | D | ATE | |
|-----|-------|------|-----|-----|-----|-----|------|------|-----|------|-------|-------|-----|-----|-----|------|-----|
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| WO | 2010 | 0426 | 26 | | A1 | | 2010 | 0415 | | WO 2 | 009-1 | JS59 | 852 | | 2 | 0091 | 007 |
| | W: | ΑE, | AG, | AL, | AM, | AO, | ΑT, | AU, | AZ, | BA, | BB, | BG, | BH, | BR, | BW, | BY, | BZ, |
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| | | SK, | SM, | TR, | BF, | ΒJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, |
| | | SN. | TD. | TG. | BW. | GH. | GM. | KE. | LS. | MW. | MZ. | NA. | SD. | SL. | SZ. | TZ. | UG. |

ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2008-103804P P 20081008

OTHER SOURCE(S): MARPAT 152:476951

AB The invention comprises a compound of formula I N-oxide, atropisomer of the foregoing, or pharmaceutically acceptable salt, for the prevention and/or treatment of cardiovascular diseases, nephropathy, fibrosis, primary aldosteronism or edema. Compds. of formula I wherein R1 is H and C1-3 alkvl; R2 is C1-4 hydroxyalkyl, C1-4 fluoroalkyl, C1-2 carbamoylalkyl, etc.; R3 is halo, C1-3 alkyl, C1-3 alkoxy, C1-3 haloalkyl, C1-3 haloalkoxy, etc.; R4 is H. halo and C1-3 alkvl; R5 is sulfamovl and C1-3 alkvlsulfonvl; R6 is H, halo, C1-3 alkyl and C1-3 alkoxy; and N-oxides, diastereoisomers, racemates, enriched in a diastereoisomer, atropisomers, equal mixts, of atropisomers and enriched in one atropisomer thereof, are claimed. Example compound II was prepared by a multistep procedure (procedure given) and the atropisomers were separated by chiral HPLC (absolute stereo not determined). All the invention compds, were evaluated for their mineralocorticoid receptor antagonistic activity. From the assay, it was determined that compound II exhibited an ICmax50 value of 11 nM and Imax of 110 %. Isomer A of II exhibited an ICmax50 value of 3.7 nM and Imax of 87 %, while isomer B showed an ICmax50 value of >

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

L72 ANSWER 6 OF 30 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2010:474394 HCAPLUS Full-text

DOCUMENT NUMBER: 152:453941

TITLE: Atropisomers of (hydroxyalkyl)pyrrole derivatives as

mineralocorticoid receptor antagonists and their preparation, pharmaceutical compositions and use in

the treatment of cardiovascular diseases
INVENTOR(S): Nuss, John; Williams, Matthew; Mchan, Raju;

Martin, Richard; Wang, Tie-Lin; Aoki, Kazumasa; Tsuruoka, Hiroyuki; Hayashi, Noriyuki;

Homma, Tsuvoshi

PATENT ASSIGNEE(S): Exelixis, Inc., USA; Daiichi Sankyo Co.,

Ltd.

SOURCE: PCT Int. Appl., 42pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

1000 nM.

| PA | TENT | NO. | | | KIN | D | DATE | | | APPL | ICAT | ION I | . OI | | Di | ATE | |
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| WO | 2010 | 0426 | 22 | | A1 | | 2010 | 0415 | | WO 2 | 009- | JS59 | 847 | | 2 | 0091 | 007 |
| | W: | ΑE, | AG, | AL, | AM, | AO, | AT, | AU, | AZ, | BA, | BB, | BG, | BH, | BR, | BW, | BY, | BZ, |
| | | CA, | CH, | CL, | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DO, | DZ, | EC, | EE, | EG, |
| | | ES, | FI, | GB, | GD, | GE, | GH, | GM, | GT, | HN, | HR, | HU, | ID, | IL, | IN, | IS, | JP, |
| | | KE, | KG, | KM, | KN, | KP, | KR, | KZ, | LA, | LC, | LK, | LR, | LS, | LT, | LU, | LY, | MA, |
| | | MD, | ΜE, | MG, | MK, | MN, | MW, | MX, | MY, | MZ, | NA, | NG, | NI, | NO, | NZ, | OM, | PE, |
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| | | SY, | TJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | ZA, | ZM, | ZW |
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| | | SK, | SM, | TR, | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, |
| | | SN, | TD, | TG, | BW, | GH, | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, |
| | | ZM, | ZW, | AM, | ΑZ, | BY, | KG, | KZ, | MD, | RU, | TJ, | TM | | | | | |
| PRIORIT | Y APP | LN. | INFO | . : | | | | | | US 2 | -800 | 1037 | 15P | | P 2 | 0081 | 800 |

OTHER SOURCE(S): MARPAT 152:453941

AB The invention comprises atropisomers of (hydroxyalkyl)pyrrole derivs. of formula I, which are mineralocorticoid receptor antagonists and useful in the prevention and/or treatment of cardiovascular diseases. Atropisomers of the formula I wherein R1 and R5 are independently C1-a alkyl; R2 is C4-6 hydroxyalkyl; R3 is halo, C1-3 (halo)alkyl and C1-3 alkyl; R4 is H, halo and C1-3 alkyl; R6 is H, halo, C1-3 alkyl and C1-3 alkoxy; R4 is H, halo and C1-3 alkyl; R6 is H, halo, C1-3 alkyl and C1-3 alkoxy; and their N-oxides, disstereomers, racemates, atropisomers and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared via ring-opening of (45,5S)-4,5-dimethyl-1,3,2-dioxathiolane 2,2-dioxide with 4-methyl-N-[4-methyl]shenyl]-5-[2- (trifluoromethyl)phenyl]-H-pyrrole-3-carboxamide followed by hydrolysis and resolution All the invention compds. were evaluated for their mineralocorticoid receptor antagonistic activity.

From the assay, one of the atropisomers II exhibited the IC50 value of 2.9 nM.

REFERENCE COUNT: 2 THER ARE 2 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L72 ANSWER 7 OF 30 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2009:1138805 HCAPLUS Full-text

DOCUMENT NUMBER: 151:381190

TITLE: Preparation of azabicyclo[3.2.1]octyl derivatives for use as 11 beta-HSD1 modulators

INVENTOR(S): Martin, Richard; Flatt, Brenton T.; Dalgard, Jackline Eve; Bollu, Venkataiah; Huang, Ping;

Mohan, Raju; Schweiger, Edwin; Wang, Tie Lin PATENT ASSIGNEE(S): Exelixis, Inc., USA

SOURCE: PCT Int. Appl., 387pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| | | ENT : | | | | | _ | DATE | | - | | ICAT | | | | D. | ATE | |
|-------|------|-------|------|------|-----|-----|-----|------|------|-----|------|-------|------|-----|-----|-----|------|-----|
| | | | | | | | - | | | | | | | | | - | | |
| | WO | 2009 | 1141 | 73 | | A1 | | 2009 | 0917 | 1 | WO 2 | 009-1 | US15 | 91 | | 2 | 0090 | 313 |
| | | W: | ΑE, | AG, | AL, | AM, | AO, | ΑT, | AU, | AZ, | BA, | BB, | BG, | BH, | BR, | BW, | BY, | BZ, |
| | | | CA, | CH, | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DO, | DZ, | EC, | EE, | EG, | ES, |
| | | | FI, | GB, | GD, | GE, | GH, | GM, | GT, | HN, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, |
| | | | KG, | KM, | KN, | KP, | KR, | KZ, | LA, | LC, | LK, | LR, | LS, | LT, | LU, | LY, | MA, | MD, |
| | | | ME, | MG, | MK, | MN, | MW, | MX, | MY, | MZ, | NA, | NG, | NI, | NO, | NZ, | OM, | PG, | PH, |
| | | | PL, | PT, | RO, | RS, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | ST, | sv, | SY, | TJ, |
| | | | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | ZA, | ZM, | zw | | |
| | | RW: | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FΙ, | FR, | GB, | GR, | HR, | HU, |
| | | | ΙE, | IS, | ΙT, | LT, | LU, | LV, | MC, | MK, | ΜT, | NL, | NO, | PL, | PT, | RO, | SE, | SI, |
| | | | SK, | TR, | BF, | ΒJ, | CF, | CG, | CI, | CM, | GΑ, | GN, | GQ, | GW, | ML, | MR, | ΝE, | SN, |
| | | | TD, | ΤG, | BW, | GH, | GM, | KΕ, | LS, | MW, | ΜZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, |
| | | | ZW, | AM, | ΑZ, | BY, | KG, | KZ, | MD, | RU, | ΤJ, | TM | | | | | | |
| | US | 2009 | 0247 | 515 | | A1 | | 2009 | 1001 | 1 | US 2 | 009- | 3816 | 82 | | 2 | 0090 | 313 |
| | US | 2010 | 0105 | 675 | | A2 | | 2010 | 0429 | | | | | | | | | |
| PRIOR | RITY | APP | LN. | INFO | .: | | | | | | | 008- | | | | _ | 0080 | |
| | | | | | | | | | | 1 | US 2 | 008- | 2037 | 20P | 1 | P 2 | 0081 | 223 |
| | | | | | | | | | | | | | | | | | | |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 151:381190

NB Title compde. I [L = CR12R13, CR12R130, CR12R13CH20, CR12R13S, or CR12R13S(0)2; X = 0 or S; R1 = (un) substituted Ph, 2-pyridinyl, naphthyl, etc; R2 = (un) substituted Ph, C(0)Ph, benzyl, or heteroaryl; R11 = H, alkyl, alkenyl, or alkynyl; R12 = H, halo, alkyl, alkenyl, or alkynyl; R13 = halo, alkyl, alkenyl, or alkynyl; or R12 and R13 together with the carbon to which they are attached form cycloalkyl], and their pharmaceutically acceptable salts, are prepared and disclosed as 11 B-hydroxysteroid dehydrogenase type 1

(11 B-HSD1) modulators. Thus, e.g., II was prepared by protection of 8-methyl-8-azabicyclo[3.2,1]octan-3-endo-amine with di-tert-Bu dicarbonate followed by carboxylation with 2,2,2-trichloroethyl chloroformate, deprotection, heteroarylation with Me 6-chloronicotinate, deprotection, and amidation with $1-(4-{\rm chlorophenyl})$ cyclopropanecarboxylic acid. Select I were evaluated in human 11 B-HSD1 inhibition assays, e.g., II demonstrated an IC50 value of <200 nm.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L72 ANSWER 8 OF 30 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2008:736475 HCAPLUS Full-text

DOCUMENT NUMBER: 149:79594

TITLE: Pyrazole derivatives as LXR and FXR modulators and their preparation, pharmaceutical compositions and use in the treatment of diseases

INVENTOR(S): Boren, Brant Clayton; Busch, Brett B.; Gu, Xiao-Hui;
Jammalamadaka, Vasu; Lu, Shao-Po; Martin,

Richard; Mohan, Raju; Schweiger, Edwin;

Stevens, William C., Jr.; Wang, Tie-Lin; Xie, Yinong;

Xu, Wei

PATENT ASSIGNEE(S): Exelixis, Inc., USA SOURCE: PCT Int. Appl., 355pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PA' | TENT | NO. | | | KIN | D | DATE | | | APPI | LICAT | ION I | NO. | | D | ATE | |
|-------|-------|------|------|-----|-----|-----|------|------|-----|------|--------|-------|-----|-----|-----|------|-----|
| WO | 2008 | 0738 | 25 | | A1 | | 2008 | 0619 | | wo : | 2007- | US86 | 787 | | 2 | 0071 | 207 |
| | W: | AE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | , BG, | BH, | BR, | BW, | BY, | BZ, | CA, |
| | | CH, | CN, | co, | CR, | CU, | CZ, | DE, | DK, | DM, | , DO, | DZ, | EC, | EE, | EG, | ES, | FI, |
| | | GB, | GD, | GE, | GH, | GM, | GT, | HN, | HR, | HU, | , ID, | IL, | IN, | IS, | JP, | KE, | KG, |
| | | KM, | KN, | KP, | KR, | KZ, | LA, | LC, | LK, | LR, | , LS, | LT, | LU, | LY, | MA, | MD, | ME, |
| | | MG, | MK, | MN, | MW, | MX, | MY, | MZ, | NA, | NG, | , NI, | NO, | NZ, | OM, | PG, | PH, | PL, |
| | | PT, | RO, | RS, | RU, | SC, | SD, | SE, | SG, | SK, | , SL, | SM, | SV, | SY, | ΤJ, | TM, | TN, |
| | | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | , ZA, | ZM, | ZW | | | | |
| | RW: | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | , ES, | FΙ, | FR, | GB, | GR, | HU, | ΙE, |
| | | IS, | IT, | LT, | LU, | LV, | MC, | MT, | NL, | PL, | , PT, | RO, | SE, | SI, | SK, | TR, | BF, |
| | | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | , ML, | MR, | ΝE, | SN, | TD, | TG, | BW, |
| | | | | | | | | | SD, | SL, | , SZ, | TZ, | UG, | ZM, | ZW, | AM, | ΑZ, |
| | | BY, | KG, | | | | TJ, | | | | | | | | | | |
| AU | 2007 | 3331 | 94 | | A1 | | 2008 | 0619 | | AU 2 | 2007- | 3331 | 94 | | 2 | 0071 | 207 |
| | | | | | | | | | | | 2009- | | | | | | |
| EP | | | | | | | | | | | 2007- | | | | | 0071 | |
| | R: | | | | | | | | | | , ES, | | | | | | |
| | | | | | | | LV, | MC, | MT, | NL, | , PL, | PT, | RO, | SE, | SI, | SK, | TR, |
| | | | BA, | | | | | | | | | | | | | | |
| | 2010 | | | | | | | | | | 2009- | | | | | 0071 | |
| | 2009 | | | | | | 2009 | | | | 2009-1 | | | | | 0090 | |
| | 2009 | | | | | | | | | | 2009- | | | | | 0090 | |
| | 1016 | | | | | | | | | | 2007- | | | | | 0090 | |
| | 2010 | | | | A1 | | 2010 | 0318 | | | 2009- | | | | | 0091 | |
| IORIT | Y APP | LN. | INFO | . : | | | | | | | 2006- | | | | | 0061 | |
| | | | | | | | | | | WO 2 | 2007-1 | D286 | 187 | | n 2 | 0071 | 207 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 149:79594

B Compds. of the invention are disclosed, such as compds. of formula I, and

pharmaceutically acceptable salts, isomers, or prodrugs thereof, which are useful as modulators of the activity of liver X receptors (LXR) and Farnesoid X receptors (FXR). Pharmaceutical compos. containing the compds. and methods of using the compds. are also disclosed. Compds. of formula I wherein J1 is N and J2 is CR4; J1 is CR5 and J2 is N; R1, R3 and R5 are independently (un)substituted biaryl, (un) substituted heterobiaryl, (un) substituted aryl-heteroaryl, (un) substituted (hetero)aryl, etc.; R2 and R4 are independently (un)substituted alkyl, (un) substituted alkenyl, (un) substituted alkynyl, (un) substituted alkoxyalkyl, (un) substituted C3-6 cycloalkyl, (un) substituted heteroaryl, etc.; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by cyanation of 5-(bromomethyl)-1-(2-chlorophenyl)-3-trifluoromethyl-1Hpyrazole; the resulting (1-(-2-chlorophenyl)-3-trifluoromethyl-1H-pyrazol-5yl)acetonitrile underwent hydrolysis to give (1-(-2-chlorophenyl)-3trifluoromethyl-1H-pyrazol-5-yl)acetic acid, which underwent amidation with quinolin-6-ylamine to give compound II. All the invention compds. were evaluated for their LXR and FXR modulatory activity. Form the assay, it was determined that compound II exhibited EC50 value < 1 µM.

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS)

REFERENCE COUNT: THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L72 ANSWER 9 OF 30 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2008:389735 HCAPLUS Full-text

TITLE: Indoleazepines as a new class of nonsteroidal agonists of the farnesoid X receptor: Identification of

> WAY-362450 (FXR-450) as a clinical candidate for the treatment of dyslipidemia

AUTHOR(S): Mahaney, Paige E.; Harnish, Douglas C.; Abou-Gharbia, Magid A.; Bischoff, Eric; Borges-Marcucci, Lisa;

Evans, Mark J.; Flatt, Brenton T.; Gantan, Elizabeth;

Gardell, Stephen J.; Gu, Xiao-Hui; Lai, KehDeh; Magolda, Ronald L.; Martin, Richard;

Mohan, Raju; Ordentlich, Peter;

Schulman, Ira; Unwalla, Rayomand J.; Vlasuk, George P.; Wang, Shuguang; Wang, Tie-Lin; Westin, Stefan;

Wrobel, Jav E.; Xu, Weixin; Yan, Grace; Zhang, Songwen Department of Chemical and Screening Sciences, Wyeth

Research, Collegeville, PA, 19426, USA

Abstracts of Papers, 235th ACS National Meeting, New SOURCE: Orleans, LA, United States, April 6-10, 2008 (2008),

MEDI-181. American Chemical Society: Washington, D.

CODEN: 69KNN3

DOCUMENT TYPE: Conference; Meeting Abstract; (computer optical disk) LANGUAGE:

English

CORPORATE SOURCE:

The nuclear hormone receptor farnesoid X receptor (FXR) plays a critical role in the regulation of bile acid synthesis and triglyceride and cholesterol homeostasis. Synthetic agonists of FXR that are potent in vitro, including GW4064, fexaramine, and 6-Et chenodeoxycholic acids (6-ECDCAs) have been previously described; however, they have limited clin, utility due to poor physiochem., pharmacokinetic, and/or toxicol. profiles. Here we report the identification of a new structural scaffold of FXR agonists, namely the indoleazepines which were identified as weak, partial agonists via highthroughput screening. SAR investigations led to the identification of two important interactions within the ligand-binding domain, a lipophilic interaction made with a geminal di-Me group, and a hydrogen-bonding interaction formed with a carbonyl group on a pendant amide. These interactions were confirmed using X-ray structural information. Based on these observations, a highly potent FXR agonist, WAY-362450 was identified

having an EC50 value of 5 nM in a co-transfection functional assay with 149% efficacy when compared to the endogenous ligand, CDCA. In addition, WAY-362450 had an EC50 value of 16 nM in an alternate functional assay using the FXR-LBD with a Gal4-DBD in HEK293 cells, exhibiting 179% efficacy vs. GW4064. WAY-392450 also activated known FXR target genes following treatment of primary human hepatocytes. In LDLRKO mice consuming a western diet or in KKAy mice predisposed to dyslipidemia, WAY-362450 decreased serum triglyceride levels comparable to the PPARalpha ligand, fenofibrate. Gene expression anal. clearly demonstrated that WAY-362450 modulates genes distinct from fenofibrate involved in both triglyceride clearance and triglyceride synthesis; however, unlike fenofibrate, WAY-362450 also decreased total cholesterol levels in both models. Taken together, these and other data, support the clin, evaluation of WAY-362450 as a treatment for dyslipidemia.

L72 ANSWER 10 OF 30 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2007:670485 HCAPLUS Full-text

DOCUMENT NUMBER: 147:95645

TITLE: Azepinoindole derivatives as farnesoid X receptor modulators and their preparation, pharmaceutical

compositions and use as pharmaceutical agents

Baik, Taegon; Buhr, Chris A.; Busch, Brett B.; Chan, INVENTOR(S): Diva Sze-Ming; Flatt, Brenton T.; Gu, Xiao Hui;

Jammalamadaka, Vasu; Khoury, Richard George; Lara, Katherine; Ma. Sunghoon; Martin, Richard;

Mohan, Raju; Nuss, John M.; Parks, Jason

Jevious

PATENT ASSIGNEE(S): Exelixis, Inc., USA

SOURCE: PCT Int. Appl., 244pp. CODEN: PIXXD2

DOCUMENT TYPE: Pat.ent. LANGUAGE · English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PA | TENT | NO. | | | KIN | D | DATE | | | APPL | ICAT | ION | NO. | | D. | ATE | |
|----|------|------|--------|-----|-----|-----|------|------|-----|------|------|------|-----|-----|-----|------|-----|
| WO | 2007 | 0707 | 96 | | A1 | _ | 2007 | 0621 | | WO 2 | 006- | US61 | 928 | | 2 | 0061 | 212 |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | ΑZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, |
| | | GE, | GH, | GM, | GT, | HN, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KΕ, | KG, | KM, | KN, |
| | | KP, | KR, | ΚZ, | LA, | LC, | LK, | LR, | LS, | LT, | LU, | LV, | LY, | MA, | MD, | MG, | MK, |
| | | MN, | MW, | MX, | MY, | MZ, | NA, | NG, | NI, | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, |
| | | RS, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | SV, | SY, | ΤJ, | TM, | TN, | TR, | TT, |
| | | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | ZA, | ZM, | ZW | | | | | | |
| | RW: | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, |
| | | IS, | IT, | LT, | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | BF, | ВJ, |
| | | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG, | BW, | GH, |
| | | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | ΑZ, | BY, |
| | | KG, | KZ, | MD, | RU, | TJ, | TM | | | | | | | | | | |
| AU | 2006 | 3258 | 15 | | A1 | | 2007 | 0621 | | AU 2 | 006- | 3258 | 15 | | 2 | 0061 | 212 |
| CA | 2633 | 243 | | | A1 | | 2007 | 0621 | | CA 2 | 006- | 2633 | 243 | | 2 | 0061 | 212 |
| EP | 1963 | 331 | | | A1 | | 2008 | 0903 | | EP 2 | 006- | 8465 | 70 | | 2 | 0061 | 212 |
| | R: | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FΙ, | FR, | GB, | GR, | HU, | IE, |
| | | IS, | IT, | LI, | LT, | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR | |
| JP | 2009 | 5199 | 64 | | T | | 2009 | 0521 | | JP 2 | -800 | 5459 | 37 | | 2 | 0061 | 212 |
| IN | 2008 | DN04 | 896 | | A | | 2008 | 0808 | | IN 2 | 008- | DN48 | 96 | | 2 | 0080 | 606 |
| MX | 2008 | 0078 | 11 | | A | | 2008 | 0703 | | MX 2 | 008- | 7811 | | | 2 | 0080 | 613 |
| CN | 1013 | 7484 | 2 | | A | | 2009 | 0225 | | CN 2 | 006- | 8005 | | | | | |
| US | 2009 | 0203 | 577 | | A1 | | 2009 | 0813 | | US 2 | 009- | 9696 | 1 | | 2 | 0090 | 213 |

PRIORITY APPLN. INFO.:

US 2005-750634P P 20051215 US 2005-750679P P 20051215 WO 2006-US61928

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT MARPAT 147:95645 OTHER SOURCE(S):

The invention relates to compds. of formula I, which exhibit affinity for the farnesoid X receptor (FXR). Compds. of formula I wherein R1 is CJR11, CJOR11, and CJNH2 and derivs.; J is a bond, O, and NH and derivs.; n is 0 to 4; R3 is H, acvl, and NH2 and derivs.: R6 and R7 are independently (un)substituted alkyl,

(un) substituted cycloalkyl, and (un) substituted cycloalkylalkyl; R8 is OH,

(un) substituted alkyl, (un) substituted cycloalkenyl, (un) substituted alkynyl, halo, haloalkyl, etc.; R11 is H, (un)substituted alkyl, (un)substituted alkenyl,

(un)substituted alkynyl, (un)substituted cycloalkyl, etc.; and their

pharmaceutically acceptable derivs. thereof, are claimed. Example compound II was prepared by acylation of iso-Pr 1,2,3,6-tetrahydroazepino[4,5-b]indole-5carboxylate with benzoyl chloride. All the invention compds, were evaluated for their farnesoid X modulatory activity (data given). OS.CITING REF COUNT:

THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS) REFERENCE COUNT: THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L72 ANSWER 11 OF 30 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2007:227924 HCAPLUS Full-text

DOCUMENT NUMBER: 146:295926

TITLE: Preparation of heterocyclic carboxamide compounds as

pharmaceutical agents

INVENTOR(S): Flatt, Brenton T.; Gu, Xiao Hui; Martin, Richard; Mohan, Raju; Murphy, Brett;

Nyman, Michael Charles; Stevens, William C.; Wang, Tie

Lin; Bannen, Lynne Canne PATENT ASSIGNEE(S): Exelixis, Inc., USA PCT Int. Appl., 111 pp.

SOURCE: CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| P. | ATEN | T I | .00 | | | KIN | D | DATE | | - 2 | APPL | ICAT: | ION | NO. | | D | ATE | |
|--------|------|-----|------|------|------|-------|------|------|------|-------|-------|-------|------|-------|------|------|------|------------|
| | 0 20 | 07 | 0247 | 44 | | | | 2007 | | 1 | WO 2 | | JS32 | | | 2 | 0060 | 818 |
| W | 0 20 | 0.7 | 0247 | 44 | | A3 | | 2007 | 0607 | | | | | | | | | |
| | W | : | ΑE, | AG, | AL, | AM, | ΑT, | AU, | ΑZ, | BA, | BB, | BG, | BR, | BW, | BY, | ΒZ, | CA, | CH, |
| | | | CN, | co, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, |
| | | | GE, | GH, | GM, | HN, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KM, | KN, | KP, |
| | | | KR, | KZ, | LA, | LC, | LK, | LR, | LS, | LT, | LU. | LV, | LY, | MA, | MD, | MG, | MK, | MN, |
| | | | MW. | MX. | MY. | MZ, | NA. | NG, | NI, | NO. | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RS, |
| | | | | | | | | SK. | | | | | | | | | | |
| | | | UA, | UG. | US. | UZ, | VC. | VN, | ZA. | ZM. | ZW | | | | | | | |
| | P | w: | | | | | | CZ, | | | | ES, | FI, | FR, | GB, | GR, | HU, | IE, |
| | | | IS, | IT, | LT, | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | BF, | BJ, |
| | | | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG, | BW, | GH, |
| | | | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | AZ, | BY, |
| | | | KG, | KZ, | MD, | RU, | TJ, | TM, | AP, | EA, | EP, | OA | | | | | | |
| PRIORI | TY A | PP: | LN. | INFO | . : | | | | | 1 | US 2 | 005- | 7102 | 73P | 1 | P 2 | 0050 | 821 |
| OTHER | SOUF | CE | (S): | | | CASI | REAC | T 14 | 6:29 | 5926 | ; MAI | RPAT | 146 | :295 | 926 | | | |
| AB | Titl | e | comp | ds. | repr | esen | ted | by t | he f | ormu. | la I | & I | I [w | here. | in R | 1, R | 2 = | |
| indepe | nden | t1 | y H, | CN, | (un |) sub | stit | uted | alk | y1, | etc. | ; R4 | , R7 | = i: | ndep | ende | ntly | |
| | | | | | | | | | | | | | | | | | | , alkenyl, |

etc.; R6 = H; with the proviso; and isomers, solvates or polymorphs; or prodrugs or metabolites; or pharmaceutically acceptable salts thereof] were prepared in modulating the activity of steroid nuclear receptors. For example, amidation of 2-ethyl-5-methyl-1-(2-trifluoromethylphenyl)-H-midazole-4-carboxylic acid with 4-methylsulfonylaniline gave III in 66% yield. OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD

L72 ANSWER 12 OF 30 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2007:11808 HCAPLUS $\underline{\text{Full-text}}$

DOCUMENT NUMBER: 146:121964

TITLE: Imidazole based LXR modulators and their preparation, pharmaceutical compositions and use in the treatment

of diseases

INVENTOR(S): Busch, Breet B.; Flatt, Brenton T.; Gu, Xiao Hui; Lu, Shao Po; Martin, Richard; Mohan,

Raju; Nyman, Michael Charles; Schweiger, Edwin;

Stevens, William C., Jr.; Wang, Tie Lin; Xie, Yinong PATENT ASSIGNEE(S): Exelimis, Inc., USA

SOURCE: PCT Int. Appl., 268 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2 PATENT INFORMATION:

PR

| PA: | TENT I | .OV | | | KIN | | DATE | | | | | ION | | | D | ATE | |
|------|--------------|-------|------|-----|-----|-----|------|------|-----|------|------|--------------|-------|-----|-----|--------------|-----|
| WO | 2007 | 0025 | 63 | | | | | | | | | | | | 2 | 0060 | 626 |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, |
| | | GE, | GH, | GM, | HN, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KM, | KN, | KP, |
| | | KR, | KZ, | LA, | LC, | LK, | LR, | LS, | LT, | LU, | LV, | LY, | MA, | MD, | MG, | MK, | MN, |
| | | MW, | MX, | MZ, | NA, | NG, | NI, | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RS, | RU, |
| | | SC, | SD, | SE, | SG, | SK, | SL, | SM, | SY, | ΤJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, |
| | | US, | UZ, | VC, | VN, | ZA, | ZM, | ZW | | | | | | | | | |
| | RW: | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, |
| | | IS, | ΙT, | LT, | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | BF, | BJ, |
| | | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | ΝE, | SN, | TD, | TG, | BW, | GH, |
| | | | | | | | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | ΑZ, | BY, |
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| ΕP | 1910 | | | | | | | | | | | | | | | 0060 | |
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| | 2008 | | | | | | 2008 | | | | | | | | | 0060 | |
| | 1628 | | | | | | 2010 | | | | | | | | | 0060 | |
| | 1628 | | | | | | 2010 | | | | | 4273 | | | | 0060 | |
| | 5452 | | | | | | 2007 | | | | | | | | | 0060 | |
| | 5452 | | | | A1 | | 2007 | | | | | 1027 | | | | 0060 | |
| | 2007 | | | | | | 2008 | | | | | 1058 | | | | 0071 | |
| | 2008 | | | | | | 2008 | | | | | 141 | | | | 0071 | |
| | 2007 | | | | | | 2008 | | | | | DN10 | | | | 0071 | |
| | 2008 | | | | | | 2008 | | | | | 7018 | | | | 0800 | |
| | 2010 | | | | | | 2008 | | | UN Z | 006- | 8003 9935 | 0.791 | | | 0080 0091 | |
| | 2010 APP: | | | | AI | | Z010 | U3Z5 | | | | | | | | | |
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1373,134

WO 2006-US24757 W 20060626

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): CASREACT 146:121964; MARPAT 146:121964

Compds. of the invention, such as compds. of formulas I, II, III and IV and pharmaceutically acceptable salts, isomers, and prodrugs thereof, are useful as modulators of the activity of liver X receptors. Pharmaceutical compns. containing the compds. and methods of using the compds. are also disclosed. Compds. of formulas I - IV wherein R1 is (un)substituted (hetero)arvl, (un)substituted C3-8 cycloalkyl, (un)substituted alkyl, (un)substituted acyl, (un)substituted thioacyl, sulfonvl, ether, etc.; R2 and R21 are independently (un)substituted alkyl, (un) substituted alkyldiyl, H, halo, NO2, (hetero) aryl, etc.; R3 is (un) substituted alkyl, (un)substituted alkyldiyl, (un)substituted (hetero)aryl, CN, etc.; G is (un) substituted (hetero) aryl, (un) substituted (hetero) biaryl, (un) substituted alkylaryl, etc.; and their pharmaceutically acceptable salts, isomers, and prodrugs thereof are claimed. Example compound V was prepared by addition of 2,5dichloroaniline to 5-bromothiophene-2-carbonitrile; the resulting 5-bromo-N-(2,5dichlorophenyl)thiophene-2-carboxamide underwent cyclization with 1-bromo-3,3,3trifluoroacetone to give 2-(5-bromothien-2-y1)-1-(2,5-dichloropheny1)-4trifluoromethyl-4,5-dihydro-1H-imidazol-4-ol, which underwent dehydration to give 2-(5-bromothien-2-y1)-1-(2,5-dichloropheny1)-4-trifluoromethyl-1H- imidazole,, which underwent Suzuki cross-coupling with 3-methylsulfonylphenylboronic acid to give compound V. All the invention compds, were evaluated for their LXR modulatory activity. From the assay, it was determined that several of the tested compound exhibited IC50 values of < 1 µM. Compds. of the invention, such as compds. of Formulas Ia, Ib, Ic, or Id and pharmaceutically acceptable salts, isomers, and prodrugs thereof, which are useful as modulators of the activity of liver X receptors, where R1, R2, R21, R3, and G are defined herein. Pharmaceutical compns. containing the compds, and methods of using the compds, are also disclosed.

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L72 ANSWER 13 OF 30 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2007:14431 HCAPLUS Full-text

DOCUMENT NUMBER: 146:121962 TITLE: Pyrazole ba

TITLE: Pyrazole based LXR modulators and their preparation, pharmaceutical compositions and use in the treatment

of diseases

INVENTOR(S): Busch, Breet B.; Flatt, Brenton T.; Gu, Xiao Hui;
Martin, Richard; Mohan, Raju; Nyman,

Michael Charles; Schweiger, Edwin; Stevens, William

C., Jr.; Wang, Tie Lin; Xie, Yinong

PATENT ASSIGNEE(S): Exelixis, Inc., USA

SOURCE: PCT Int. Appl., 533 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT | NO. | | | KIN | D | DATE | | | APPL: | ICAT | ION : | NO. | | D. | ATE | |
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| | | | | | _ | | | | | | | | | _ | | |
| WO 200 | 70025 | 59 | | A1 | | 2007 | 0104 | | WO 2 | 006- | US24 | 749 | | 2 | 0060 | 626 |
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                  GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
                  KG, KZ, MD, RU, TJ, TM
                                        20070104 AU 2006-261841
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      CA 2613517
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                                          20070104 CA 2006-2613517
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                                   A1
                                          20080416 EP 2006-785558
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                  BA, HR, MK, RS
      JP 2008543970
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      SG 162803
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      AR 54522 AI 20070627 AR 2006-102761

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IN 2007DN10016 A 20080620 IN 2007-200800013

NO 2008000391
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                                A 20081231 ZA 2007-10582 20071219
A 20080522 MX 2007-2008000138 20071219
A 20080620 IN 2007-DN10016 20071224
A 20080319 NO 2008-391 20080121
A 20080402 KR 2008-701957 20080124
A 20080820 CN 2006-80030647 20080222
US 2005-694372P P 20050627
US 2005-736120P P 20051020
WO 2006-US24749 W 2006626
                                                                                            20071205
      KR 2008028964
CN 101248048
PRIORITY APPLN. INFO.:
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OTHER SOURCE(S): MARPAT 146:121962

Compds. of the invention, such as compds. of formulas I, II, III, and IV and pharmaceutically acceptable salts, isomers, and prodrugs thereof, which are useful as modulators of the activity of liver X receptors. Pharmaceutical compns. containing the compds. and methods of using the compds. are also disclosed. Compds. of formulas I - IV wherein R1 is (un)substituted (hetero)arvl, (un) substituted alkyl, (un) substituted alkenyl, (un) substituted (thio) ethers, etc.; R2 and R21 are independently (un) substituted alkyl, (un) substituted alkenyl, (un) substituted alkyldiyl, H, halo, NO2, CN, (hetero) aryl, etc.; R3 is (un) substituted alkyl, (un) substituted alkyldiyl, (un) substituted alkenyl, (un) substituted acetyl, (un) substituted thioacetyl, etc.; G is (un) substituted (hetero)aryl, (un)substituted biaryl, (un)substituted alkenoyl, etc.; and their pharmaceutically acceptable salts, isomers, and prodrugs thereof, are claimed. Example compound V was prepared by acylation of 2-acetyl-5-bromothiophene with Et trifluoroacetate; the resulting 1-(5-bromothien-2-v1)-4,4,4-trifluorobutane-1,3dione underwent cyclization with 2,5-dichlorophenylhydrazine hydrochloride to give 5-(5-bromothien-2-v1)-1-(2.5-dichlorophenv1)-3-trifluoromethv1-1H-pvrazole, which underwent Suzuki cross-coupling with 3-aminosulfonylphenylboronic acid to give compound II. All the invention compds. were evaluated for their LXR modulatory activity. From the assay, it was determined that several of the tested compds. exhibited IC50 values of $< 1 \mu M$.

OS.CITING REF COUNT: THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

REFERENCE COUNT: THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS 12 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L72 ANSWER 14 OF 30 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2006:699903 HCAPLUS Full-text DOCUMENT NUMBER: 145:145709

TITLE: Preparation of heterocyclic carboxamide compounds as

steroid nuclear receptors ligands

INVENTOR(S): Flatt, Brenton; Gu, Xiao-Hui; Martin, Richard ; Mohan, Raju; Murphy, Brett; Nyman, Michael C.; Stevens, William C., Jr.; Wang, Tie-Lin

PATENT ASSIGNEE(S): Exelixis, Inc., USA
SOURCE: PCT Int. Appl., 196 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| | TENT : | | | | KIN | | | | | | ICAT | | | | | ATE | |
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| | 2006 | | | | | | | | | | | | | | | | |
| | W: | | | | | | | | | | BG, | | | | | | |
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| | | ΜZ, | NA, | NG, | NI, | NO, | ΝZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, |
| | | SG, | SK, | SL, | SM, | SY, | TJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, |
| | | VN, | YU, | ZA, | ZM, | ZW | | | | | | | | | | | |
| | RW: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, |
| | | IS, | IT, | LT, | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | BF, | BJ, |
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| | | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | AZ, | BY, |
| | | KG, | KZ, | MD, | RU, | TJ, | TM | | | | | | | | | | |
| AU | 2006 | 2052 | 20 | | A1 | | 2006 | 0720 | - 1 | AU 2 | 2006- | 2052 | 20 | | 2 | 0060 | 106 |
| CA | 2593 | 156 | | | A1 | | 2006 | 0720 | | CA 2 | 2006- | 2593 | 156 | | 2 | 0060 | 106 |
| EP | 1844 | 020 | | | A1 | | 2007 | 1017 | 1 | EP 2 | 2006- | 7175 | 06 | | 2 | 0060 | 106 |
| | R: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, |
| | | | | | | | | | | | PT. | | | | | | |
| | | BA. | HR, | MK. | YU | | | | | | | | | | | | |
| JP | 2008 | 5268 | 69 | | т | | 2008 | 0724 | | JP 2 | 2007- | 5504 | 62 | | 2 | 0060 | 106 |
| PRIORIT | | | | | _ | | | | | | 2005- | | | | | 0050 | 110 |
| | | | | | | | | | | | 2006-1 | | | | | 0060 | |
| | | | | | | | | | | | | | - | | | | |

OTHER SOURCE(S): CASREACT 145:145709; MARPAT 145:145709 Imidazole-4-carboxamides (I) and imidazole-2-carboxamide (II) (R1, R2 = H, cyano, halo, each (un) substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; R5 = H, each alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; R4 = each (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; R6 = H; R7 = each (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, aralkyl, heteroaryl or heteroaralkyl] as single isomers, mixture of isomers, or as racemic mixts, of isomers or as solvates or polymorphs or as prodrugs or metabolites or as pharmaceutically acceptable salts thereof are prepared These compds. are useful in modulating the activity of steroid nuclear receptors and thereby for the treatment of a disease, or disorder mediated by, or otherwise affected by one or more steroid nuclear receptors (in particular mineralocorticoid receptor), or in which steroid nuclear receptor activity is implicated. The above disease or disorder is related to cancer, infertility, one or more metabolic syndromes, bone or cartilage dysfunction, immune dysfunction, cognitive dysfunction, high blood pressure, heart disease, renal disease, fibrosis, epidermal dysfunction, or muscle wasting. Thus, to a stirred mixture of 1,4-dimethyl-5-(2-phenoxyphenyl)-1H-imidazole-2-carboxylic acid Et ester (202 mg, 0.60 mmol) and 4-methanesulfonylaniline (136 mg, 0.80 mmol) in toluene (5 mL, anhydrous) was added dropwise Me3Al (2.0 M in toluene, 0.4 mL, 0.8 mmol) under N at ambient temperature and the resulting mixture was stirred at 100° in a sealed vial for 10 h to give, after silica gel chromatog., 1,4-dimethyl-5-(2-phenoxyphenv1)-1H-imidazole-2-carboxylic acid (4-methanesulfonvlphenv1)amide (III). III showed antagonist activity against mineralocorticoid receptor with IC50 of <0.5 uM which was ten-fold greater than the antagonist activity against androgen receptor (AR), estrogen receptor a (ERa), glucocorticoid receptor (GR), and

progesterone receptor (PR). OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS) REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

L72 ANSWER 15 OF 30 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2006:411688 HCAPLUS Full-text

144:450700 DOCUMENT NUMBER:

TITLE: Preparation of benzylidene thiazolones as

a-estrogen receptors modulators

INVENTOR(S): Martin, Richard; Mohan, Raju;

Busch, Brett B.; Nyman, Michael Charles; Stevens, William C., Jr.

PATENT ASSIGNEE(S): Exelixis, Inc., USA SOURCE: PCT Int. Appl., 135 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PR

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|-------|-------|------|------|-----|-----|-----|------|------|-----|------|------|------|-----|-----|-----|------|-----|
| | 2006 | | | | | | | | | | 005- | | | | | 0051 | 021 |
| | W: | AE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, |
| | | | | | | | | | | | EC, | | | | | | |
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| | | | ZA. | | | | | | | | | | | | | | |
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| | | | | | RU. | | | | | | | | | | | | |
| AU | 2005 | 2998 | 29 | , | A1 | | 2006 | 0504 | | AU 2 | 005- | 2998 | 29 | | 2 | 0051 | 021 |
| CA | 2583 | 271 | | | A1 | | 2006 | 0504 | | CA 2 | 005- | 2583 | 271 | | 2 | 0051 | 021 |
| EP | 1805 | 154 | | | A2 | | 2007 | 0711 | | EP 2 | 005- | 8124 | 11 | | 2 | 0051 | 021 |
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| | | BA, | HR, | MK, | YU | | | | | | | | | | | | |
| JP | 2008 | 5179 | 25 | | T | | 2008 | 0529 | | JP 2 | 007- | 5380 | 58 | | 2 | 0051 | 021 |
| US | 2009 | 0197 | 870 | | A1 | | 2009 | 0806 | | US 2 | 007- | 5776 | 11 | | 2 | 0070 | 420 |
| IORIT | Y APP | LN. | INFO | . : | | | | | | US 2 | 004- | 6212 | 96P | | P 2 | 0041 | 022 |
| | | | | | | | | | | WO 2 | 005- | us37 | 853 | | W 2 | 0051 | 021 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): CASREACT 144:450700; MARPAT 144:450700

Title compds. represented by the formula I [wherein R1, R2 = independently (un) substituted (cyclo) alkyl, alkenyl, alkynyl, etc.; or R1R2N = (un) substituted heterocyclyl or heteroaryl; R3 = H, halo or (un)substituted alkyl; R4 = independently halo, cyano, (un) substituted alkyl, etc.; m = 1 or 2; n = 0-4; X, Y = independently O, NR8, SOp or a direct bond; p = 0-2; R8 = H or (un)substituted alkyl; L = (un)substituted alkylene, cycloalkyl, alkenylene or alkynylene; A = (un) substituted (hetero) aryl; and pharmaceutically acceptable salts thereof] were prepared as α -estrogen receptors (ERR α) modulators. For example, II was provided in a multi-step synthesis starting from reaction of 1-bromomethy1-2,4bis(trifluoromethyl)benzene with vanillin. II showed inverse agonist activity in

the GAL4-ERR α assay with IC50 value of less than 0.5 μM and 100-120% control rate. Thus, I are useful for the treatment of ERR α related diseases, disorders or conditions, such as cancer (no data). OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L72 ANSWER 16 OF 30 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2006:167017 HCAPLUS Full-text

DOCUMENT NUMBER: 144:254119

TITLE: Preparation of thiazolidinones and related heterocyclic compounds as farnesoid X receptor

agonists with therapeutic uses

INVENTOR(S): Martin, Richard; Flatt, Brenton Todd; Kahl,

Jeffrey Dean

PATENT ASSIGNEE(S): Exelixis, Inc., USA
SOURCE: PCT Int. Appl., 146 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| | | | | | | | | | | | LICAT | | | | | ATE | |
|-----|-------|------|------|-----|-----|-----|------|------|-----|------|--------|------|-----|-----|-----|------|-----|
| WO | 2006 | 0206 | 80 | | A2 | | 2006 | 0223 | | | 2005-1 | | | | | 0050 | |
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| | | GM, | KΕ, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | ΑZ, | BY |
| | | KG, | KZ, | MD, | RU, | TJ, | TM | | | | | | | | | | |
| AU | 2005 | 2729 | 16 | | A1 | | 2006 | 0223 | | AU 2 | 2005- | 2729 | 16 | | 2 | 0050 | 809 |
| CA | 2574 | 279 | | | A1 | | 2006 | 0223 | | CA 2 | 2005- | 2574 | 279 | | 2 | 0050 | 809 |
| EP | 1776 | 112 | | | A2 | | 2007 | 0425 | | EP 2 | 2005- | 7862 | 84 | | 2 | 0050 | 809 |
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| | | | | MK, | YU | | | | | | | | | | | | |
| | 1010 | | | | | | 2007 | | | | 2005- | | | | | 0050 | |
| | 2008 | | | | | | 2008 | | | | 2007- | | | | | 0050 | |
| | 2005 | | | | | | 2008 | | | | 2005- | | | | | 0050 | |
| | 2007 | | | | | | | | | | 2007-1 | | | | | 0070 | |
| | 2007 | | | | | | | | | | 2007- | | | | | 0070 | |
| | 2008 | | | | A1 | | 2008 | 0605 | | | 2007- | | | | | 0070 | |
| RIT | Y APP | LN. | INFO | .: | | | | | | | 2004- | | | | | | |
| | | | | | | | | | | WO 2 | 2005-1 | JS28 | 357 | | W 2 | 0050 | 809 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): CASREACT 144:254119; MARPAT 144:254119

AB Thiazolidinones and related heterocyclic compds. (shown as I; variables defined below; e.g. 3-[(3-benzyl-5-[(N-methyl-N-(phenyl)amino]methylene]-4-exothiazolidin-2-ylidene]amino]-4-ethylaminobenzonitrile (shown as II)), compns. and methods for modulating the activity of receptors are provided. In particular,

compds. and compns. are provided for modulating the activity of receptors and for the treatment, prevention, or amelioration of ≥1 symptoms of the disease or disorder directly or indirectly related to the activity of the receptors. For I: bond a is a single or double bond; X1 is NR6, O or S(O)t (t = 0-2); X2 is S or O; R1 is (un) substituted alkyl, alkenyl or alkynyl; or Rl is (un) substituted cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, aralkyl, heteroaryl or heteroaralkyl; or R1 is -R9N(R11)(R12), -R9C(J)R13, -R9C(J)OR10, -R9C(J)N(R11)(R12), -R9N(R10)C(J)R13, -R9N(R10)C(J)OR10, -R9S(O)tR15 or -R9N(R10)C(J)N(R11)(R12). R2 is (un)substituted alkyl, alkenyl, alkynyl; or R2 is (un) substituted cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl or aryl; or R2 is -R9C(J)R13, -R9C(J)OR10, -R9C(J)N(R11)(R12), -R9C(J)N(R10)N(R11)(R12), -R9N(R10)C(J)R13, -R9N(R10)C(J)OR10, -R9N(R10)C(J)N(R11)(R12), -R9N(R11)(R12) or -R9S(O)tR15; R3, R4 and R5(un) substituted alkyl, alkenyl or alkynyl; or R3, R4 and R5 = (un) substituted cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; or R3, R4 and R5 = H, halo, -N(R7)(R8), -N(R10)C(J)R13, -N(R10)C(J)OR10, -R9C(J)R13, -N(R10)C(J)N(R11)(R12) and -N(R10)S(O)tR15; each J = O or S; addnl. details including provisos are given in the claims. Although the methods of preparation are not claimed, prepns. and/or characterization data for >10 examples of I are included. For example, II was prepared in 5 steps (82, 100, 93, 87, 58, resp.) starting with substitution of 4fluoro-3-nitrobenzonitrile by ethylamine to give 4-ethylamino-3-nitrobenzonitrile, which was reduced to 3-amino-4-ethylaminobenzonitrile, which was added to benzyl isothiocyanate to give 1-benzyl-3-(5-cyano-2-ethylaminophenyl)thiourea, which was cyclocondensed with Et chloroacetate to give 3-[(3-benzyl-4-oxothiazolidin-2ylidene)amino]-4-ethylaminobenzonitrile, which was condensed with tri-Me orthoformate and N-methylaniline to give II. THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD OS.CITING REF COUNT: 2

(2 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

L72 ANSWER 17 OF 30 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2006:332235 HCAPLUS Full-text

DOCUMENT NUMBER: 144:350539

TITLE: Preparation of pyrrolecarboxamide derivatives as mineralocorticoid receptor antagonists for use against

cancer and other disorders INVENTOR(S):

Canne Bannen, Lynne; Chen, Jeff; Dalrymple, Lisa Esther; Flatt, Brenton T.; Forsyth, Timothy Patrick; Gu, Xiao-Hu; Mac, Morrison B.; Mann, Larry W.; Mann,

Grace; Martin, Richard; Mohan, Raju

; Murphy, Brett; Nyman, Michael Charles; Stevens, William C., Jr.; Wang, Tie-Lin; Wong, Yong; Wu, Jason

APPLICATION NO. DATE

PATENT ASSIGNEE(S): Exelixis, Inc., USA SOURCE: PCT Int. Appl., 477 pp.

CODEN: PIXXD2

KIND DATE

DOCUMENT TYPE: Patient. LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION: PATENT NO.

| WO 2006012642 | A2 | 20060202 | WO 2005-US26916 | 20050730 | | |
|---------------|------------|--------------|------------------------|-------------|--|--|
| WO 2006012642 | A3 | 20060727 | | | | |
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| GE, GH | GM, HR, HU | J, ID, IL, I | N, IS, JP, KE, KG, KM, | KP, KR, KZ, | | |

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                                20070418 EP 2005-803281
                           A2
                                                                       20050730
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     JP 2008508308
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     ZA 2007000352
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                                             IN 2007-DN605
                                                                      20070123
    NU 2007000910 A 20070426 MX 2007-1201 KR 2007045283 A 20070502 KR 2007-704302 US 20080234270 A1 20080925 US 2007-572962 JP 2010077166 A 20100408 JP 2010 TECHNOLOGY
                                                                      20070129
                                                                      20070216
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US 2004-592439P P 20040730
PRIORITY APPLN. INFO.:
                                              US 2004-592469P
                                                                  P 20040730
                                              JP 2007-523832
                                                                  A3 20050730
                                              WO 2005-US26916
                                                                  W 20050730
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 144:350539

Pyrrolecarboxamide derivs. (shown as I; other Markush structures for pyrrolecarboxamides are defined in the claims; variables defined below; e.g. 1-[4fluoro-2-(trifluoromethyl)phenyl]-2,5-dimethyl-1H-pyrrole-3- carboxylic acid N-[4-(sulfamoyl)phenyl]amide (II)), compns. and methods for modulating the activity of receptors are provided. In particular compds. and compns. are provided for modulating the activity of receptors and for the treatment, prevention, or amelioration of ≥1 symptoms of disease or disorder directly or indirectly related to the activity of the receptors. Semiquant. IC50 values for antagonist activity of 23 examples of I are tabulated and compared to the activity of the Spironolactone control. For I: R1 and R2 = H, halo, cyano, or (un) substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl, or heterocyclylalkyl, or -OR9, -SR9, -N(R9)2, -C(O)OR9 or -C(O)N(R9)2; R3 = H, halo, cyano, (un)substituted alkyl, (un)substituted alkenyl or (un) substituted alkynyl; R4 is H, -C(0)R9, -S(0)2R9, or (un) substituted alkyl, alkenyl or alkynyl, or R4 is (un)substituted cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, aralkyl, heteroaryl or heteroaralkyl; R6 is H or (un) substituted alkyl; R7 is (un) substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, aralkyl, heteroaryl or heteroaralkyl; addnl. details are given in the claims. Although the methods of preparation are not claimed, prepns. and/or characterization data for many examples of I are included. For example, II was prepared in 5 steps (50, 37, 62, 64, and 66 % yields, resp.) starting with preparation of 1-[4-fluoro-2-(trifluoromethyl)phenyl]-2,5-dimethyl-1H-pyrrole from 4-fluoro-2-(trifluoromethyl)aniline and 2,5-hexanedione, followed by preparation of the following intermediates: 1-(4-fluoro-2-trifluoromethylphenyl)-2.5-dimethyl-1Hpyrrole-3- carboxaldehyde, 1-[4-fluoro-2-(trifluoromethyl)phenyl]-2,5-dimethyl-1Hpyrrole-3-carboxylic acid, and 1-[4-fluoro-2-(trifluoromethyl)phenyl]-2,5-

sulfanilamide.

OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)

RECORD (13 CITINGS)

L72 ANSWER 18 OF 30 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2005:451367 HCAPLUS Full-text

DOCUMENT NUMBER: 142:476293

TITLE: Substituted pyrimidine compositions and methods using

them for the treatment of NGFI-B-related diseases

INVENTOR(S): Martin, Richard; Mohan, Raju;

Ordentlich, Peter

PATENT ASSIGNEE(S): X-Ceptor Therapeutics, Inc., USA

SOURCE: PCT Int. Appl., 117 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | | APPLICATION NO. | DATE | | | | | |
|---|---|---|---|--|--|--|--|--|
| WO 2005047268 WO 2005047268 | A2 20050526 A3 20050721 | WO 2004-US37642 | | | | | | |
| CN, CO, CR, GE, GH, GM, LK, LR, LS, | CU, CZ, DE, DK, HR, HU, ID, IL, LT, LU, LV, MA, | BA, BB, BG, BR, BW, DM, DZ, EC, EE, EG, IN, IS, JP, KE, KG, MD, MG, MK, MN, MW, RO, RU, SC, SD, SE, | ES, FI, GB, GD, KP, KR, KZ, LC, MX, MZ, NA, NI, | | | | | |
| RW: BW, GH, GM, AZ, BY, KG, EE, ES, FI, SE, SI, SK, | KE, LS, MW, MZ, KZ, MD, RU, TJ, FR, GB, GR, HU, TR, BF, BJ, CF, | UG, US, UZ, VC, VN, NA, SD, SL, SZ, TZ, TM, AT, BE, BG, CH, IE, IS, IT, LU, MC, CG, CI, CM, GA, GN, | UG, ZM, ZW, AM, CY, CZ, DE, DK, NL, PL, PT, RO, | | | | | |
| NE, SN, TD, US 20070293464 PRIORITY APPLN. INFO.: | | US 2007- 595734 20070522 US 2003-519030P P 20031110 WO 2004-US37642 W 20041109 | | | | | | |
| OTHER SOURCE(S): AB Compns. and method | ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 142:476293 AB Compns. and methods using substituted pyrimidines are provided. The substituted pyrimidines may be used to treat diseases modulated by NGFI-B family | | | | | | | |
| OS.CITING REF COUNT: | 4 THERE ARE | 4 CAPLUS RECORDS THA | AT CITE THIS RECORD | | | | | |
| REFERENCE COUNT: | | 6 CITED REFERENCES A LL CITATIONS AVAILABI | | | | | | |
| L72 ANSWER 19 OF 30 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2005:371199 HCAPLUS Full-text DOCUMENT NUMBER: 142:430010 Preparation of diphenylmethane derivatives as vitamin | | | | | | | | |
| INVENTOR(S): | D receptor modu Flatt, Brenton Mohan, Raju; Mu | T.; Martin, Richard; | | | | | | |
| PATENT ASSIGNEE(S): SOURCE: | | eutics, Inc., USA | | | | | | |
| DOCUMENT TYPE: Patent LANGUAGE: FIXIU2 PANILY ACC. NUM. COUNT: 1 | | | | | | | | |

PATENT INFORMATION:

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PATENT NO.
                        KIND DATE
                                            APPLICATION NO. DATE
     WO 2005037755
                         A2 20050428 WO 2004-US33666
                                                                    20041013
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                         A3 20050818
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             SN, TD, TG
     AU 2004282162
                         A1
                                20050428 AU 2004-282162
                                                                     20041013
                         A1 20050428 CA 2004-2542650
A2 20060705 EP 2004-794900
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                         A1
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    JP 2007509847 T 20070419 JP 2006-535596 20041013 US 20070225377 A1 20070927 US 2004-576228 20041013 AT 455749 T 20100215 AT 2004-794900 20041013 RITY APPLN. INFO:: US 2003-511457P P 20051014 WO 2004-US33666 W 20041013
PRIORITY APPLN. INFO.:
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 142:430010; MARPAT 142:430010
     Title compds. I [R1, R2 = halo, haloalkyl, pseudohalo, etc.; R3, R4 = H,
alkyl, alkenyl, etc.; R5, R6, R7, R8, R9, R10 = H, halo, hydroxy, etc.; X =
(un) substituted alkyl, (un) substituted alkenyl, (un) substituted alkynyl, etc.; Y =
(un) substituted alkyl, (un) substituted alkenyl, (un) substituted alkynyl, etc.] and
their pharmaceutically acceptable salts were prepared For example, reaction of 4-
{1-ethyl-1-[4-(3-hydroxy-3-methylbutyl)-3- methylphenyl]propyl}-2-methylphenol,
e.g., prepared from o-cresol in 6 steps, with (S)-glycidol afforded compound II in
47% yield. In assays to determine vitamin D receptor (VDR) agonist activity,
compound II possessed the EC50 value of <10 \mu M. Compds. I are claimed useful for
the treatment of Alzheimer's disease, cancer, etc. OS.CITING REF COUNT:
THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
                                (2 CITINGS)
REFERENCE COUNT:
                         4
                                THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
                                RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
L72 ANSWER 20 OF 30 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER:
                        2005:220132 HCAPLUS Full-text
DOCUMENT NUMBER:
                         142:298092
TITLE:
                         Preparation of azepino[4,5-b]indole derivatives as
                         modulators of nuclear receptors
                         Busch, Brett; Flatt, Brenton T.; Gu, Xiao-Hui;
INVENTOR(S):
                         Martin, Richard; Mohan, Raju; Wang,
                         Tie-Lin; Wu, Jason H.
PATENT ASSIGNEE(S):
                        X-Ceptor Therapeutics Inc., USA: Exelixis,
                         Inc.
SOURCE:
                         U.S. Pat. Appl. Publ., 106 pp., Cont.-in-part of U.S.
                         Ser. No. 447,302.
                         CODEN: USXXCO
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                         English
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FAMILY ACC. NUM. COUNT: 2 PATENT INFORMATION:

| | PATENT NO. | | | KIND DATE | | | | APPLICATION NO. | | | | | | | | | |
|------|------------|------|------|-----------|-------------|-----|------|-----------------|-----|-------|----------------|------|----------|-----|------|--------------|-----|
| | 2005 | | | | A1 20050310 | | | | | 2003- | | | 20031202 | | | | |
| US | 7595 | 311 | | | B2 | | 2009 | 0929 | | | | | | | | | |
| US | 2004 | 0023 | 947 | | A1 | | 2004 | 0205 | | US | 2003- | 4473 | 02 | | 2 | 20030 | 527 |
| US | 7485 | 634 | | | B2 | | 2009 | 0203 | | | | | | | | | |
| AU | 2004 | 2971 | 98 | | A1 | | 2005 | 0623 | | AU | 2004- | 2971 | 98 | | 2 | 20041 | 201 |
| CA | 2555 | 279 | | | A1 A2 | | 2005 | 0623 | | CA | 2004- | 2555 | 279 | | 2 | 20041 | 201 |
| WO | 2005 | 0565 | 54 | | A2 | | 2005 | 0623 | | WO | 2004- | US40 | 352 | | 2 | 0041 | 201 |
| WO | 2005 | 0565 | 54 | | A2 A3 | | 2005 | 0818 | | | | | | | | | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | ΑZ, | BA, | BE | , BG, | BR, | BW, | BY, | ΒZ, | CA, | CH, |
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| | 1914 | | | | A | | | | | | 2004- | | 1235 | | 2 | 20041 | 201 |
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| | | | 68 | | T | | | 0524 | | | 2006- | | 42 | | 2 | 20041 | 201 |
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| | 2010 | | | | A1 | | 2010 | 0708 | | | 2009- | | | | | 20090 | |
| ORIT | Y APP | LN. | INFO | . : | | | | | | | 2002- | | | | | | |
| | | | | | | | | | | US | 2003- | 4473 | 02 | | A2 2 | 20030 | 527 |
| | | | | | | | | | | US | 2003- | 8954 | 3.1 | | A 2 | 20031 | 202 |
| | | | | | | | | | | WO | 2004- | US40 | 352 | | W 2 | 20041 | 201 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 142:298092; MARPAT 142:298092

AB The fitle compds. (1) [R1 = -C(J)GR14, -C(J)SR14, (un)substituted -C(J)NH2; J = 0, S, (un)substituted NH; R2 = H, halo, (un)substituted alkyl; R3 = -C(D)RSP, R4, S5, R6 and R7 are together selected from (a), (b), etc. below: (a) R4, R5 = H or halo and R6, R7 = halo, each (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclylalkyl, aryl, aralkyl, heteroaralkyl, etc.; or R6 and R7, together with the carbon atom to which they are attached, form each (un)substituted cycloalkyl, heterocyclyl, cycloalkylidene, heterocyclylidene, aralkylidene, cycloalkylidene, heterocyclylidene, aralkylidene; (b) R4, R5 = halo, each (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, heteroaryl, or heteroaralkyl, etc.; or R4 and R5, together with the carbon atom to which they are attached, form (un)substituted cycloalkyl, heterocyclyl, cycloalkylidene, cycloalkylidene, heteroaralkylidene, aralkylidene or heteroaralkylidene, aralkylidene, cycloalkylidene, aralkylidene or heteroaralkylidene, aralkylidene, aralkylidene, heteroaralkylidene, aralkylidene, heteroaralkylidene, aralkylidene, lakenyl, alkylidene, aralkylidene, cycloalkylidene, aralkylidene, aralkylidene, heteroaralkylidene, aralkylidene, lakenyl, alkylidene, aralkylidene, aralkylidene, lakenyl, alkenyl, alkenyl, alkenyl, aralkylidene, aralkylidene, aralkylidene, aralkylidene, lakenyl, alkenyl, al

alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl, etc.; R14 = each (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, etc.] are prepared These compds. modulate nuclear receptors, in particular farnesoid X receptor and are agonists, partial agonists, inverse agonists, partial antagonists, or antagonists of farnesoid X receptor. They are useful for the treatment, prevention, or amelioration of one or more symptoms of disease or disorder directly or indirectly related to the activity of the above receptors, including hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, dyslipidemia, lipodystrophy, atherosclerosis, atherosclerotic disease, atherosclerotic disease events, atherosclerotic cardiovascular disease, Syndrome X, diabetes mellitus, type II diabetes, insulin insensitivity, hyperglycemia, cholestasis and obesity. Thus, to a solution of Et 1,2,3,6-tetrahydroazepino[4,5-b]indole-5-carboxylate (52 mg, 0.2 mmol) in CH2Cl2 was added 4-fluorobenzoyl chloride (36 µL, 0.2 mmol) and TEA (56 ul, 0.4 mmol) and the mixture was shaken overnight at 20°, treated with Trisamine resin (50 mg), and shaken for 2 h at 20°. The resin was removed by filtration through a Florisil cartridge. Evaporation of solvent gave a crude product, which was purified by trituration with methanol to give Et 3-(4-fluorobenzoy1)-1,2,3,6tetrahydroazepino[4,5-b]indole-5- carboxylate. Et 3-(3,4-difluorobenzoyl)-1methyl-1,2,3,6- tetrahydroazepino[4,5-b]indole-5-carboxylate was administered daily by oral gage for 7 days to young adult male mice. Plasma total cholesterol and triglyceride levels were significantly lowered. OS.CITING REF COUNT: THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD

(8 CITINGS)

L72 ANSWER 21 OF 30 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2005:191522 HCAPLUS Full-text

TITLE: SAR of highly potent full-range modulators of the

farnesoid X receptor

AUTHOR(S): Flatt, Brenton T.; Kahl, Jeffrey D.; Busch, Brett B.;

Boman, Erik; Liu, Amy; Ordentlich, Peter;

Yan, Grace: Mohan, Raju: Martin,

Richard

CORPORATE SOURCE: Department of Chemistry, Exelixis, Inc, San

Diego, CA, 92121, USA

SOURCE: Abstracts of Papers, 229th ACS National Meeting, San Diego, CA, United States, March 13-17, 2005 (2005),

MEDI-189. American Chemical Society: Washington, D.

C.

CODEN: 69GOMP

DOCUMENT TYPE: Conference; Meeting Abstract

LANGUAGE: English

AB The farnesoid X receptor (FXR) is a nuclear receptor expressed in tissues exposed to high concers. of bile acids such as the liver, kidney and intestine and functions as a bile acid sensor. FXR regulates the expression of various transport proteins and biosynthetic enzymes crucial to the physiol. maintenance of lipids, cholesterol and bile acid homeostasis. Regulation of FXR through small-mol. drugs represents a promising therapy for diseases resulting from lipid, cholesterol and bile acid abnormalities. We identified a series of novel small mol. heterocycles by high throughput screening and optimized these leads into potent and efficacious FXR modulators that display a range of efficacies in FXR-functional cell based assays from full agonists to partial agonists and full antagonists.

L72 ANSWER 22 OF 30 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2003:1006962 HCAPLUS Full-text

ACCESSION NUMBER: 2003:1006962 HCAPLUS <u>Full</u> DOCUMENT NUMBER: 140:59652

TITLE: Preparation of fused-ring pyrimidin-4(3H)-one

derivatives as LXR modulators

INVENTOR(S): Kaneko, Satoru; Watanabe, Tsuyoshi; Oda, Kozo;

Mohan, Raju; Schweiger, Edwin J.; Martin,

PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan; X-Ceptor Therapeutics,

Inc.

SOURCE: PCT Int. Appl., 465 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE:

English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | | | | | KIND DATE | | | | APPLICATION NO. | | | | | | DATE | | | |
|------------|---------------|------|------|-------------|-----------|-------------|----------------|-----|-----------------|-----|-----|-----|----------|------------|------|-----|-----|--|
| WO | WO 2003106435 | | | A1 20031224 | | | WO 2003-JP7677 | | | | | | 20030617 | | | | | |
| | W: | ΑE, | AG, | AL, | AM, | ΑT, | AU, | ΑZ, | BA, | BB, | BG, | BR, | BY, | ΒZ, | CA, | CH, | CN, | |
| | | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, | |
| | | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KP, | KR, | KZ, | LC, | LK, | LR, | |
| | | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NI, | NO, | NZ, | OM, | |
| | | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | TJ, | TM, | TN, | TR, | |
| | | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW | | | | | |
| | RW: | GH, | GM, | KE, | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | AZ, | BY, | |
| | | KG, | KZ, | MD, | RU, | TJ, | TM, | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | |
| | | FI, | FR, | GB, | GR, | HU, | ΙE, | IT, | LU, | MC, | NL, | PT, | RO, | SE, | SI, | SK, | TR, | |
| | | BF, | BJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG | |
| AU | 2003 | 2381 | 57 | | A1 | A1 20031231 | | | AU 2003-238157 | | | | 20030617 | | | | | |
| PRIORITY | APP: | LN. | INFO | . : | | | | | US 2002-389662P | | | | 1 | P 20020618 | | | | |
| | | | | | | | | | WO 2003-JP7677 | | | | 1 | W 20030617 | | | | |

OTHER SOURCE(S): MARPAT 140:59652

AB The title compds. [I; A = arvl or heteroarvl; R1-R3 = H, OH, NO2, CN, etc.; or R1 and R2 together = alkylenedioxy; R4, R5 = H, OH, NH2, halo, etc.; X = H, OH, halo, alkoxy, haloalkoxy; Y = (un)substituted alkyl, cycloalkyl, heterocyclyl, aryl, cycloalkylalkyl, heterocyclylalkyl or aralkyl] which can modulate LXR function and as a result show excellent anti-arteriosclerotic and anti-inflammatory activity, were prepared and formulated. Thus, reacting anthranilic acid with phenylacetic acid in the presence of PPh3 in pyridine followed by addition of 2-(4aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol afforded 76% 2-benzyl-3-{4-[2,2,2trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl}- 4(3H)-quinazolinone. The compds. I showed excellent binding affinity against LXR (biol. data were given). OS.CITING REF COUNT: THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD

(10 CITINGS)

REFERENCE COUNT: THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

1.72 ANSWER 23 OF 30 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2002:294270 HCAPLUS Full-text

DOCUMENT NUMBER: 136:305229

TITLE: Raspberry Bushy Dwarf Virus coat and movement protein variants and their use in conferring resistance to

transgenic plants

INVENTOR(S): Martin, Robert R.; Mathews, Helena; Keller,

Karen; Kellogg, Jill A.; Wagner, Ry

PATENT ASSIGNEE(S): Exelixis, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 29 pp., Cont.-in-part of U.S.

Ser. No. 737,719. CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

| PATENT NO. | KIND | DATE | AP | PLICATION NO. | | DATE |
|------------------------|------|----------|----|---------------|----|----------|
| | | | | | | |
| US 20020046417 | A1 | 20020418 | US | 2001-784508 | | 20010214 |
| US 6548742 | B2 | 20030415 | | | | |
| US 20030188338 | A1 | 20031002 | US | 2003-389177 | | 20030313 |
| PRIORITY APPLN. INFO.: | | | US | 1999-171018P | P | 19991215 |
| | | | US | 2000-737719 | A2 | 20001215 |
| | | | US | 2001-784508 | A3 | 20010214 |
| | | | | | | |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

B. The present invention relates to isolated Raspberry Bushy Dwarf Virus (RBDV) nucleic acid sequences which encode RBDV coat and movement proteins or polypeptides and movement protein variants. The invention further relates to heterologous nucleic acid constructs, vectors, transformation methods, plant cells and plants comprising such RBDV-encoding nucleic acids. Methods for inducing resistance to RBDV by transforming plants with a nucleic acid construct encoding RBDV protein or polypeptide-encoding nucleic acid sequences are provided.

L72 ANSWER 24 OF 30 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2001:453103 HCAPLUS Full-text

DOCUMENT NUMBER: 135:56926

TITLE: Isolation of Raspberry Bushy Dwarf Virus coat and

movement protein genes and their use to develop

resistant transgenic plants

INVENTOR(S): Martin, Robert R.; Mathews, Helena; Keller,

Karen; Kellogg, Jill A.; Wagner, Ry Exelixis Plant Sciences, Inc., USA; U.S.

PATENT ASSIGNEE(S): Exelixis Plant Sciences, Inc., US
Department of Agriculture

SOURCE: PCT Int. Appl., 38 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2 PATENT INFORMATION:

| | | | | | | _ | | | | | | | | | _ | | |
|-----|--------|------|-----|-----|-----|-----|------|------|-----|------|-------|-------|-----|-----|-----|------|-----|
| PAT | TENT I | NO. | | | KIN | D | DATE | | | APPL | ICAT. | ION | NO. | | D, | ATE | |
| | | | | | | - | | | | | | | | | - | | |
| WO | 2001 | 0442 | 85 | | A2 | | 2001 | 0621 | | WO 2 | 000- | US34: | 188 | | 2 | 0001 | 215 |
| WO | 2001 | 0442 | 85 | | A3 | | 2002 | 0124 | | | | | | | | | |
| | RW: | ΑT, | BE, | CH, | CY, | DE, | DK, | ES, | FI, | FR, | GB, | GR, | ΙE, | IT, | LU, | MC, | NL |
| | | DT | SE | TD | | | | | | | | | | | | | |

PRIORITY APPLN. INFO.: US 1999-171018P P 19991215

AB The present invention relates to isolated Raspberry Bushy Dwarf Virus (RBDV) genes which encode RBDV coat and movement proteins or polypeptides and mutant or modified forms thereof. The invention further relates to heterologous nucleic acid constructs, vectors, transformation methods, plant cells and plants comprising such RBDV-encoding nucleic acids and methods for inducing resistance to RBDV by transforming plants with a nucleic acid construct comprising RBDV protein or

polypeptide-encoding nucleic acid sequences.

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L72 ANSWER 25 OF 30 BIOSIS COPYRIGHT (c) 2010 The Thomson Corporation on STN

ACCESSION NUMBER: 2009:599356 BIOSIS Full-text

DOCUMENT NUMBER: PREV200900600459

Azepinoindole derivatives as pharmaceutical agents. TITLE: AUTHOR(S):

Busch, Brett [Inventor]; Anonymous; Flatt, Brenton T.

[Inventor]; Gu, Xiao-Hui [Inventor]; Martin, Richard [Inventor]; Mohan, Raju [Inventor];

Wang, Tie-Lin [Inventor]; Wu, Jason H. [Inventor]

CORPORATE SOURCE: San Diego, CA USA

ASSIGNEE: Exelixis Inc PATENT INFORMATION: US 07595311 20090929

SOURCE: Official Gazette of the United States Patent and Trademark

Office Patents, (SEP 29 2009)

CODEN: OGUPE7. ISSN: 0098-1133.

DOCUMENT TYPE: Patent

LANGUAGE: English

ENTRY DATE: Entered STN: 28 Oct 2009

Last Updated on STN: 28 Oct 2009

Compounds, compositions and methods for modulating the activity of receptors are provided. In particular, compounds and compositions are provided for modulating the activity of receptors and for the treatment, prevention, or

amelioration of one or more symptoms of disease or disorder directly or indirectly related to the activity of the receptors.

L72 ANSWER 26 OF 30 BIOSIS COPYRIGHT (c) 2010 The Thomson Corporation on

STN

ACCESSION NUMBER: 2009:217429 BIOSIS Full-text

DOCUMENT NUMBER: PREV200900217429

TITLE: Azepinoindole and pyridoindole derivatives as

pharmaceutical agents.

AUTHOR(S): Martin, Richard [Inventor]; Anonymous; Wang,

Tie-Lin [Inventor]; Flatt, Brenton T. [Inventor]; Gu, Xiao-Hui [Inventor]; Griffith, Ronald [Inventor]

CORPORATE SOURCE: San Diego, CA USA

ASSIGNEE: Exelimia Inc

PATENT INFORMATION: US 07485634 20090203

SOURCE: Official Gazette of the United States Patent and Trademark

Office Patents, (FEB 3 2009)

CODEN: OGUPE7. ISSN: 0098-1133.

DOCUMENT TYPE: Pat.ent.

LANGUAGE: English

ENTRY DATE: Entered STN: 25 Mar 2009

Last Updated on STN: 25 Mar 2009

Compounds, compositions and methods for modulating the activity of receptors AB are provided. In particular compounds and compositions are provided for modulating the activity of receptors and for the treatment, prevention, or amelioration of one or more symptoms of disease or disorder directly or

indirectly related to the activity of the receptors.

L72 ANSWER 27 OF 30 BIOSIS COPYRIGHT (c) 2010 The Thomson Corporation on

ACCESSION NUMBER: 2010:390284 BIOSIS Full-text

DOCUMENT NUMBER: PREV201000390284

TITLE: MEDI 181-Indoleazepines as a new class of nonsteroidal agonists of the farnesoid X receptor: Identification of

WAY-362450 (FXR-450) as a clinical candidate for the treatment of dyslipidemia.

AUTHOR(S): Mahanev, Paige E. [Reprint Author]; Harnish, Douglas C.;

> Abou-Gharbia, Magid A.; Bischoff, Eric; Borges-Marcucci, Lisa; Evans, Mark J.; Flatt, Brenton T.; Gantan, Elizabeth; Gardel, Stephen J.; Gu, Xiao-Hui; Lai, KehDeh; Magolda,

Ronald L.; Martin, Richard; Mohan, Raju ; Ordentlich, Peter; Schulman, Ira; Unwalla,

Rayomand J.; Vlasuk, George P.; Wang, Shuguang; Wang, Tie-Lin; Westin, Stefan; Wrobel, Jay E.; Xu, Weixin; Yan,

Grace; Zhang, Songwen

CORPORATE SOURCE: Wyeth Res, Dept Chem and Screening Sci, Collegeville, PA

19426 USA

mahanep@wyeth.com; bflatt@exelixis.com;

rmohan@exelixis.com

SOURCE: Abstracts of Papers American Chemical Society, (APR 6 2008)

Vol. 235, pp. 181-MEDI.

Meeting Info.: 235th American-Chemical-Society National Meeting. New Orleans, LA, USA. April 06 -10, 2008. Amer

Chem Soc.

CODEN: ACSRAL. ISSN: 0065-7727.

DOCUMENT TYPE: Conference: (Meeting)

Conference; Abstract; (Meeting Abstract)

LANGUAGE: English

ENTRY DATE: Entered STN: 7 Jul 2010

Last Updated on STN: 7 Jul 2010

L72 ANSWER 28 OF 30 BIOSIS COPYRIGHT (c) 2010 The Thomson Corporation on

ACCESSION NUMBER: 2008:393068 BIOSIS Full-text

DOCUMENT NUMBER: PREV200800393067

TITLE: Isoquinolinone derivatives and their use as therapeutic

agents.

AUTHOR(S): Anonymous; Johnson, Alan T. [Inventor]; Kaneko, Satoru

[Inventor]; Mohan, Raju [Inventor]; Oda, Kozo [Inventor]; Schweiger, Edwin J. [Inventor]

CORPORATE SOURCE: Poway, CA USA

ASSIGNEE: Exelixis Inc

PATENT INFORMATION: US 07265131 20070904

SOURCE: Official Gazette of the United States Patent and Trademark

Office Patents, (SEP 4 2007)

CODEN: OGUPE7. ISSN: 0098-1133.

DOCUMENT TYPE: Patent LANGUAGE: English

ENTRY DATE: Entered STN: 16 Jul 2008

Last Updated on STN: 16 Jul 2008

Compounds of formula (I): wherein n, R-1, R-2, R(3) and R(7) are disclosed herein, are useful in treating disease-states associated with nuclear receptor activity. Pharmaceutical compositions comprising and methods of using said

compounds are also disclosed herein.

L72 ANSWER 29 OF 30 BIOSIS COPYRIGHT (c) 2010 The Thomson Corporation on

ACCESSION NUMBER: 2006:280493 BIOSIS Full-text

DOCUMENT NUMBER: PREV200600279166

TITLE: Sar of highly potent full-range modulators of the farnesoid

X receptor.

Flatt, Brenton T. [Reprint Author]; Kahl, Jeffrey D.; AUTHOR(S): Busch, Brett B.; Boman, Erik; Liu, Amy; Ordentlich,

Peter; Yan, Grace; Mohan, Raju; Martin,

Richard

CORPORATE SOURCE:

Exelixis Inc, Dept Chem, San Diego, CA 92121 USA bflatt@exelixis.com

SOURCE: Abstracts of Papers American Chemical Society, (MAR 13

2005) Vol. 229, No. Part 2, pp. U142-U143.

Meeting Info.: 229th National Meeting of the

American-Chemical-Society. San Diego, CA, USA. March 13

-17, 2005. Amer Chem Soc.

CODEN: ACSRAL. ISSN: 0065-7727. DOCUMENT TYPE: Conference: (Meeting)

Conference; Abstract; (Meeting Abstract)

LANGUAGE: English

ENTRY DATE: Entered STN: 24 May 2006

Last Updated on STN: 24 May 2006

L72 ANSWER 30 OF 30 BIOSIS COPYRIGHT (c) 2010 The Thomson Corporation on

ACCESSION NUMBER: 2003:237426 BIOSIS Full-text

DOCUMENT NUMBER: PREV200300237426

Development of resistance to raspberry bushy dwarf virus. TITLE:

AUTHOR(S): Martin, Robert R. [Inventor, Reprint Author];

Mathews, Helena [Inventor]; Keller, Karen [Inventor]; Kellogg, Jill A. [Inventor]; Wagner, Ry [Inventor]

CORPORATE SOURCE: Corvallis, OR, USA

ASSIGNEE: Exelixis, Inc.; The United States of America

as represented by the Secretary of Agriculture

PATENT INFORMATION: US 6548742 20030415

SOURCE: Official Gazette of the United States Patent and Trademark

Office Patents, (Apr 15 2003) Vol. 1269, No. 3. http://www.uspto.gov/web/menu/patdata.html. e-file.

ISSN: 0098-1133 (ISSN print).

DOCUMENT TYPE: Patent. LANGUAGE: English

ENTRY DATE:

Entered STN: 14 May 2003 Last Updated on STN: 14 May 2003

AB The present invention relates to isolated Raspberry Bushy Dwarf Virus (RBDV) nucleic acid sequences which encode RBDV coat and movement proteins or polypeptides and mutant or modified forms thereof. The invention further relates to heterologous nucleic acid constructs, vectors, transformation methods, plant cells and plants comprising such RBDV-encoding nucleic acids and methods for inducing resistance to RBDV by transforming plants with a nucleic acid construct comprising RBDV protein or polypeptide-encoding nucleic acid sequences.

Structures uploaded into STN REGISTRY

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Uploading L1.str
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```
1 2 3 4 5 6 7 8 9 10 11 12 ring/chain nodes: 15 16 chain bonds: 1-2 1-6 1-10 2-3 3-4 3-16 4-5 5-6 5-15 7-8 7-12 8-9 9-10 10-11 11-12 exact/norm bonds: 1-2 1-6 1-10 2-3 3-4 3-16 4-5 5-6 5-15 7-8 7-12 8-9 9-10 10-11 11-12
```

isolated ring systems : containing 1:7:

ring nodes :

Connectivity:
2:2 E exact RC ring/chain 6:2 E exact RC ring/chain
Match level:
1:2tom 2:2tom 3:2tom 4:2tom 5:2tom 6:2tom 7:2tom

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 15:CLASS 16:CLASS

Uploading L17.str

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CN-G× 6
                                                      51-2× 6
             CN 5" ?
                                                      52·2" <sup>7</sup>
                                   AK* Éu
                                                      53.28
                                                                            13*19
             CH'Se" 8
                                   × 3. Cg
                                                                            3328
                                                                  ,
                                                     31 38 2 ° 9
                                                        3× 10
               , 18
                                                                            A 18
                                   g
                                                                            18
                                                        32
                                   , 5. Ak
                                                                            .,53.49
                                                                             N.7
             0
: N× 12
                                                      44 44 12
chain nodes :
7 10 11 12 13 14 15 16 17 18 19 20 26 27 28 29 30 31 32 33 39
40 42 43 44 46 47 49 51 52 53
ring nodes :
1 2 3 4 5 6
chain bonds :
1-7 3-11 5-10 13-14 15-17 15-20 16-18 16-19 26-51 27-52 28-53 29-30 30-
32-33 39-40 42-43 43-44 46-47 46-49
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 1-7 2-3 3-4 3-11 4-5 5-6 5-10 13-14 15-17 15-20 16-18 16-19
26-51 27-52 28-53 29-30 30-31 32-33 39-40 42-43 43-44 46-47 46-49
isolated ring systems :
containing 1 :
G1:0,S,N,[*1],[*2],[*3],[*4],[*5]
G2:S,OH,SH,CN,NO2,Cy,Ak,[*6],[*7],[*8],[*9],[*10],[*11],[*12]
Connectivity :
2:2 E exact RC ring/chain 6:2 E exact RC ring/chain 7:2 E exact RC ring/chain
17:1 E exact RC ring/chain 18:1 E exact RC ring/chain 33:1 E exact RC ring/chain
44:1 E exact RC
ring/chain 47:1 E exact RC ring/chain
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 10:CLASS 11:CLASS 12:Atom
13:CLASS 14:Atom 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:Atom
26:CLASS 27:CLASS
28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS 33:CLASS 39:CLASS 40:CLASS
42:CLASS 43:CLASS
44:CLASS 46:CLASS 47:CLASS 49:CLASS 51:CLASS 52:CLASS 53:CLASS
Generic attributes :
Saturation
                      : Unsaturated
Number of Carbon Atoms : less than 7
Type of Ring System : Monocyclic
Saturation
                     : Unsaturated
```

14:

Uploading L27.str

30

7:

```
sb<sup>≈</sup> 1
                                                                               12×1
             CH-0^ 6
                                                         51 2× 6
              CN S× 7
                                                         52 2× 7
             EN Se<sup>x</sup>
                                     Ak" Cy
                                                        53.25<sup>x</sup> 8
                                                                                13" 14
                                    ×,3 €9
                                                                               35 20
             и н--и× <sup>з</sup>
                                                        31 30 Z.º <sup>9</sup>
                                                          35 18
                                    * 4 B
                                                                               ¥5,19
                                                        33
39--4×
             98 9W
                                                                                ·6:49
                                     . 5. AK
             8 N* 12
                                                         99
94 4× 12
chain nodes :
7 10 11 12 13 14 15 16 17 18 19 20 26 27 28 29 30 31 32 33 39
40 42 43 44 46 47 49 51 52 53 56
ring nodes :
1 2 3 4 5 6
chain bonds :
1-7 3-11 4-56 5-10 13-14 15-17 15-20 16-18 16-19 26-51 27-52 28-53 29-
30-31 32-33 39-40 42-43 43-44 46-47 46-49
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 1-7 2-3 3-4 3-11 4-5 4-56 5-6 5-10 13-14 15-17 15-20 16-18
16-19 26-51 27-52 28-53 29-30 30-31 32-33 39-40 42-43 43-44 46-47 46-49
isolated ring systems :
containing 1 :
G1:0, S, N, [*1], [*2], [*3], [*4], [*5]
G2:S,OH,SH,CN,NO2,Cy,Ak,[*6],[*7],[*8],[*9],[*10],[*11],[*12]
Connectivity:
2:2 E exact RC ring/chain 6:2 E exact RC ring/chain 7:2 E exact RC ring/chain
17:1 E exact RC ring/chain 18:1 E exact RC ring/chain 33:1 E exact RC ring/chain
44:1 E exact RC
ring/chain 47:1 E exact RC ring/chain
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 10:CLASS 11:CLASS 12:Atom
13:CLASS 14:Atom 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:Atom
26:CLASS 27:CLASS
28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS 33:CLASS 39:CLASS 40:CLASS
42:CLASS 43:CLASS
44:CLASS 46:CLASS 47:CLASS 49:CLASS 51:CLASS 52:CLASS 53:CLASS 56:CLASS
Generic attributes :
```

Saturation : Unsaturated Number of Carbon Atoms : less than 7 Type of Ring System : Monocyclic

14:

Saturation : Unsaturated

Full search history

=> d his full

L4

1.5

L6

(FILE 'HOME' ENTERED AT 11:06:47 ON 12 AUG 2010)

FILE 'REGISTRY' ENTERED AT 11:06:59 ON 12 AUG 2010

1 STRUCTURE UPLOADED

D L1

L2 50 SEA SSS SAM L1
L3 33380 SEA SSS FIII. L1

33380 SEA SSS FUL L1

SAVE TEMP L3 JAI734STL1/A

FILE 'STNGUIDE' ENTERED AT 11:08:58 ON 12 AUG 2010
D SCAN

FILE 'HCAPLUS' ENTERED AT 11:20:46 ON 12 AUG 2010

E US 2007-0293464/PN

1 SEA SPE=ON ABB=ON PLU=ON US 2007-0293464/PN

D L4 D SCAN SEL RN

FILE 'REGISTRY' ENTERED AT 11:22:29 ON 12 AUG 2010

I OR 14417-88-0/BI OR 15687-27-1/BI OR 23187-87-3/BI OR 23288-49-5/BI OR 25812-30-0/BI OR 299406-55-6/BI OR 300359-06-2 /BT OR 300359-07-3/BT OR 300359-08-4/BT OR 300719-05-5/BT OR 300837-31-4/BI OR 303147-11-7/BI OR 303147-12-8/BI OR 303147-40 -2/BI OR 303147-41-3/BI OR 303147-45-7/BI OR 306980-56-3/BI OR 306980-58-5/BI OR 307332-77-0/BI OR 307332-78-1/BI OR 312499-77 -7/BI OR 312626-14-5/BI OR 312626-15-6/BI OR 315194-30-0/BI OR 320418-43-7/BI OR 320418-48-2/BI OR 320418-49-3/BI OR 320421-36 -1/BI OR 329077-80-7/BI OR 329900-75-6/BI OR 329967-85-3/BI OR 330221-00-6/BI OR 330819-79-9/BI OR 330981-36-7/BI OR 330981-37 -8/BI OR 330981-38-9/BI OR 330981-39-0/BI OR 330981-41-4/BI OR 330981-42-5/BI OR 330981-45-8/BI OR 330981-47-0/BI OR 330981-49 -2/BI OR 330981-52-7/BI OR 330981-53-8/BI OR 330981-54-9/BI OR 330981-55-0/BI OR 330981-59-4/BI OR 330981-60-7/BI OR 330981-61 -8/BI OR 330981-63-0/BI OR 330981-64-1/BI OR 330981-65-2/BI OR 330981-70-9/BI OR 330993-01-6/BI OR 330993-02-7/BI OR 331648-43 -2/BI OR 331648-44-3/BI OR 331848-81-8/BI OR 331971-30-3/BI OR 332374-83-1/BI OR 333415-58-0/BI OR 337488-96-7/BI OR 338395-36 -1/BI OR 338960-71-7/BI OR 338960-72-8/BI OR 338960-73-9/BI OR

143 SEA SPE=ON ABB=ON PLU=ON (103-90-2/BI OR 11041-12-6/BI OR 1247-42-3/BI OR 134523-00-5/BI OR 1406-18-4/BI OR 141907-41-7/B

338960-74-0/BI OR 338960-75-1/BI OR 338960-76-2/BI OR 338960-93-3/BI OR 338960-93-9/BI OR 339860-93-9/BI OR 339279-05-9/BI OR 339279-08-2/BI OR 339279-07-1/BI OR 339279-08-2/BI OR 339279-21-9/BI OR 339279-27-5/BI OR 371199-20-1/BI OR 371199-57-4/BI OR 380472-88-8/BI OR 380571-66-4/BI OR 381683-04-1/BI OR 381346-83-6/BI OR 31599-44-4/BI OR 41859-67-0/BI OR 419548-22-4/BI OR 420104-18-3/BI OR 47710-02-4/BI OR 477886-15-0/BI OR 47886-15

-1/BI OR 477886-19-4/BI OR 478031-54-8/BI OR 478031-59-3/BI OR

FILE 'HCAPLUS' ENTERED AT 11:22:54 ON 12 AUG 2010

L7 17 SEA SPE=ON ABB=ON PLU=ON L6
L8 8 SEA SPE=ON ABB=ON PLU=ON L7

8 SEA SPE=ON ABB=ON PLU=ON L7 AND (AY<2007 OR PY<2007 OR PRY<2007 OR REVIEW/DT)</pre>

84 SEA SPE=ON ABB=ON PLU=ON L3 AND L5

| | FILE | 'STNGUIDE' ENTERED AT 11:23:41 ON 12 AUG 2010 |
|------------|------|---|
| L9 | FILE | 'REGISTRY' ENTERED AT 11:36:06 ON 12 AUG 2010 STRUCTURE UPLOADED D L9 |
| L10 L11 | | O SEA SUB=L3 SSS SAM L9 O SEA SUB=L3 SSS FUL L9 |
| | FILE | 'STNGUIDE' ENTERED AT 11:37:32 ON 12 AUG 2010 |
| L12 | FILE | 'REGISTRY' ENTERED AT 11:39:02 ON 12 AUG 2010 STRUCTURE UPLOADED D L12 |
| L13 L14 | | 50 SEA SUB=L3 SSS SAM L12 22964 SEA SUB=L3 SSS FUL L12 |
| | FILE | 'STNGUIDE' ENTERED AT 11:49:48 ON 12 AUG 2010 |
| L15 | FILE | 'REGISTRY' ENTERED AT 11:51:07 ON 12 AUG 2010 STRUCTURE UPLOADED D L15 |
| L16 | | 50 SEA SUB=L3 SSS SAM L15 |
| | FILE | 'STNGUIDE' ENTERED AT 11:52:00 ON 12 AUG 2010 |
| L17 | FILE | 'REGISTRY' ENTERED AT 11:53:10 ON 12 AUG 2010 STRUCTURE UPLOADED D L17 |
| L18 | | 50 SEA SUB=L3 SSS SAM L17 |
| L19 L20 | | 11720 SEA SUB=L3 SSS FUL L17 27 SEA SPE=ON ABB=ON PLU=ON L19 AND L5 D SCAN |
| L21 | | 3 SEA SPE=ON ABB=ON PLU=ON L19 AND ?CYANATO?/CNS D SCAN |
| | FILE | 'HCAPLUS' ENTERED AT 11:57:27 ON 12 AUG 2010 |
| L22 | | 717 SEA SPE=ON ABB=ON PLU=ON L19 |
| L23 | | 598 SEA SPE=ON ABB=ON PLU=ON L22 AND (AY<2007 OR PY<2007 OR PRY<2007 OR REVIEW/DT) |
| L24 | | 184 SEA SPE=ON ABB=ON PLU=ON L23 AND (THU/RL OR DGN/RL OR DMA/RL OR PAC/RL OR PKT/RL) |
| L25 L26 | | 2 SEA SPE=ON ABB=ON PLU=ON L24 AND L20 2 SEA SPE=ON ABB=ON PLU=ON L24 AND L6 |
| L26 | | D L26 1-2 AU |
| | FILE | 'STNGUIDE' ENTERED AT 11:59:01 ON 12 AUG 2010 |
| L27 | FILE | 'REGISTRY' ENTERED AT 12:05:11 ON 12 AUG 2010 STRUCTURE UPLOADED D L27 |
| L28 L29 | | 50 SEA SUB=L3 SSS SAM L27 3855 SEA SUB=L3 SSS FUL L27 |
| | FILE | 'STNGUIDE' ENTERED AT 12:07:06 ON 12 AUG 2010 |
| L30 | FILE | 'REGISTRY' ENTERED AT 12:08:51 ON 12 AUG 2010 STRUCTURE UPLOADED D L30 |
| L31 | | 50 SEA SUB=L3 SSS SAM L30 |

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1.32
         7345 SEA SUB=L3 SSS FUL L30
L33
           27 SEA SPE=ON ABB=ON PLU=ON L32 AND L5
L34
             O SEA SPE=ON ABB=ON PLU=ON L29 AND L5
    FILE 'HCAPLUS' ENTERED AT 12:11:33 ON 12 AUG 2010
L35
           71 SEA SPE=ON ABB=ON PLU=ON L24 AND L29
           108 SEA SPE=ON ABB=ON PLU=ON L24 AND L32
L36
L37
           158 SEA SPE=ON ABB=ON PLU=ON (L35 OR L36)
              E PHARMACEUTICALS/CT
L38
         83538 SEA SPE=ON ABB=ON PLU=ON PHARMACEUTICALS+NT, PFT/CT
L39
             2 SEA SPE=ON ABB=ON PLU=ON L37 AND L38
               D L39 1-2 AH
    FILE 'REGISTRY' ENTERED AT 12:14:39 ON 12 AUG 2010
           59 SEA SPE=ON ABB=ON PLU=ON L5 NOT L6
T.40
L41
         10086 SEA SPE=ON ABB=ON PLU=ON TUMOR NECROSIS FACTOR
1.42
         12404 SEA SPE=ON ABB=ON PLU=ON COX1
          4548 SEA SPE=ON ABB=ON PLU=ON COX2
L43
    FILE 'HCAPLUS' ENTERED AT 12:15:55 ON 12 AUG 2010
T.44
             1 SEA SPE=ON ABB=ON PLU=ON L37 AND L6 AND L40
              D L44 1 AU
L45
             3 SEA SPE=ON ABB=ON PLU=ON L37 AND (L41 OR L42 OR L43)
L46
            46 SEA SPE=ON ABB=ON PLU=ON L37 AND (INFLAM? OR ANTINFLAM? OR
               ANTI(W) INFLAM? OR ANTIPYR? OR ANTI(W) PYRET?)
             2 SEA SPE=ON ABB=ON PLU=ON (L36 OR L37) AND L6
L47
            48 SEA SPE=ON ABB=ON PLU=ON (L25 OR L26) OR L39 OR (L44 OR L45
L48
               OR L46 OR L47)
               D L48 1-11 TI
            46 SEA SPE=ON ABB=ON PLU=ON L48 AND ("TNF" OR "TNF ALPHA" OR
L49
               THE (W) ALPHA? OR "COX-1" OR "COX-2" OR INFLAMMAT? OR ANTI (W) (INF
               LAM? OR PYRET?))
               E NSAIDS/CT
L50
          5353 SEA SPE=ON ABB=ON PLU=ON NSAIDS+NT, PFT/CT
L51
             0 SEA SPE=ON ABB=ON PLU=ON L48 AND L50
L52
               ANALYZE PLU=ON L48 1-48 RN : 14183 TERMS
              D L52 1-22
            39 SEA SPE=ON ABB=ON PLU=ON L48 AND (AY<2005 OR PY<2005 OR
L53
               PRY<2005 OR REVIEW/DT)
               D L53 1-11 TI
L54
             2 SEA SPE=ON ABB=ON PLU=ON L6 AND L53
L55
            39 SEA SPE=ON ABB=ON PLU=ON (L53 OR L54)
               E MARTIN R?/AU
L56
          7664 SEA SPE=ON ABB=ON PLU=ON MARTIN R?/AU
L57
           849 SEA SPE=ON ABB=ON PLU=ON MOHAN R?/AU
              E ORDENTLICH P?/AU
            36 SEA SPE=ON ABB=ON PLU=ON ORDENTLICH P?/AU
L58
             5 SEA SPE=ON ABB=ON PLU=ON L56 AND L57 AND L58
1.59
L60
            21 SEA SPE-ON ABB-ON PLU-ON L56 AND (L57 OR L58)
            5 SEA SPE=ON ABB=ON PLU=ON L57 AND L58
L61
L62
            18 SEA SPE=ON ABB=ON PLU=ON (L56 OR L57 OR L58) AND EXELIXIS?/C
              O.CS.PA.SO
L63
            22 SEA SPE=ON ABB=ON PLU=ON L59 OR L62
             9 SEA SPE=ON ABB=ON PLU=ON (L59 OR L60 OR L61 OR L62 OR L63)
L64
               AND ("TNF" OR "TNF ALPHA" OR TNF(W)ALPHA? OR "COX-1" OR
               "COX-2" OR INFLAMMAT? OR ANTI(W) (INFLAM? OR PYRET?))
            24 SEA SPE=ON ABB=ON PLU=ON (L63 OR L64)
L65
    FILE 'MEDLINE, BIOSIS, EMBASE, DRUGU' ENTERED AT 12:31:41 ON 12 AUG 2010
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11 SEA SPE=ON ABB=ON PLU=ON L59

L66

| L67 | SEA SPE=ON ABB=ON PLU=ON L62 | |
|-----|---|----|
| L68 | SEA SPE=ON ABB=ON PLU=ON (L66 OR L67) AND ("TNF" OR "TNF | |
| | ALPHA" OR TNF(W) ALPHA? OR "COX-1" OR "COX-2" OR INFLAMMAT? | OR |
| | ANTI(W)(INFLAM? OR PYRET?)) | |
| L69 | SEA SPE=ON ABB=ON PLU=ON (L66 OR L67) | |

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FILE 'HCAPLUS' ENTERED AT 12:33:34 ON 12 AUG 2010 SAVE TEMP L55 JAI734HCST/A

- L70 126 SEA SPE=ON ABB=ON PLU=ON L37 AND (AY<2005 OR PY<2005 OR PY
- L71 126 SEA SPE=ON ABB=ON PLU=ON L70 AND (THU/RL OR DMA/RL OR PAC/RL OR PKT/RL)
 D L71 1-11 TI

FILE 'STNGUIDE' ENTERED AT 12:36:04 ON 12 AUG 2010
D STAT QUERY L55

FILE 'HCAPLUS' ENTERED AT 13:45:20 ON 12 AUG 2010 D L55 1-39 IBIB ED ABS HITRN HITSTR

FILE 'STNGUIDE' ENTERED AT 13:46:38 ON 12 AUG 2010

D QUE L65
D OUE L69

FILE 'HCAPLUS, MEDLINE, BIOSIS, EMBASE, DRUGU' ENTERED AT 13:47:20 ON 12 AUG 2010

L72 30 DUP REM L65 L69 (16 DUPLICATES REMOVED)

ANSWERS '1-24' FROM FILE HCAPLUS

ANSWERS '25-30' FROM FILE BIOSIS

D L72 1-30 IBIB AB

FILE HOME

FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 11 AUG 2010 HIGHEST RN 1236030-08-2 DICTIONARY FILE UPDATES: 11 AUG 2010 HIGHEST RN 1236030-08-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 8, 2010.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

FILE STNGUIDE
FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Aug 6, 2010 (20100806/UP).

FILE HCAPLUS

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FILE COVERS 1907 - 12 Aug 2010 VOL 153 ISS 7
FILE LAST UPDATED: 11 Aug 2010 (20100811/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2010
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2010

 ${\tt HCAplus}$ now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2010.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE MEDLINE

FILE LAST UPDATED: 11 Aug 2010 (20100811/UP). FILE COVERS 1947 TO DATE.

MEDLINE and LMEDLINE have been updated with the 2010 Medical Subject Headings (MeSH) vocabulary and tree numbers from the U.S. National Libra of Medicine (NLM). Additional information is available at

http://www.nlm.nih.gov/pubs/techbull/nd09/nd09_medline_data_changes_2010.

The Medline file has been reloaded effective January 24, 2010. See HELP RLOAD for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

See HELP RANGE before carrying out any RANGE search.

FILE BIOSIS

FILE COVERS 1926 TO DATE.

CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT FROM JANUARY 1926 TO DATE.

RECORDS LAST ADDED: 11 August 2010 (20100811/ED)

BIOSIS has been augmented with 1.8 million archival records from 1926 through 1968. These records have been re-indexed to match current BIOSIS indexing.

FILE EMBASE

FILE COVERAGE: EMBASE-originated material 1947 to 12 Aug 2010 (20100812/E Unique MEDLINE content 1948 to present

 ${\tt EMBASE}$ is now updated daily. SDI frequency remains weekly (default) and biweekly.

This file contains CAS Registry Numbers for easy and accurate substance identification.

For further assistance, please contact your local helpdesk.

FILE DRUGU

FILE LAST UPDATED: 4 AUG 2010 <20100804/UP>

>>> DERWENT DRUG FILE (SUBSCRIBER) <<<

>>> FILE COVERS 1983 TO DATE <<<

>>> THESAURUS AVAILABLE IN /CT <<<